(54) **CYCLIC AMINE CCR3 ANTAGONISTS**

(57) A medicine containing, as an active ingredient, a cyclic amine derivative represented by the following formula (I),

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	& FR, 2766185, A	

a pharmaceutically acceptable acid addition salt thereof or a pharmaceutically acceptable C_1 to C_6 alkyl addition salt thereof. The medicine has an action for treating or preventing diseases in which CCR3 participates, such as asthma and allergic rhinitis.

EP 1 201 239 A1

Description

Technical Field

[0001] The present invention relates to a CCR3 antagonist which can be expected to have effects as a remedies and/or a prophylactics against diseases, for whose progress and maintenance the increase and tissue infiltration of eosinophils, basophils, activated T-cells and the like play main rolls, for example, allergic diseases such as asthma, allergic rhinitis, atopic dermatitis, urticaria. contact dermatitis and allergic conjunctivitis, inflammatory bowel diseases such as ulcerative colitis and Crohn disease, eosinophilia, eosinophilic gastroenteritis, eosinophilic enteropathy, eosinophilic fasciitis, eosinophilic granuloma, eosinophilic pustular folliculitis, eosinophilic pneumonia, eosinophilic leukemia and the like, or AIDS (acquired immunodeficiency syndrome) caused by the infection of HIV (human immunodeficiency virus).

Background Art

[0002] In recent years, a concept that the essential pathosis of allergic diseases such as asthma is chronic inflammation has been established, and the accumulation of eosinophils at an inflammatory region is especially thought to be one of the principal characteristics of the diseases (refer to, for example, Busse, W. W. J. Allergy Clin. Immunol., 1998, 102, S17-S22; Takao Fujisawa. Gendai Iryo, 1999, 31, 1297, and so on). For example, when an antibody against intercellular adhesion molecule-1 (ICAM-1) was administered into a simian asthmatic model, the accumulation of eosinophils was inhibited, and the manifestation of a late asthmatic response was controlled. Thereby, the importance of the eosinophils in allergic diseases was strongly suggested (Wegner, C.D. et al., Science, 1990, 247, 456).

[0003] Eotaxin was identified as a specific chemotactic factor causing the accumulation / chemotaxis of eosinophil (refer to. for example. Jose, P. J., et. al., J. Exp. Med., 1994, 179, 881; Garcia-Zepda, E. A. et al., Nature Med., 1996, 2, 449; Ponath, P. D. et al., J. Clin. Invest., 1996, 97, 604; Kitaura, M. et al., J. Biol. Chem., 1996, 271, 7725, and so on). Further, it was elucidated that eotaxin bound to a CCR3 receptor expressed on eosinophil to display the action, and it is also known that chemotactic factors such as RANTES (abbreviation of regulated upon activation normal T-cell expressed and secreted), MCP-2 (abbreviation of monocyte chemoattractant protein-2), MCP-3 (abbreviation of monocyte chemoattractant protein-3), and MCP-4 (abbreviation of monocyte chemoattractant protein-4) can exhibit the same actions as that of the eotaxin through CCR3, although the action potencies of the chemotactic factors are weaker than that of the eotaxin (refer to, for example. Kitaura, M. et al., J. Biol. Chem.. 1996, 271, 7725; Daugherty, B. L. et al., J. Exp. Med., 1996, 183, 2349; Panath, P. D. et al., J. Exp. Med., 1996. 183, 2437; Hiath, H. et at., J. Clin. Invest., 1997, 99, 178; Patel, V. P. et al., J. Exp. Med., 1997, 185, 1163; Forssmann, U. et al., J. Exp. Med. 185, 2171, 1997, and so on).

[0004] Not only an action for causing chemotaxis but also actions related to the activation of eosinophils, such as the enhancement in the expression of adhesion molecule receptor (CD11b) (refer to, for example, Tenscher, K. et al., Blood, 1996, 88, 3195, and so on), the stimulation in the production of active oxygen (refer to, for example, Elsner, J. et al.. Eur. J. Immunol., 1996, 26, 1919, and so on), the stimulation in the release of EDN (abbreviation of eosinophil-derived neurotoxin) [refer to El-Shazly, et al., Int. Arch. Allergy Immunol., 1998, 117 (suppl. 1), 55], have been reported as the actions of the eotaxin on the eosinophils. It has also been reported that eotaxin has an action for stimulating the release of eosinophils and their precursor cells from bone marrow into blood (refer to, for example, Palframan, R. T. et al., Blood, 1998, 91, 2240, and so on).

[0005] Many reports show that eotaxin and CCR3 play important roles on allergic diseases such as asthma. For example, the inhibition of eosinophil infiltration with an anti-eotaxin antibody in a mouse asthma model (refer to Gonzalo, J. -A. et al., J. Clin. Invest.. 1996, 98, 2332), the inhibition of eosinophil infiltration with an anti-eotaxin antiserum in a mouse dermal allergy model (refer to Teixeira, M. M. et al., J. Clin. Invest., 1997, 100, 1657), the inhibition in the formation of pulmonary granuloma with an anti-eotaxin antibody in a mouse model (refer to Ruth., J. H. et al., J. Immunol., 1998, 161, 4276), the inhibition of eosinophil infiltration in an asthma model and an interstitial keratitis model using eotaxin gene-deficient mice, respectively, (refer to Rothenberg, M. E. et al., J. Exp. Med., 1997, 185, 785), the increase in the expression of eotaxin and CCR3 in the bronchus of an asthmatic patient at a genetic level and a protein level in comparison with a healthy subject (refer to Ying, S. et at.. Eur. J. Immunol., 1997, 27, 3507), and the increase in the expression of cotaxin in the nasal subepithelium tissue of a chronic sinusitis patient (refer to Am. J. Respir. Cell Mol Biol., 1997, 17, 683), have been reported.

[0006] Additionally, since it has been reported that eotaxin is expressed in large amounts in the inflammatory regions of Crohn disease and ulcerative colitis which is an inflammatory large bowel disease (refer to Garcia-Zepda E.A. et al . Nature Med., 1996, 2, 449), it can be understood that the eotaxin also plays important roles on the diseases.

[0007] From these data, it is strongly suggested that the eotaxin accumulates and activates the eosinophils in the lesion regions through CCR3 and thereby deeply participates in the initiation progression and maintenance of diseases

in which the deep participation of the eosinophils in the progresses of the lesions can be supposed, for example, allergic diseases such as asthma, allergic rhinitis, atopic dermatitis, urticaria, contact dermatitis, and allergic conjunctivitis, inflammatory bowel diseases such as ulcerative colitis and Crohn disease, eosinophilia, eosinophilic gastroenteritis. eosinophilic enteropathy. eosinophilic fasciitis, eosinophilic granuloma, eosinophilic pustular folliculitis, eosinophilic pneumonia and eosinophilic leukemia.

[0008]   Further, since they have been reported that CCR3 receptors reveal not only on eosinophils but also on basophils and Th2 lymphocytes and that the increase in the intracellular calcium ion concentrations of the cells and the chemotaxis of the cells are caused by the eotaxin, the eotaxin and the CCR3 are supposed to have relations with the initiation progression and maintenance of the diseases in which the cells participate, such as allergic diseases, also by the accumulation and activation of the cells (refer to, for example, Sallusto, F. et al., Science, 1997, 277, 2005; Gerber, B. O. et al., Current Biol., 1997, 7, 836; Sallusto, F. et at., J. Exp. Med., 1998, 187, 875; Uguccioni, M. et al., J. Clin. Invest., 1997, 100, 1137; Yamada, H. et al., Biochem Biophys. Res. Commun., 1997, 231, 365; and so on).

[0009]   Thereby, a compound for inhibiting the binding of eotaxin to the CCR3, namely, a CCR3 antagonist, is supposed to be useful as a medicine for treating and/or preventing diseases such as allergic diseases and inflammatory intestinal diseases by inhibiting the action of a CCR3 ligand represented by the eotaxin on a target cell, but a medicine having such the action is now not known.

[0010]   In addition, since it has been reported that HIV-1 (human immunodeficiency virus-1) utilizes CCR3 on the infection of a host cell, a CCR3 antagonist is supposed to be useful for a medicine for treating or preventing AIDS (acquired immunodeficiency syndrome) caused by the infection of the HIV (refer to, for example, Choe, H. et at., Cell, 1996, 85, 1135; Doranz, B.J. et al., Cell, 1996, 85, 1149).

[0011]   Recently, it has been reported that xanthene-9-carboxamide derivatives (refer to WO 9804554), piperazine or piperidine derivatives (refer to EP 903349; WO 0029377; WO 0031033; WO 0035449; WO 0035451; WO 0035452; WO 0035453; WO 0035454; WO 0035876; WO 0035877), pyrrolidine derivatives (refer to WO 0031032), phenylalanine derivatives (refer to WO 9955324; WO 9955330; WO 0004003; WO 0027800; WO 0027835; WO 0027843), and other low molecular compounds (refer to WO 9802151) have antagonistic activities to CCR3 receptors. However, these compounds are different from the compounds used in the present invention. And, the compounds used in the present invention are the same as the compounds mentioned in WO 9925686, but it is not known that these compounds have antagonistic activities to CCR3 receptors.

Disclosure of the Invention

[0012]   Thereby, the object of the present invention is to provide low molecular compounds, which have activities to inhibit that the ligand of CCR3, such as eotaxin, binds to the CCR3 on a target cell.

[0013]   Another object of the present invention is to provide a method for treating and/or preventing, with a CCR3 antagonist, such a disease that the binding of the ligand of CCR3, such eotaxin, to the CCR3 on a target cell is an etiology.

[0014]   The inventors of the present invention have zealously made studies, and have consequently discovered that a cyclic amine derivative having an arylalkyl group, a pharmaceutically acceptable C_1 to C_6 alkyl addition salt thereof, or a pharmaceutically acceptable acid addition salt thereof has an activity to inhibit the binding of the ligand of CCR3, such as the eotaxin, to a target cell, and further have found that the compounds can be used as medicines for treating or preventing diseases in which the participation of CCR3 is supposed. The studies have further been continued to accomplish the present invention.

[0015]   Namely, in accordance with the present invention, there is provided a medicine, which contains, as an active ingredient, a compound represented by the following formula (I), a pharmaceutically acceptable acid addition salt thereof or a pharmaceutically acceptable C_1 to C_6 alkyl addition salt thereof, and which has a CCR3 antagonistic action,

$$R^1 \diagdown \atop R^2 \diagup \!\!\!\!> \!\!-(CH_2)_j - N \Big\langle {}^{(CH_2)_k} _{(CH_2)_m} \Big\rangle \!\!- (CH_2)_n - \underset{R^3}{N} - \overset{O}{\underset{\parallel}{C}} - (CH_2)_p - \underset{R^5}{\overset{R^4}{|}} - (CH_2)_q - G - R^6 \qquad (I)$$
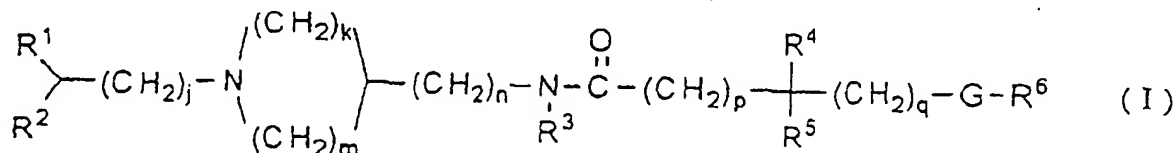
[wherein, R^1 represents a phenyl group. a C_3 to C_8 cycloalkyl group. or an aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms, provided that the phenyl group or the aromatic heterocyclic group in the above-mentioned R^1 may be condensed with a benzene ring. or an aromatic heterocyclic group

having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms to form a condensed ring, further provided that the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring may be substituted by the arbitrary number of halogen atoms, hydroxy groups, cyano groups, nitro groups, carboxyl groups, carbamoyl groups, C_1 to C_6 alkyl groups, C_3 to C_8 cycloalkyl groups, C_2 to C_6 alkenyl groups, C_1 to C_6 alkoxy groups, C_1 to C_6 alkylthio groups, C_3 to C_5 alkylene groups, C_2 to C_4 alkylenoxy groups, C_1 to C_3 alkylenedioxy groups, phenyl groups, phenoxy groups, phenylthio groups, benzyl groups, benzyloxy groups, benzoylamino groups, C_2 to C_7 alkanoyl groups, C_2 to C_7 alkoxycarbonyl groups, C_2 to C_7 alkanoyloxy groups, C_2 to C_7 alkanoylamino groups, C_2 to C_7 N-alkylcarbamoyl groups, C_4 to C_9 N-cycloalkylcarbamoyl groups, C_1 to C_6 alkylsulfonyl groups, C_3 to C_8 (alkoxycarbonyl) methyl groups, N-phenylcarbamoyl groups, piperidinocarbonyl groups, morpholinocarbonyl groups, 1-pyrrolidinylcarbonyl groups, divalent groups represented by the formula: -NH(C=O)O-, divalent groups represented by the formula: -NH(C=S)O-, amino groups, mono(C_1 to C_6 alkyl)amino groups or di(C_1 to C_6 alkyl)amino groups, and further provided that the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring may further be substituted by the arbitrary number of halogen atoms, hydroxy groups, amino groups, trifluoromethyl groups, C_1 to C_6 alkyl groups or C_1 to C_6 alkoxy groups.

[0016] R^2 represents a hydrogen atom, a C_1 to C_6 alkyl group, a C_2 to C_7 alkoxycarbonyl group, a hydroxy group or a phenyl group, provided that the C_1 to C_6 alkyl group or the phenyl group in R^2 may be substituted by the arbitrary number of halogen atoms, hydroxy groups, C_1 to C_6 alkyl groups or C_1 to C_6 alkoxy groups, and provided that when j is 0, R^2 is not a hydroxy group.

j represents an integer of 0 to 2.
k represents an integer of 0 to 2.
m represents an integer of 2 to 4.
n represents 0 or 1.

[0017] R^3 represents a hydrogen atom or a C_1 to C_6 alkyl group which may be substituted (by one or two phenyl groups which may be substituted by the same or different arbitrary numbers of halogen atoms, hydroxy groups, C_1 to C_6 alkyl groups or C_1 to C_6 alkoxy groups, respectively).

[0018] R^4 and R^5, same or differently, represent a hydrogen atom, a hydroxy group, a phenyl group or a C_1 to C_6 alkyl group, respectively, and the C_1 to C_6 alkyl group in R^4 and R^5 may be substituted by the arbitrary number of halogen atoms, hydroxy groups, cyano groups, nitro groups, carboxyl groups, carbamoyl groups, mercapto groups, guanidino groups, C_3 to C_8 cycloalkyl groups, C_1 to C_6 alkoxy groups, C_1 to C_6 alkylthio groups, phenyl groups (which may be substituted by the arbitrary number of halogen atoms, hydroxy groups, C_1 to C_6 alkyl groups, C_1 to C_6 alkoxy groups or benzyloxy groups), phenoxy groups, benzyloxy groups, benzyloxycarbonyl groups, C_2 to C_7 alkanoyl groups, C_2 to C_7 alkoxycarbonyl groups, C_2 to C_7 alkanoyloxy groups, C_2 to C_7 alkanoylamino groups, C_2 to C_7 N-alkylcarbamoyl groups, C_1 to C_6 alkylsulfonyl groups, amino groups, mono(C_1 to C_6 alkyl)amino groups, di(C_1 to C_6 alkyl) amino groups or aromatic heterocyclic groups (having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms) or condensed rings formed by the condensation of the aromatic heterocyclic group with a benzene ring, or R^4 and R^5 may together form a three to six-membered cyclic hydrocarbon.

p represents 0 or 1.
q represents 0 or 1.

[0019]   G represents a group represented by -CO-, -SO$_2$-, -CO-O-, -NR^7-CO-, -CO-NR^7-, -NH-CO-NH-, -NH-CS-NH-, -NR^7-SO$_2$-, -SO$_2$-NR^7-, -NH-CO-O-, or -O-CO-NH-, provided that R^7 is a hydrogen atom or a C_1 to C_6 alkyl group, or R^7 may form a C_2 to C_5 alkylene group together with R^5.

[0020] R^6 represents a phenyl group, a C_3 to C_8 cycloalkyl group, a C_3 to C_6 cycloalkenyl group, a benzyl group or an aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms, provided that the phenyl group, the benzyl group or the aromatic heterocyclic group in the above-mentioned R^6 may be condensed, to make a condensed ring, with a benzene ring or an aromatic heterocyclic group having one or three atoms of oxygen, sulfur and/or nitrogen as heteroatoms, further provided that the phenyl group, the C_3 to C_8 cycloalkyl group, the C_3 to C_6 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed ring in the above-mentioned R^6 may be substituted by the arbitrary number of halogen atoms, hydroxy groups, mercapto groups, cyano groups, nitro groups, thiocyanato groups, carboxyl groups, carbamoyl groups, trifluoromethyl groups, C_1 to C_6 alkyl groups, C_3 to C_8 cycloalkyl groups, C_2 to C_6 alkenyl groups, C_1 to C_6 alkoxy groups, C_3 to C_8 cycloalkyloxy groups, C_1 to C_6 alkylthio groups, C_1 to C_3 alkylenedioxy groups, phenyl groups, phenoxy groups, phenylamino groups, benzyl groups, benzoyl groups, phenylsulfinyl groups, phenylsulfonyl groups, 3-phenylureido groups, C_2 to C_7 alkanoyl groups, C_2 to C_7 alkoxycarbonyl groups, C_2 to C_7 alkanoyloxy groups, C_2 to C_7 alkanoylamino group, C_2 to C_7 N-alkylcarbamoyl groups, C_1 to C_6 alkylsulfonyl groups, phenylcarbamoyl groups, N,N-di(C_1 to C_6 alkyl)sulfamoyl groups, amino groups,

mono(C_1 to C_6 alkyl)amino groups, di(C_1 to C_6 alkyl)amino groups, benzylamino groups, C_2 to C_7 (alkoxycarbonyl) amino groups, C_1 to C_6 (alkylsulfonyl)amino groups or bis(C_1 to C_6 alkylsulfonyl)amino groups, and further provided that the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the C_3 to C_8 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group, or the condensed ring may further be substituted by the arbitrary number of halogen atoms, cyano groups, hydroxy groups, amino groups, trifluoromethyl groups, C_1 to C_6 alkyl groups, C_1 to C_6 alkoxy groups, C_1 to C_6 alkylthio groups, mono(C_1 to C_6 alkyl)amino groups, or di(C_1 to C_6 alkyl)amino groups.].

[0021]   In accordance with the present invention, there is also provided a medicine which contains, as an active ingredient, the compound represented by the above-mentioned formula (I), the pharmaceutically acceptable acid addition salt thereof, or the pharmaceutically acceptable C_1 to C_6 alkyl addition salt thereof, and which is used for treating or preventing a disease concerned with CCR3.

[0022]   The compound represented by the above-mentioned formula (I) has an activity for inhibiting that the ligand of CCR3 receptor, such as eotaxin, binds to a target cell, and an activity for inhibiting the physiological actions of the ligand of CCR3, such as the eotaxin, on the target cell. Namely, the compound represented by the above-mentioned formula (I) is a CCR3 antagonist.

Best Mode for Carrying Out the Invention

[0023]   In the above-mentioned formula (I), R^1 represents a phenyl group, a C_3 to C_8 cycloalkyl group, or an aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms, provided that the phenyl group or the aromatic heterocyclic group in the above-mentioned R^1 may be condensed with a benzene ring, or an aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms to form a condensed ring, further provided that the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring may be substituted by the arbitrary number of halogen atoms, hydroxy groups, cyano groups, nitro groups, carboxyl groups, carbamoyl groups, C_1 to C_6 alkyl groups, C_3 to C_8 cycloalkyl groups, C_2 to C_6 alkenyl groups, C_1 to C_6 alkoxy groups, C_1 to C_6 alkylthio groups, C_3 to C_5 alkylene groups, C_2 to C_4 alkylenoxy groups, C_1 to C_3 alkylenedioxy groups, phenyl groups, phenoxy groups, phenylthio groups, benzyl groups, benzyloxy groups, benzoylamino groups, C_2 to C_7 alkanoyl groups, C_2 to C_7 alkoxycarbonyl groups, C_2 to C_7 alkanoyloxy groups, C_2 to C_7 alkanoylamino groups, C_2 to C_7 N-alkylcarbamoyl groups, C_4 to C_9 N-cycloalkylcarbamoyl groups, C_1 to C_6 alkylsulfonyl groups, C_3 to C_8 (alkoxycarbonyl)methyl groups, N-phenylcarbamoyl groups, piperidinocarbonyl groups, morpholinocarbonyl groups, 1-pyrrolidinylcarbonyl groups, divalent groups represented by the formula: -NH(C=O)O-, divalent groups represented by the formula: -NH(C=S)O-, amino groups, mono(C_1 to C_6 alkyl)amino groups or di(C_1 to C_6 alkyl)amino groups.

[0024]   "The C_3 to C_8 cycloalkyl group" in R^1 means a cyclic alkyl group such as a cyclopropyl group, a cyclobutyl group, a cyclopentyl group, a cyclohexyl group, a cycloheptyl group or a cyclooctyl group, and includes a cyclopropyl group, a cyclopentyl group, a cyclohexyl group and the like as preferable concrete examples.

[0025]   "The aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms" in R^1 means an aromatic heterocyclic group such as a thienyl group, a furyl group, a pyrrolyl group, an imidazolyl group, a pyrazolyl group, an oxazolyl group, an isoxazolyl group, a thiazolyl group, an isothiazolyl group, a pyridyl group, a pyrimidinyl group, a triazinyl group, a triazolyl group, an oxadiazolyl (furazanyl) group or a thiadiazolyl group, and includes a thienyl group, a furyl group, a pyrrolyl, an isoxazolyl group, a pyridyl group and the like as preferable concrete examples.

[0026]   "The condensed ring" in R^1 means a bicyclic aromatic heterocyclic group which is formed by condensing the above-mentioned benzene ring or aromatic heterocyclic group with a benzene ring or an aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms at an arbitrary possible position, and includes a naphthyl group, an indolyl group, a benzofuranyl group, a benzothienyl group, a quinolyl group, a benzimidazolyl group, a benzoxazolyl group, a benzotriazolyl group, a benzoxadiazolyl (benzofurazanyl) group, a benzothiadiazolyl group and the like as preferable concrete examples.

[0027]   A phenyl group, a thienyl group, a pyrazolyl group, an isoxazolyl group, a benzofuranyl group or an indolyl group is especially preferable as R^1.

[0028]   "The halogen atom" as the substituent on the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring, in R^1, means a fluorine atom, a chlorine atom, a bromine atom, an iodine atom or the like.

[0029]   "The C_1 to C_6 alkyl group" as the substituent of R^1 means a C_1 to C_6 straight-chain or branched alkyl group such as a methyl group, an ethyl group, a n-propyl group, a n-butyl group, a n-pentyl group, a n-hexyl group, a n-heptyl group, a n-octyl group, an isopropyl group, an isobutyl group, a sec-butyl group, a tert-butyl group, an isopentyl group, a neopentyl group, a tert-pentyl group, an isohexyl group, a 2-methylpentyl group or a 1-ethylbutyl group, and includes a methyl group, an ethyl group, a propyl group, an isopropyl group and the like as preferable concrete examples.

[0030]   "The C_3 to C_8 cycloalkyl group" as the substituent of R^1 is the same as the definition of "the C_3 to C_8 cycloalkyl

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group" in the above-mentioned R^1, and includes the same groups as preferable concrete examples.

[0031] "The C_2 to C_6 alkenyl group" as the substituent of R^1 means a C_2 to C_6 straight-chain or branched alkenyl group such as a vinyl group, an allyl group, a 1-propenyl group, a 2-butenyl group, a 3-butenyl group, a 2-methyl-1-propenyl group, a 4-pentenyl group, a 5-hexenyl group or a 4-methyl-3-pentenyl group, and includes a vinyl group, a 2-methyl-1-propenyl group and the like as preferable concrete examples.

[0032] "The C_1 to C_6 alkoxy group" as the substituent of R^1 means a group comprising the above-mentioned C_1 to C_6 alkyl group and an oxy group, and includes a methoxy group, an ethoxy group and the like as preferable concrete examples.

[0033] "The C_1 to C_6 alkylthio group" as the substituent of R^1 means a group comprising the above-mentioned C_1 to C_6 alkyl group and a thio group, and includes a methylthio group, an ethylthio group and the like as preferable concrete examples.

[0034] "The C_3 to C_5 alkylene group" as the substituent of R^1 means a C_3 to C_5 divalent alkylene group such as a trimethylene group, a tetramethylene group, a pentamethylene group or a 1-methyltrimetylene group, and includes a trimethylene group, a tetramethylene group and the like as preferable concrete examples.

[0035] "The C_2 to C_4 alkylenoxy group" as the substituent of R^1 means a group comprising a C_2 to C_4 divalent alkylene group and an oxy group, such as an ethylenoxy group ($-CH_2CH_2O-$), a trimethylenoxy group ($-CH_2CH_2CH_2O-$), a tetramethylenoxy group ($-CH_2CH_2CH_2CH_2O-$) or a 1,1-dimethylethylenoxy group [$-CH_2C(CH_3)_2O-$], and includes an ethylenoxy group, a trimethylenoxy group and the like as preferable concrete examples.

[0036] "The C_1 to C_3 alkylenedioxy group" as the substituent of R^1 means a group comprising a C_1 to C_3 divalent alkylene group and two oxy groups, such as a methylenedioxy group ($-OCH_2O-$), an ethylenedioxy group ($-OCH_2CH_2O-$), a trimethylenedioxy group ($-OCH_2CH_2CH_2O-$), a propylenedioxy group [$-OCH_2CH(CH_3)O-$], and includes a methylenedioxy group, an ethylenedioxy group and the like as preferable concrete examples.

[0037] "The C_2 to C_7 alkanoyl group" as the substituent of R^1 means a C_2 to C_7 straight-chain or branched alkanoyl group such as an acetyl group, a propanoyl group, a butanoyl group, a pentanoyl group, a hexanoyl group, a heptanoyl group, an isobutyryl group, a 3-methylbutanoyl group, a 2-methylbutanoyl group, a pivaloyl group, a 4-methylpentanoyl group, a 3,3-dimethylbutanoyl group or a 5-methylhexanoyl group, and includes an acetyl group and the like as preferable concrete examples.

[0038] "The C_2 to C_7 alkoxycarbonyl group" as the substituent of R^1 means a group comprising a C_1 to C_6 alkoxy group and a carbonyl group, and includes a methoxycarbonyl group, an ethoxycarbonyl group and the like as preferable concrete examples.

[0039] "The C_2 to C_7 alkanoyloxy group" as the substituent of R^1 means a group comprising a C_2 to C_7 alkanoyl group and an oxy group, and includes an acetyloxy group and the like as preferable concrete examples.

[0040] "The C_2 to C_7 alkanoylamino group" as the substituent of R^1 means a group comprising a C_2 to C_7 alkanoyl group and an amino group, and includes an acetylamino group and the like as preferable concrete examples.

[0041] "The C_2 to C_7 alkylcarbamoyl group" as the substituent of R^1 means a group comprising a C_1 to C_6 alkyl group and a carbamoyl group, and includes a N-methylcarbamoyl group, a N-ethylcarbamoyl group and the like as preferable concrete examples.

[0042] " The C_4 to C_9 N-cycloalkylcarbamoyl group " as the substituent of R^1 means a group comprising a C_3 to C_8 cycloalkyl group and a carbamoyl group, and includes a N-cyclopentylcarbamoyl group, a N-cyclohexylcarbamoyl group and the like as preferable concrete examples.

[0043] "The C_1 to C_6 alkylsulfonyl group" as the substituent of R^1 means a group comprising a C_1 to C_6 alkyl group and a sulfonyl group, and includes a methylsulfonyl group and the like as preferable concrete examples.

[0044] "The C_3 to C_8 (alkoxycarbonyl)methyl group" as the substituent of R^1 means a group comprising a C_2 to C_7 alkoxycarbonyl group and a methyl group, and includes a methoxycarbonylmethyl group, an ethoxycarbonylmethyl group and the like as preferable concrete examples.

[0045] "The mono(C_1 to C_6 alkyl)amino group" as the substituent of R^1 means an amino group substituted by the C_1 to C_6 alkyl group, and includes a methylamino group, an ethylamino group and the like as preferable concrete examples.

[0046] "The di(C_1 to C_6 alkyl)amino group" as the substituent of R^1 means an amino group substituted by the same or different two C_1 to C_6 alkyl groups, and includes a dimethylamino group, a diethylamino group, N-ethyl-N-methyl-amino group and the like as preferable concrete examples.

[0047] Among the above-mentioned groups, the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in R^1 include halogen atoms, hydroxy groups, C_1 to C_6 alkyl groups, C_2 to C_6 alkenyl groups, C_1 to C_6 alkoxy groups, C_1 to C_6 alkylthio groups, C_3 to C_5 alkylene groups, C_2 to C_4 alkylenoxy groups, methylenedioxy groups, phenyl groups, N-phenylcarbamoyl groups, amino groups and di(C_1 to C_6 alkyl)amino groups as especially preferable concrete examples. The substituents especially preferably include halogen atoms, hydroxy groups, C_1 to C_6 alkyl groups, C_1 to C_6 alkoxy groups, C_1 to C_6 alkylthio groups, methylenedioxy groups and N-phenylcarbamoyl groups.

[0048] Further, the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in R^1 may be substituted by the arbitrary number of halogen atoms, hydroxy groups, amino groups, trifluoromethyl groups, C_1 to C_6 alkyl groups or C_1 to C_6 alkoxy groups. The halogen atoms, the C_1 to C_6 alkyl groups and the C_1 to C_6 alkoxy groups are the same as defined as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in R^1, and include the same groups as preferable concrete examples.

[0049] In the formula (I), R^2 represents a hydrogen atom, a C_1 to C_6 alkyl group, a C_2 to C_7 alkoxycarbonyl group, a hydroxy group or a phenyl group, and the C_1 to C_6 alkyl group or the phenyl group in R^2 may be substituted by the arbitrary number of halogen atoms, hydroxy groups, C_1 to C_6 alkyl groups or C_1 to C_6 alkoxy groups, provided that R^2 is not the hydroxy group, when j is 0.

[0050] The C_1 to C_6 alkyl group and the C_2 to C_7 alkoxycarbonyl group in R^2 are the same as defined as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in R^1, and include the same groups as preferable concrete examples.

[0051] The halogen atoms, C_1 to C_6 alkyl groups and C_1 to C_6 alkoxy groups as the substituents of the C_1 to C_6 alkyl group or the phenyl group in R^2 are the same as defined as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in R^1, and includes the same examples, respectively, as preferable concrete examples.

[0052] Among groups, a case that R^2 represents a hydrogen atom is most preferable.

[0053] In the formula (I), j represents an integer of 0 to 2. A case that j is 0 is most preferable.

[0054] In the formula (I), k represents an integer of 0 to 2, and m represents an integer of 2 to 4. Among them, the 2-substituted pyrrolidine compound in a case that k and m are 0 and 3, respectively, the 3-substituted pyrrolidine compound in a case that k and m are 1 and 2, respectively, the 3-substituted piperidine compound in a case that k and m are 1 and 3, respectively, 4-substituted piperidine compound in a case that k and m are 2 and 2, respectively, and the 3-substituted hexahydroazepine in a case that k and m are 1 and 4, respectively, are preferable. Especially preferably, the 3-substituted pyrrolidine compound in the case that k and m are 1 and 2, respectively, and the 4-substituted piperidine compound in the case that k and m are 2 and 2, respectively, are included.

[0055] In the formula (I), n represents 0 or 1.

[0056] Especially, the 3-amidopyrrolidine compound in a case that k, m and n are 1, 2 and 0, respectively, and the 4-(amidomethyl)piperidine in a case that k, m and n are 2, 2 and 1, respectively, are preferable.

[0057] In the formula (I), R^3 represents a hydrogen atom or a C_1 to C_6 alkyl group which may be substituted (by one or two phenyl groups which may be substituted by the arbitrary number of the same or different halogen atoms, hydroxy groups, C_1 to C_6 alkyl groups or C_1 to C_6 alkoxy groups).

[0058] The C_1 to C_6 alkyl group in R^3 is the same as defined as the substituent of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group, or the condensed ring in the above-mentioned R^1, and includes methyl group, ethyl group and propyl group as preferable concrete examples.

[0059] The halogen atoms, the C_1 to C_6 alkyl groups and the C_1 to C_6 alkoxy groups as the substituents of the phenyl group as the substituent of the C_1 to C_6 alkyl group in R^3 are the same as defined as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in the above-mentioned R^1, and includes the same examples as preferable concrete examples.

[0060] Among them, the case in which R^3 is a hydrogen atom or a non-substituted C_1 to C_6 alkyl groups, is the most favorable.

[0061] In the formula (I), R^4 and R^5, same or differently, represent a hydrogen atom, a hydroxy group, a phenyl group or a C_1 to C_6 alkyl group, respectively, and the C_1 to C_6 alkyl group in R^4 and R^5 may be substituted by the arbitrary number of halogen atoms, hydroxy groups, cyano groups, nitro groups, carboxyl groups, carbamoyl groups, mercapto groups, guanidino groups, C_3 to C_8 cycloalkyl groups, C_1 to C_6 alkoxy groups, C_1 to C_6 alkylthio groups, phenyl groups (which may be substituted by the arbitrary number of halogen atoms, hydroxy groups, C_1 to C_6 alkyl groups, C_1 to C_6 alkoxy groups or benzyloxy groups), phenoxy groups, benzyloxy groups, benzyloxycarbonyl groups, C_2 to C_7 alkanoyl groups, C_2 to C_7 alkoxycarbonyl groups, C_2 to C_7 alkanoyloxy groups, C_2 to C_7 alkanoylamino groups, C_2 to C_7 N-alkylcarbamoyl groups, C_1 to C_6 alkylsulfonyl groups, amino groups, mono(C_1 to C_6 alkyl)amino group, di(C_1 to C_6 alkyl)amino group, or aromatic heterocyclic groups (having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms) or condensed rings formed by condensing the aromatic heterocyclic groups with a benzene ring, or R^4 and R^5 may be bound to each other to form a three to six-membered cyclic hydrocarbon.

[0062] The C_1 to C_6 alkyl group in R^4 and R^5 is the same as defined as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in the above-mentioned R^1, and includes the same examples as preferable concrete examples.

[0063] The halogen atom, C_1 to C_6 alkoxy group, C_1 to C_6 alkylthio group, C_2 to C_7 alkanoyl group, C_2 to C_7 alkanoyl group, C_2 to C_7 alkoxycarbonyl group, C_2 to C_7 alkanoyloxy group, C_2 to C_7 alkanoylamino group, C_2 to C_7 N-alkylcarbamoyl group, C_1 to C_6 alkylsulfonyl group, mono(C_1 to C_6 alkyl)amino group and di(C_1 to C_6 alkyl)amino group as

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the substituents of the C_1 to C_6 alkyl group in R^4 and R^5, are the same as defined as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in the above-mentioned R^1, and includes the same examples, respectively, as preferable concrete examples.

[0064] The C_3 to C_8 cycloalkyl group, and the aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/or nitrogen heteroatoms as the substituents of the C_1 to C_6 alkyl group in R^4 and R^5 are the same as defined in the above-mentioned R^1, and includes the same examples, respectively, as preferable concrete examples.

[0065] The halogen atom, the C_1 to C_6 alkyl group and the C_1 to C_6 alkoxy group as the substituents of the phenyl group as the substituent of the C_1 to C_6 alkyl group in R^4 and R^5, are the same as defined as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in the above-mentioned R^1, and includes the same examples, respectively, as preferable concrete examples.

[0066] The preferable concrete examples of "the three to six-membered cyclic hydrocarbon" comprising R^4, R^5 and the adjacent carbon atom includes cyclopropane, cyclobutane, cyclopentane and cyclohexane. Among the groups, the hydrogen atom and the C_1 to C_6 alkyl group are the especially preferable examples of R^4 and R^5.

[0067] In the above-mentioned formula (I), p represents 0 or 1, and q represents 0 or 1. A case that both p and q are 0 is especially preferable.

[0068] In the above-mentioned formula (I), G represents a group represented by -CO-, -SO$_2$-, -CO-O-, -NR^7-CO-, -CO-NR^7-, -NH-CO-NH-, -NH-CS-NH-, -NR^7-SO$_2$-, -SO$_2$-NR^7-, -NH-CO-O- or -O-CO-NH-. R^7 represents a hydrogen atom or a C_1 to C_6 alkyl group, or R^7 may form a C2 to C5 alkylene group together with R^5.

[0069] The -CO-, -SO$_2$- and -CS- means a carbonyl group, a sulfonyl group and a thiocarbonyl group, respectively. The especially preferable example of G includes a group represented by -NR^7-CO- and a group represented by -NH-CO-NH-.

[0070] The C_1 to C_6 alkyl group in R^7 is the same as defined as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in the above-mentioned R^1, and includes the same examples as preferable concrete examples.

[0071] "The C_2 to C_5 alkylene group" comprising R^5 and R^7 means a C_2 to C_5 straight-chain or branched alkylene group such as a methylene group, an ethylene group, a propylene group, a trimethylene group, a tetramethylene group, a 1-methyltrimethylene group or a pentamethylene group, and includes an ethylene group, a trimethylene group and a tetramethylene group as the preferable concrete examples. Among the groups, R^7 includes the hydrogen atom as an especially preferable example.

[0072] In the above-mentioned formula (I), R^6 represents a phenyl group, a C_3 to C_8 cycloalkyl group, a C_3 to C_6 cycloalkenyl group, a benzyl group or an aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/ or nitrogen as heteroatoms, and the phenyl group, the benzyl group or the aromatic heterocyclic group in R^6 may be condensed, to make s condensed ring, with a benzene ring or an aromatic heterocyclic group having one to three atoms of oxygen sulfur, and/or nitrogen as heteroatoms. Further, the phenyl group, the C_3 to C_8 cycloalkyl group, the C_3 to C_6 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed ring in R^6 may be substituted by the arbitrary number of halogen atoms, hydroxy groups, mercapto groups, cyano groups, nitro groups, thiocyanato groups, carboxyl groups, carbamoyl groups, trifluoromethyl groups, C_1 to C_6 alkyl groups, C_3 to C_8 cycloalkyl groups, C_2 to C_6 alkenyl groups, C_1 to C_6 alkoxy groups, C_3 to C_8 cycloalkylthio groups, C_1 to C6 alkyloxy groups, C_1 to C_3 alkylenedioxy groups, phenyl groups, phenoxy groups, phenylamino groups, benzyl groups, benzoyl groups, phenylsulfinyl groups, phenylsulfonyl groups, 3-phenylureido groups, C_2 to C_7 alkanoyl groups, C_2 to C_7 alkoxycarbonyl groups, C_2 to C_7 alkanoyloxy groups, C_2 to C_7 alkanoylamino groups, C_2 to C_7 N-alkylcarbamoyl groups, C_1 to C_6 alkylsulfonyl groups, phenylcarbamoyl groups, N,N-di(C_1 to C_6 alkyl)sulfamoyl groups, amino groups, mono(C_1 to C_6 alkyl)amino groups, di(C_1 to C_6 alkyl)amino groups, benzyl amino groups, C_2 to C_7 (alkoxycarbonyl)amino groups, C_1 to C_6 (alkylsulfonyl)amino groups or bis(C_1 to C_6 alkylsulfonyl)amino groups.

[0073] The C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/or nitrogen, and the condensed ring are the same as defined as the above-mentioned R^1, and includes the same examples, respectively, as preferable concrete examples.

[0074] "The C_3 to C_8 cycloalkenyl group" in R^6 means a cyclic alkenyl group such as a cyclobutenyl group, a cyclopentenyl group, a cyclohexenyl group, a cycloheptenyl group and a cyclooctenyl group, and includes a 1-cyclopentenyl group and a 1-cyclohexenyl group as preferable concrete examples. Among the groups, R^6 include a phenyl group, a furyl group, a thienyl group, an indolyl group and a benzofurazanyl group as especially preferable examples.

[0075] The halogen atom, the C_1 to C_6 alkyl group, the C_2 to C_6 alkenyl group, the C_1 to C_6 alkoxy group, the C_1 to C_6 alkylthio group, the C_1 to C_3 alkylenedioxy group, the C_2 to C_7 alkanoyl group, the C_2 to C_7 alkoxycarbonyl group, the C_2 to C_7 alkanoyloxy group, C_2 to C_7 alkanoylamino group, the C_2 to C_7 N-alkylcarbamoyl group, the C_1 to C_6 alkylsulfonyl group, the mono(C_1 to C_6 alkyl) amino group and the di(C_1 to C_6 alkyl)amino group as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in R^6 are the same as defined as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the C_3 to C_6 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed ring in the above-mentioned R^1, and includes the

same examples as preferable concrete examples.

[0076] The C_3 to C_8 cycloalkyl group as the substituent of R^6 is the same as defined as the C_3 to C_8 cycloalkyl group in the above-mentioned R^1, and cludes the same examples as preferable concrete examples.

[0077] "The C_3 to C_8 cycloalkyloxy group" as the substituent of R^6 means a group comprising the above-mentioned C_3 to C_8 cycloalkyl group and an oxy group, and includes a cyclopropyloxy group, a cyclopentyloxy group, a cyclohexyloxy group and the like as preferable concrete examples.

[0078] "The N,N-di(C_1 to C_6 alkyl)sulfamoyl group" as the substituent of R^6 means a sulfamoyl group substituted by two same or different above-mentioned C_1 to C_6 alkyl groups, and includes N,N-dimethylsulfamoyl group, N,N-diethylsulfamoyl group, N-ethyl-N-methylsulfamoyl group and the like as preferable concrete examples.

[0079] "The C_2 to C_7 (alkoxycarbonyl)amino group" as the substituent of R^6 means a group comprising the above-mentioned C_2 to C_7 alkoxycarbonyl group and an amino group, and includes a methoxycarbonylamino group, an ethoxycarbonylamino group and the like as preferable concrete examples.

[0080] "The C_1 to C_6 (alkylsulfonyl)amino group" as the substituent of R^6 means a group comprising the above-mentioned C_1 to C_6 alkylsulfonyl group, an amino group and the like, and includes a (methylsulfonyl)amino group as a preferable concrete example.

[0081] "The bis(C_1 to C_6 alkylsulfonyl)amino group" as the substituent of R^6 means an amino group substituted by two same or different C_1 to C_6 alkylsulfonyl groups, and includes a bis(methylsulfonyl)amino group and the like as a preferable concrete example.

[0082] Especially, the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the C_3 to C_8 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed ring in R^6 include a halogen atom, a mercapto group, a nitro group, a trifluoromethyl group, a C_1 to C_6 alkyl group, a C_1 to C_6 alkoxy group, a phenyl group, a benzyloxy group, a phenylsulfinyl group, a C_2 to C_7 alkanoyl group, a C_2 to C_7 alkanoylamino group, an amino group and the like as preferable examples. The halogen atom, the nitro group, the trifluoromethyl group, the C_1 to C_6 alkyl group, the C_1 to C_6 alkoxy group, the phenylsulfinyl group and the amino group are included as especially preferable examples.

[0083] Additionally, the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the C_3 to C_8 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed ring in R^6 may further be substituted by the arbitrary number of halogen atoms, cyano groups, hydroxy groups, amino groups, trifluoromethyl groups, C_1 to C_6 alkyl groups, C_1 to C_6 alkoxy groups, C_1 to C_6 alkylthio groups, mono(C_1 to C_6 alkyl)amino groups or di(C_1 to C_6 alkyl) amino groups.

[0084] The halogen atom, the C_1 to C_6 alkyl group, the C_1 to C_6 alkoxy group, the C_1 to C_6 alkylthio group, the mono (C_1 to C_6 alkyl)amino group and the di(C_1 to C_6 alkyl)amino group as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the C_3 to C_8 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed ring in R^6 are the same defined as the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in the above-mentioned R^1, and includes the same examples as preferable concrete examples.

[0085] By making a therapeutically effective amount of the compound represented by the above-mentioned formula (I), the pharmaceutically acceptable acid addition salt thereof or the pharmaceutically acceptable C_1 to C_6 alkyl addition salt thereof into a pharmaceutical composition together with a pharmaceutically acceptable carrier and/or a pharmaceutically acceptable diluent, the medicine for inhibiting that the ligand of CCR3, such as eotaxin, binds to the CCR3 on a target cell, the medicine for inhibiting the physiological actions of the ligand of the CCR3, such as the eotaxin, on the target cell, and further the medicine for treating or preventing diseases in which the CCR3 is supposed to participate, as the medicine of the present invention, can be prepared. Namely, the cyclic amine derivative represented by the general formula (I), the pharmaceutically acceptable acid addition thereof, or the pharmaceutically acceptable C_1 to C_6 alkyl addition salt thereof can be administered orally or parenterally such as intravenously, subcutaneously, intramuscularly, percutaneously or intrarectally.

[0086] The dosage form of the oral administration includes tablets, pills, granules, powders, liquids, suspensions and capsules.

[0087] The tablets can be prepared using a vehicle such as lactose, starch or crystalline cellulose, a binder such as carboxymethylcellulose, methylcellulose or polyvinylpyrrolidone, a disintegrator such as sodium alginate, sodium bicarbonate or sodium lauryl sulfate, and so on, by a conventional method.

[0088] The pills, the powders or the granules can also be prepared using the above-mentioned vehicle and so on by a conventional method. The liquids or the suspensions are prepared using a glycerol ester such as tricaprylin or triacetin, an alcohol such as ethanol and so on by a conventional method. The capsules are prepared by filling capsules made from gelatin or the like with the granules, the powder, the liquids or the like.

[0089] The dosage form for subcutaneous, intramuscular or intravenous administration includes injections in the forms of aqueous or non-aqueous solutions. The aqueous solutions include, for example, isotonic sodium chloride solution or the like. The non-aqueous solutions include, for example, propylene glycol, poly(ethylene glycol), olive oil, ethyl oleate or the like. The solutions, if necessary, further contain a antiseptic, a stabilizer and so on. The injections

are sterilized by suitably carrying out the filtration with a bacterial filter and the treatment by the addition of a disinfectant.

[0090]   The dosage form for the percutaneous administration includes an ointment and a cream. The ointment is prepared using a fatty oil or a fat such as castor oil or olive oil. petrolatum or the like by a conventional method. and the cream is prepared using a fatty oil or an emulsifier such as di(ethylene glycol) or a sorbitan monofatty acid ester by a conventional method.

[0091]   Ordinary suppositories such as gelatin soft capsules are used for intrarectal administration.

[0092]   The dose of the cyclic amine derivative of the present invention, the pharmaceutically acceptable acid addition salt thereof or the pharmaceutically acceptable C_1 to C_6 alkyl addition salt thereof depends on the kind of a disease, an administration route, the age and sex of the patient and the severity of a disease, but is usually 1 to 500 mg / day / adult.

[0093]   The suitable concrete examples of the cyclic amine derivative of the above-mentioned formula (I) includes compounds containing substituents, respectively, shown in the following Tables 1.1 to 1.221.

[0094]   In the Tables 1.1 to 1.221, "chirality" means "an absolute configuration", namely the absolute configuration of an asymmetric carbon on the ring of the cyclic amine. "R" means that an asymmetric carbon on the ring of the cyclic amine has the absolute configuration of R, and "S" means that the asymmetric carbon has the absolute configuration of S. " - " means that the compound is a racemate or does not have an asymmetric carbon on the cyclic amine.

Table 1.1

Compd. No.	R^1 R^2 $>$CH$-$(CH$_2$)$_i-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$-$CR^{4}R^5$-$(CH$_2$)$_q$$-G-$R^6
1	Cl$-$⟨C$_6$H$_4$⟩$-$CH$_2-$	1	2	0	-	H	$-$CH$_2$$-NH-$C(=O)$-C_6H_5$
2	Cl$-$⟨C$_6$H$_4$⟩$-$CH$_2-$	1	2	0	-	H	$-$CH$_2$$-NH-$C(=O)$-C_6H_4$(3-CH$_3$)
3	Cl$-$⟨C$_6$H$_4$⟩$-$CH$_2-$	1	2	0	-	H	$-$CH$_2$$-NH-$C(=O)$-$(pyridin-3-yl)
4	Cl$-$⟨C$_6$H$_4$⟩$-$CH$_2-$	1	2	0	-	H	$-$CH$_2$$-NH-$C(=O)$-C_6H_4$(3-CF$_3$)
5	Cl$-$⟨C$_6$H$_4$⟩$-$CH$_2-$	1	2	0	S	H	$-$CH$_2$$-NH-$C(=O)$-C_6H_3$(3,5-(CF$_3$)$_2$)
6	Cl$-$⟨C$_6$H$_4$⟩$-$CH$_2-$	1	2	0	S	H	$-$CH$_2$$-NH-$C(=O)$-C_6H_4$(2-CF$_3$)
7	Cl$-$⟨C$_6$H$_4$⟩$-$CH$_2-$	1	2	0	S	H	$-$CH$_2$$-NH-$C(=O)$-C_6H_4$(3-Br)
8	Cl$-$⟨C$_6$H$_4$⟩$-$CH$_2-$	1	2	0	S	H	$-$CH$_2$$-NH-$C(=O)$-C_6H_4$(3-F)
9	Cl$-$⟨C$_6$H$_4$⟩$-$CH$_2-$	1	2	0	S	H	$-$CH$_2$$-NH-$C(=O)$-C_6H_3$(3,4-Cl$_2$)
10	Cl$-$⟨C$_6$H$_4$⟩$-$CH$_2-$	1	2	0	S	H	$-$CH$_2$$-NH-$C(=O)$-C_6H_4$(3-OCH$_3$)
11	Cl$-$⟨C$_6$H$_4$⟩$-$CH$_2-$	1	2	0	S	H	$-$CH$_2$$-NH-$C(=O)$-C_6H_3$(3,4-(OCH$_3$)$_2$)

Table 1.2

Compd. No.	$R^1 R^2$ C-(CH$_2$)$_i$-	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{C}} (CH_2)_q G-R^6$
12	Cl—⬡—CH$_2$-	1	2	0	S	H	—CH$_2$—N(H)—C(=O)—⬡(OCH$_3$)(OCH$_3$)
13	Cl—⬡—CH$_2$-	1	2	0	S	H	—CH$_2$—N(H)—C(=O)—⬡(CF$_3$)
14	Cl—⬡—CH$_2$-	1	2	0	S	H	—CH$_2$—N(H)—C(=O)—⬡(CH$_3$)
15	Cl—⬡—CH$_2$-	1	2	0	S	H	—CH$_2$—N(H)—C(=O)—⬡(Cl)
16	Cl—⬡—CH$_2$-	1	2	0	S	H	—CH$_2$—N(H)—C(=O)—⬡(OCH$_3$)
17	Cl—⬡—CH$_2$-	1	2	0	S	H	—CH$_2$—N(H)—C(=O)—⬡(Cl)(Cl)
18	Cl—⬡—CH$_2$-	1	2	0	S	H	—CH$_2$—N(H)—C(=O)—⬡(CN)
19	Cl—⬡—CH$_2$-	1	2	0	S	H	—CH$_2$—N(H)—C(=O)—⬡(O—CH$_2$—O)
20	Cl—⬡—CH$_2$-	1	2	0	S	H	—CH$_2$—N(H)—C(=O)—⬡(F)(CF$_3$)
21	Cl—⬡—CH$_2$-	1	2	0	S	H	—CH$_2$—N(H)—C(=O)—⬡(CF$_3$)(F)
22	Cl—⬡—CH$_2$-	1	2	0	S	H	—CH$_2$—N(H)—C(=O)—⬡(CF$_3$)(F)

Table 1.3

Compd. No.	$\begin{array}{c} R^1 \\ R^2 \end{array}$ $(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
23	Cl—⟨ ⟩—CH₂–	1	2	0	S	H	–CH₂–NH–C(=O)– aryl (CF₃, F)
24	Cl—⟨ ⟩—CH₂–	1	2	0	S	H	–CH₂–NH–C(=O)– aryl (OCF₃)
25	Cl—⟨ ⟩—CH₂–	1	2	0	S	H	–CH₂–NH–C(=O)– aryl (CF₃, F)
26	Cl—⟨ ⟩—CH₂–	1	2	0	S	H	–CH₂–NH–C(=O)– aryl (O₂N)
27	Cl—⟨ ⟩—CH₂–	1	2	0	S	H	–CH₂–NH–C(=O)– aryl (NO₂)
28	Cl—⟨ ⟩–CH₂–	1	2	0	S	H	–CH₂–NH–C(=O)– aryl (NO₂)
29	Cl—⟨ ⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– aryl (CF₃, CF₃)
30	Cl—⟨ ⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– aryl (F₃C)
31	Cl—⟨ ⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– aryl (Br)
32	Cl—⟨ ⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– aryl (F)
33	Cl—⟨ ⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– aryl (Cl, Cl)

Table 1.4

Compd. No.	$R^1R^2\!\!>\!\!-(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q G-R^6$
34	Cl—⟨⟩—CH$_2$-	1	2	0	R	H	
35	Cl—⟨⟩—CH$_2$-	1	2	0	R	H	
36	Cl—⟨⟩—CH$_2$-	1	2	0	R	H	
37	Cl—⟨⟩—CH$_2$-	1	2	0	R	H	
38	Cl—⟨⟩—CH$_2$-	1	2	0	R	H	
39	Cl—⟨⟩—CH$_2$-	1	2	0	R	H	
40	Cl—⟨⟩—CH$_2$-	1	2	0	R	H	
41	Cl—⟨⟩—CH$_2$-	1	2	0	R	H	
42	Cl—⟨⟩—CH$_2$-	1	2	0	R	H	
43	Cl—⟨⟩—CH$_2$-	1	2	0	R	H	
44	Cl—⟨⟩—CH$_2$-	1	2	0	R	H	

Table 1.5

Compd. No.	R^1 R^2>(CH$_2$)$_j$–	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
45	Cl–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(2-F, 5-CF$_3$-phenyl)
46	Cl–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(3-CF$_3$, 5-F-phenyl)
47	Cl–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(3-OCF$_3$-phenyl)
48	Cl–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(3-CF$_3$, 4-F-phenyl)
49	Cl–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(2-O$_2$N-phenyl)
50	Cl–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(2-F, 3-CF$_3$-phenyl)
51	Cl–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(2-Br-phenyl)
52	Cl–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(2-F-phenyl)
53	Cl–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(2-Cl-phenyl)
54	Cl–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(2,3-Cl$_2$-phenyl)
55	Cl–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(2,4-Cl$_2$-phenyl)

Table 1.6

Compd. No.	R^1 R^2 $\rangle$(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—C(R^4)(R^5)—(CH$_2$)$_q$—G—R^6
56	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(2-CH$_3$)C$_6$H$_4$
57	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	H	H	—CH$_2$—NH—C(=O)—(2,6-(CH$_3$)$_2$)C$_6$H$_3$
58	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(3-Cl)C$_6$H$_4$
59	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(4-Br)C$_6$H$_4$
60	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(4-C$_6$H$_5$)C$_6$H$_4$
61	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(4-CF$_3$)C$_6$H$_4$
62	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(4-CH$_3$)C$_6$H$_4$
63	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(4-CH$_2$CH$_3$)C$_6$H$_4$
64	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(4-CN)C$_6$H$_4$
65	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(1-naphthyl)
66	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(2-naphthyl)

Table 1.7

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}{>}C(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
67	Cl—⟨⟩—CH₂-	1	2	0	R	H	—CH₂—NH—CO—(2,5-difluorophenyl)
68	Cl—⟨⟩—CH₂-	1	2	0	R	H	—CH₂—NH—CO—(3,4-difluorophenyl)
69	Cl—⟨⟩—CH₂-	1	2	0	R	H	—CH₂—NH—CO—(2,4-difluorophenyl)
70	Cl—⟨⟩—CH₂-	1	2	0	R	H	—CH₂—NH—CO—(3,5-difluorophenyl)
71	Cl—⟨⟩—CH₂-	1	2	0	R	H	—CH₂—NH—CO—(2,4-dimethoxyphenyl)
72	Cl—⟨⟩—CH₂-	1	2	0	R	H	—CH₂—NH—CO—(4-OCF₃-phenyl)
73	Cl—⟨⟩—CH₂-	1	2	0	R	H	—CH₂—NH—CO—(2-F₃CO-phenyl)
74	Cl—⟨⟩—CH₂-	1	2	0	R	H	—CH₂—NH—CO—(4-CO₂CH₃-phenyl)
75	Cl—⟨⟩—CH₂-	1	2	0	R	H	—CH₂—NH—CO—(2-F₃C-4-F-phenyl)
76	Cl—⟨⟩—CH₂-	1	2	0	R	H	—CH₂—NH—CO—(2-F-6-F₃C-phenyl)
77	Cl—⟨⟩—CH₂-	1	2	0	R	H	—CH₂—NH—CO—(2,3,6-trifluorophenyl)

Table 1.8

Compd. No.	R^1 R^2 >(CH₂)ₖ—	k	m	n	chirality	R^3	—(CH₂)ₚ R^4 R^5 (CH₂)q-G-R⁶
78	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—CO—C₆H₂(F)₃ (2,4,5-trifluorophenyl)
79	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—CO—C₆H₃(CF₃)(F₃C) (2,4-bis(trifluoromethyl)phenyl)
80	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—CO—C₆H₃(CF₃)₂
81	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—CO—C₆H₃(CH₃)₂
82	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	-	—CH₃	—CH₂—NH—CO—C₆H₄(CF₃)
83	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—CO—C₆H₄(NO₂)
84	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—CO—C₆H₄—NO₂
85	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	-	H	—(CH₂)₂—NH—CO—C₆H₅
86	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	-	H	—(CH₂)₂—NH—CO—C₆H₄—NO₂
87	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	S	H	—(CH₂)₂—NH—CO—C₆H₃(CF₃)₂
88	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	S	H	—(CH₂)₂—NH—CO—C₆H₄(CF₃)

Table 1.9

Compd. No.	R^1 R^2 $(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
89	Cl—⬡—CH₂-	1	2	0	S	H	-(CH₂)₂-N(H)-C(O)-⬡-Br (3-Br)
90	Cl—⬡—CH₂-	1	2	0	S	H	-(CH₂)₂-N(H)-C(O)-⬡-F (3-F)
91	Cl—⬡—CH₂-	1	2	0	S	H	-(CH₂)₂-N(H)-C(O)-⬡(Cl)(Cl) (3,4-Cl)
92	Cl—⬡—CH₂-	1	2	0	S	H	-(CH₂)₂-N(H)-C(O)-⬡-OCH₃ (3-OCH₃)
93	Cl—⬡—CH₂-	1	2	0	S	H	-(CH₂)₂-N(H)-C(O)-⬡(OCH₃)(OCH₃) (3,4-OCH₃)
94	Cl—⬡—CH₂-	1	2	0	S	H	-(CH₂)₂-N(H)-C(O)-⬡(OCH₃)(OCH₃) (3,5-OCH₃)
95	Cl—⬡—CH₂-	1	2	0	S	H	-(CH₂)₂-N(H)-C(O)-⬡-CF₃ (3-CF₃)
96	Cl—⬡—CH₂-	1	2	0	S	H	-(CH₂)₂-N(H)-C(O)-⬡-CH₃ (3-CH₃)
97	Cl—⬡—CH₂-	1	2	0	S	H	-(CH₂)₂-N(H)-C(O)-⬡-Cl (4-Cl)
98	Cl—⬡—CH₂-	1	2	0	S	H	-(CH₂)₂-N(H)-C(O)-⬡-OCH₃ (4-OCH₃)
99	Cl—⬡—CH₂-	1	2	0	S	H	-(CH₂)₂-N(H)-C(O)-⬡(Cl)(Cl) (3,5-Cl)

Table 1.10

Compd. No.	R^1,$R^2$$>C<$—(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$-$$\underset{R^5}{\overset{R^4}{T}}$$-$(CH$_2$)$_q$$-G-$R^6
100	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	S	H	
101	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	S	H	
102	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	S	H	
103	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	S	H	
104	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	S	H	
105	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	S	H	
105	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	S	H	
107	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	S	H	
108	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	S	H	
109	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	S	H	
110	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	S	H	

Table 1.11

Compd. No.	$\begin{matrix} R^1 \\ R^2 \end{matrix}$$(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
111	Cl—⟨C6H4⟩—CH₂–	1	2	0	R	H	$-(CH_2)_2-\underset{H}{N}-\overset{O}{C}$—(3,5-(CF₃)₂-C₆H₃)
112	Cl—⟨C6H4⟩—CH₂–	1	2	0	R	H	$-(CH_2)_2-\underset{H}{N}-\overset{O}{C}$—(2-CF₃-C₆H₄)
113	Cl—⟨C6H4⟩—CH₂–	1	2	0	R	H	$-(CH_2)_2-\underset{H}{N}-\overset{O}{C}$—(3-Br-C₆H₄)
114	Cl—⟨C6H4⟩—CH₂–	1	2	0	R	H	$-(CH_2)_2-\underset{H}{N}-\overset{O}{C}$—(3-F-C₆H₄)
115	Cl—⟨C6H4⟩—CH₂–	1	2	0	R	H	$-(CH_2)_2-\underset{H}{N}-\overset{O}{C}$—(3,4-Cl₂-C₆H₃)
116	Cl—⟨C6H4⟩—CH₂–	1	2	0	R	H	$-(CH_2)_2-\underset{H}{N}-\overset{O}{C}$—(3-OCH₃-C₆H₄)
117	Cl—⟨C6H4⟩—CH₂–	1	2	0	R	H	$-(CH_2)_2-\underset{H}{N}-\overset{O}{C}$—(3,4-(OCH₃)₂-C₆H₃)
118	Cl—⟨C6H4⟩—CH₂–	1	2	0	R	H	$-(CH_2)_2-\underset{H}{N}-\overset{O}{C}$—(3,5-(OCH₃)₂-C₆H₃)
119	Cl—⟨C6H4⟩—CH₂–	1	2	0	R	H	$-(CH_2)_2-\underset{H}{N}-\overset{O}{C}$—(3-CF₃-C₆H₄)
120	Cl—⟨C6H4⟩—CH₂–	1	2	0	R	H	$-(CH_2)_2-\underset{H}{N}-\overset{O}{C}$—(3-CH₃-C₆H₄)
121	Cl—⟨C6H4⟩—CH₂–	1	2	0	R	H	$-(CH_2)_2-\underset{H}{N}-\overset{O}{C}$—(4-Cl-C₆H₄)

Table 1.12

Compd. No.	$\begin{matrix}R^1\\R^2\end{matrix}$ $\rangle$(CH$_2$)$_j$—	k	m	n	chirality	R^3	$-(CH_2)_p\underset{R^5}{\overset{R^4}{C}}(CH_2)_q G-R^6$
122	Cl—⟨◯⟩—CH$_2$—	1	2	0	R	H	$-(CH_2)_2-\underset{H}{N}-\overset{O}{C}-$⟨◯⟩$-OCH_3$
123	Cl—⟨◯⟩—CH$_2$—	1	2	0	R	H	$-(CH_2)_2-\underset{H}{N}-\overset{O}{C}-$⟨◯⟩ 3,5-diCl
124	Cl—⟨◯⟩—CH$_2$—	1	2	0	R	H	$-(CH_2)_2-\underset{H}{N}-\overset{O}{C}-$⟨◯⟩-CN
125	Cl—⟨◯⟩—CH$_2$—	1	2	0	R	H	$-(CH_2)_2-\underset{H}{N}-\overset{O}{C}-$⟨◯⟩-O-CH$_2$-O
126	Cl—⟨◯⟩—CH$_2$—	1	2	0	R	H	$-(CH_2)_2-\underset{H}{N}-\overset{O}{C}-$⟨◯⟩ F, CF$_3$
127	Cl—⟨◯⟩—CH$_2$—	1	2	0	R	H	$-(CH_2)_2-\underset{H}{N}-\overset{O}{C}-$⟨◯⟩ CF$_3$, F
128	Cl—⟨◯⟩—CH$_2$—	1	2	0	R	H	$-(CH_2)_2-\underset{H}{N}-\overset{O}{C}-$⟨◯⟩ CF$_3$, F
129	Cl—⟨◯⟩—CH$_2$—	1	2	0	R	H	$-(CH_2)_2-\underset{H}{N}-\overset{O}{C}-$⟨◯⟩ CF$_3$, F
130	Cl—⟨◯⟩—CH$_2$—	1	2	0	R	H	$-(CH_2)_2-\underset{H}{N}-\overset{O}{C}-$⟨◯⟩-OCF$_3$
131	Cl—⟨◯⟩—CH$_2$—	1	2	0	R	H	$-(CH_2)_2-\underset{H}{N}-\overset{O}{C}-$⟨◯⟩ CF$_3$, F
132	Cl—⟨◯⟩—CH$_2$—	1	2	0	R	H	$-(CH_2)_2-\underset{H}{N}-\overset{O}{C}-$⟨◯⟩-O$_2$N

Table 1.13

Compd. No.	$\begin{matrix}R^1\\R^2\end{matrix}$ $>$ $(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p \begin{matrix}R^4\\ \vert \\ C \\ \vert \\ R^5\end{matrix} (CH_2)_q G-R^6$
133	Cl—⟨⟩—CH₂—	1	2	0	R	H	$-(CH_2)_2-\overset{H}{N}\overset{O}{\overset{\|}{C}}$—⟨⟩—NO₂
134	Cl—⟨⟩—CH₂—	1	2	0	R	H	$-(CH_2)_2-\overset{H}{N}\overset{O}{\overset{\|}{C}}$—⟨⟩—NO₂
135	Cl—⟨⟩—CH₂—	1	2	0	R	H	$-(CH_2)_2-\overset{H}{N}\overset{O}{\overset{\|}{C}}$—⟨⟩ Br
136	Cl—⟨⟩—CH₂—	1	2	0	R	H	$-(CH_2)_2-\overset{H}{N}\overset{O}{\overset{\|}{C}}$—⟨⟩ F
137	Cl—⟨⟩—CH₂—	1	2	0	R	H	$-(CH_2)_2-\overset{H}{N}\overset{O}{\overset{\|}{C}}$—⟨⟩ Cl
138	Cl—⟨⟩—CH₂—	1	2	0	R	H	$-(CH_2)_2-\overset{H}{N}\overset{O}{\overset{\|}{C}}$—⟨⟩ Cl Cl
139	Cl—⟨⟩—CH₂—	1	2	0	R	H	$-(CH_2)_2-\overset{H}{N}\overset{O}{\overset{\|}{C}}$—⟨⟩ Cl Cl
140	Cl—⟨⟩—CH₂—	1	2	0	R	H	$-(CH_2)_2-\overset{H}{N}\overset{O}{\overset{\|}{C}}$—⟨⟩ H₃C
141	Cl—⟨⟩—CH₂—	1	2	0	R	H	$-(CH_2)_2-\overset{H}{N}\overset{O}{\overset{\|}{C}}$—⟨⟩ H₃CO H₃CO
142	Cl—⟨⟩—CH₂—	1	2	0	R	H	$-(CH_2)_2-\overset{H}{N}\overset{O}{\overset{\|}{C}}$—⟨⟩ Cl
143	Cl—⟨⟩—CH₂—	1	2	0	R	H	$-(CH_2)_2-\overset{H}{N}\overset{O}{\overset{\|}{C}}$—⟨⟩—Br

Table 1.14

Compd. No.	R^1, R^2 >(CH$_2$)$_i$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$–C(R^4)(R^5)–(CH$_2$)$_q$–G–R^6
144	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–NH–C(O)–⟨C$_6$H$_4$⟩–⟨C$_6$H$_5$⟩
145	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–NH–C(O)–⟨C$_6$H$_4$⟩–CF$_3$
146	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–NH–C(O)–⟨C$_6$H$_4$⟩–CH$_3$
147	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–NH–C(O)–⟨C$_6$H$_4$⟩–CH$_2$CH$_3$
148	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–NH–C(O)–⟨C$_6$H$_4$⟩–CN
149	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–NH–C(O)–(1-naphthyl)
150	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–NH–C(O)–(2-naphthyl)
151	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–NH–C(O)–(2,5-difluorophenyl)
152	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–NH–C(O)–(3,4-difluorophenyl)
153	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–NH–C(O)–(2,4-difluorophenyl)
154	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–NH–C(O)–(3,5-difluorophenyl)

Table 1.1 5

Compd. No.	R^1, R^2 $\!-\!(CH_2)_i\!-$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{C}}(CH_2)_q G\!-\!R^6$
155	Cl—⟨phenyl⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—CO—⟨phenyl, 2-OCH₃, 4-OCH₃⟩
156	Cl—⟨phenyl⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—CO—⟨phenyl⟩—OCF₃
157	Cl—⟨phenyl⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—CO—⟨phenyl, F₃CO⟩
158	Cl—⟨phenyl⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—CO—⟨phenyl⟩—CO₂CH₃
159	Cl—⟨phenyl⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—CO—⟨phenyl, F, F₃C⟩
160	Cl—⟨phenyl⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—CO—⟨phenyl, F, F₃C⟩
161	Cl—⟨phenyl⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—CO—⟨phenyl, F, F, F⟩
162	Cl—⟨phenyl⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—CO—⟨phenyl, F, F, F⟩
163	Cl—⟨phenyl⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—CO—⟨phenyl, CF₃, F₃C⟩
164	Cl—⟨phenyl⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—CO—⟨phenyl, CF₃, F₃C⟩
165	Cl—⟨phenyl⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—CO—⟨phenyl, CH₃, CH₃⟩

Table 1.16

Compd. No.	R^1 R^2 $>$(CH$_2$)$_i$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$–CR^{4}R^5–(CH$_2$)$_q$–G–R^6
166	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	(S) –CH(CH$_3$)–NH–CO–C$_6$H$_4$(3-CF$_3$)
167	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	(S) –CH(CH$_3$)–NH–CO–C$_6$H$_4$(3-Br)
168	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	(S) –CH(CH$_3$)–NH–CO–C$_6$H$_4$(3-Cl)
169	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	(S) –CH(CH$_3$)–NH–CO–C$_6$H$_3$(3-Cl,4-Cl)
170	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	(S) –CH(CH$_3$)–NH–CO–C$_6$H$_3$(3-CF$_3$,5-F)
171	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	(S) –CH(CH$_3$)–NH–CO–C$_6$H$_4$(4-Cl)
172	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	(S) –CH(CH$_3$)–NH–CO–C$_6$H$_5$
173	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	(S) –CH(CH$_3$)–NH–CO–C$_6$H$_4$(3-NO$_2$)
174	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	(R) –CH(CH$_3$)–NH–CO–C$_6$H$_4$(3-CF$_3$)
175	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	(R) –CH(CH$_3$)–NH–CO–C$_6$H$_4$(3-Br)
176	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	(R) –CH(CH$_3$)–NH–CO–C$_6$H$_4$(3-Cl)

Table 1.17

Compd. No.	R^1 R^2—(CH$_2$)$_i$—	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
177	Cl—⟨ ⟩—CH$_2$—	1	2	0	R	H	(R) —CH—NH—CO—(3,4-di-Cl-C$_6$H$_3$), CH$_3$
178	Cl—⟨ ⟩—CH$_2$—	1	2	0	R	H	(R) —CH—NH—CO—(3-CF$_3$-5-F-C$_6$H$_3$), CH$_3$
179	Cl—⟨ ⟩—CH$_2$—	1	2	0	R	H	(R) —CH—NH—CO—(4-Cl-C$_6$H$_4$), CH$_3$
180	Cl—⟨ ⟩—CH$_2$—	1	2	0	R	H	(R) —CH—NH—CO—C$_6$H$_5$, CH$_3$
181	Cl—⟨ ⟩—CH$_2$—	1	2	0	R	H	(R) —CH—NH—CO—(3-NO$_2$-C$_6$H$_4$), CH$_3$
182	Cl—⟨ ⟩—CH$_2$—	1	2	0	R	H	(CH$_3$)—CH—NH—CO—(3-CF$_3$-C$_6$H$_4$), CH$_3$
183	Cl—⟨ ⟩—CH$_2$—	1	2	0	R	H	(CH$_3$)—CH—NH—CO—(3-Br-C$_6$H$_4$), CH$_3$
184	Cl—⟨ ⟩—CH$_2$—	1	2	0	R	H	(CH$_3$)—CH—NH—CO—(3-Cl-C$_6$H$_4$), CH$_3$
185	Cl—⟨ ⟩—CH$_2$—	1	2	0	R	H	(CH$_3$)—CH—NH—CO—(3,4-di-Cl-C$_6$H$_3$), CH$_3$
186	Cl—⟨ ⟩—CH$_2$—	1	2	0	R	H	(CH$_3$)—CH—NH—CO—(3-CF$_3$-5-F-C$_6$H$_3$), CH$_3$
187	Cl—⟨ ⟩—CH$_2$—	1	2	0	R	H	(CH$_3$)—CH—NH—CO—(4-Cl-C$_6$H$_4$), CH$_3$

Table 1.16

Compd. No.	R^1 R^2 $-(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p \underset{R^5}{\overset{R^4}{C}}(CH_2)_q-G-R^6$
188	Cl—⟨⟩—CH₂—	1	2	0	R	H	
189	Cl—⟨⟩—CH₂—	1	2	0	R	H	
190	Cl—⟨⟩—CH₂—	1	2	0	R	H	
191	Cl—⟨⟩—CH₂—	1	2	0	R	H	
192	Cl—⟨⟩—CH₂—	1	2	0	R	H	
193	Cl—⟨⟩—CH₂—	1	2	0	R	H	
194	Cl—⟨⟩—CH₂—	1	2	0	R	H	
195	Cl—⟨⟩—CH₂—	1	2	0	R	H	
196	Cl—⟨⟩—CH₂—	1	2	0	R	H	
197	Cl—⟨⟩—CH₂—	1	2	0	R	H	
198	Cl—⟨⟩—CH₂—	1	2	0	R	H	

Table 1.19

Compd. No.	$R^1R^2>$(CH$_2$)$_i$—	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q G-R^6$
199	Cl—⟨benzene⟩—CH$_2$—	1	2	0	R	H	(S) —CH—NH—C(O)—⟨C$_6$H$_4$-Br⟩ ; CH$_2$-thiophene
200	Cl—⟨benzene⟩—CH$_2$—	1	2	0	R	H	(S) —CH—NH—C(O)—⟨C$_6$H$_4$-Cl⟩ ; CH$_2$-thiophene
201	Cl—⟨benzene⟩—CH$_2$—	1	2	0	R	H	(S) —CH—NH—C(O)—⟨C$_6$H$_3$-Cl,Cl⟩ ; CH$_2$-thiophene
202	Cl—⟨benzene⟩—CH$_2$—	1	2	0	R	H	(S) —CH—NH—C(O)—⟨C$_6$H$_3$-CF$_3$,F⟩ ; CH$_2$-thiophene
203	Cl—⟨benzene⟩—CH$_2$—	1	2	0	R	H	(S) —CH—NH—C(O)—⟨C$_6$H$_4$-Cl⟩ ; CH$_2$-thiophene
204	Cl—⟨benzene⟩—CH$_2$—	1	2	0	R	H	(S) —CH—NH—C(O)—⟨C$_6$H$_5$⟩ ; CH$_2$-thiophene
205	Cl—⟨benzene⟩—CH$_2$—	1	2	0	R	H	(S) —CH—NH—C(O)—⟨C$_6$H$_4$-NO$_2$⟩ ; CH$_2$-thiophene
206	Cl—⟨benzene⟩—CH$_2$—	1	2	0	R	H	(S) —CH—NH—C(O)—⟨C$_6$H$_4$-CF$_3$⟩ ; (CH$_2$)$_2$—S(O)$_2$—CH$_3$
207	Cl—⟨benzene⟩—CH$_2$—	1	2	0	R	H	(S) —CH—NH—C(O)—⟨C$_6$H$_4$-Br⟩ ; (CH$_2$)$_2$—S(O)$_2$—CH$_3$
208	Cl—⟨benzene⟩—CH$_2$—	1	2	0	R	H	(S) —CH—NH—C(O)—⟨C$_6$H$_4$-Cl⟩ ; (CH$_2$)$_2$—S(O)$_2$—CH$_3$
209	Cl—⟨benzene⟩—CH$_2$—	1	2	0	R	H	(S) —CH—NH—C(O)—⟨C$_6$H$_3$-Cl,Cl⟩ ; (CH$_2$)$_2$—S(O)$_2$—CH$_3$

Table 1.20

Compd. No.	$R^1R^2{>}C{<}(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p{-}CR^4R^5{-}(CH_2)_q{-}G{-}R^6$
210	Cl—⬡—CH₂-	1	2	0	R	H	(S) —CH(NHC(O)—⬡(CF₃)(F))(CH₂)₂-S(O)₂-CH₃
211	Cl—⬡—CH₂-	1	2	0	R	H	(S) —CH(NHC(O)—⬡-Cl)(CH₂)₂-S(O)₂-CH₃
212	Cl—⬡—CH₂-	1	2	0	R	H	(S) —CH(NHC(O)—⬡)(CH₂)₂-S(O)₂-CH₃
213	Cl—⬡—CH₂-	1	2	0	R	H	(S) —CH(NHC(O)—⬡-NO₂)(CH₂)₂-S(O)₂-CH₃
214	Cl—⬡—CH₂-	1	2	0	-	H	-(CH₂)₃-C(O)—⬡
215	Cl—⬡—CH₂-	1	2	0	-	H	-(CH₂)₃-C(O)—⬡-OCH₃
216	Cl—⬡—CH₂-	1	2	0	-	H	-(CH₂)₃-C(O)—(thiophene-S)
217	Cl—⬡—CH₂-	1	2	0	-	H	-(CH₂)₂-C(O)—⬡(OCH₃)(H₃CO)
218	Cl—⬡—CH₂-	1	2	0	-	H	-(CH₂)₂-C(O)—⬡(CH₃)(H₃C)
219	Cl—⬡—CH₂-	1	2	0	-	H	-(CH₂)₂-C(O)—⬡(F)(OCH₃)
220	Cl—⬡—CH₂-	1	2	0	-	H	-(CH₂)₂-C(O)—⬡-CH₃

Table 1.21

Compd. No.	$R^1R^2{>}{-}(CH_2)_i{-}$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q{-}G{-}R^6$
221	Cl–C₆H₄–CH₂–	1	2	0	-	H	$-(CH_2)_2-C(=O)-$phenyl
222	Cl–C₆H₄–CH₂–	1	2	0	-	H	$-(CH_2)_2-C(=O)-$C₆H₄–Cl
223	Cl–C₆H₄–CH₂–	1	2	0	-	H	$-(CH_2)_2-C(=O)-$C₆H₄–O(CH₂)₃CH₃
224	Cl–C₆H₄–CH₂–	1	2	0	-	H	$-CH_2-S(=O)_2-$C₆H₄–CH₃
225	Cl–C₆H₄–CH₂–	1	2	0	-	H	$-(CH_2)_3-C(=O)-$NH–phenyl
226	Cl–C₆H₄–CH₂–	1	2	0	-	H	$-(CH_2)_3-C(=O)-$NH–C₆H₄–OCH₃
227	Cl–C₆H₄–CH₂–	1	2	0	-	H	$-(CH_2)_3-C(=O)-$NH–C₆H₄–Cl
228	Cl–C₆H₄–CH₂–	1	2	0	-	H	$-(CH_2)_3-C(=O)-$NH–C₆H₄–OCH₃
229	Cl–C₆H₄–CH₂–	1	2	0	-	H	$-CH_2-C(CH_3)_2-CH_2-C(=O)-$NH–C₆H₄–CH₃
230	Cl–C₆H₄–CH₂–	1	2	0	-	H	$-CH_2-$(cyclopentyl)$-CH_2-C(=O)-$NH–C₆H₄–F
231	Cl–C₆H₄–CH₂–	1	2	0	-	H	$-(CH_2)_3-C(=O)-$NH–C₆H₄–C(=O)·CH₃

Table 1.22

Compd. No.	R^1 C$<$(CH$_2$)$_k$$-$ R^2	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
232	Cl—⟨⟩—CH$_2$—	1	2	0	-	H	$-(CH_2)_3-\overset{O}{\overset{\|}{C}}-N-$cyclohexyl
233	Cl—⟨⟩—CH$_2$—	1	2	0	-	H	$-(CH_2)_3-\overset{O}{\overset{\|}{C}}-\underset{H}{N}-CH_2-$⟨⟩
234	Cl—⟨⟩—CH$_2$—	1	2	0	-	H	$-(CH_2)_3-\overset{O}{\overset{\|}{C}}-\underset{H}{N}-$pyridyl-CH$_3$
235	Cl—⟨⟩—CH$_2$—	1	2	0	-	H	$-CH_2-\underset{CH_3}{CH}-CH_2-\overset{O}{\overset{\|}{C}}-\underset{H}{N}-CH_2-$⟨⟩—Cl
236	Cl—⟨⟩—CH$_2$—	1	2	0	-	H	$-CH_2-N-\overset{O}{\underset{O}{\overset{\|}{S}}}-$naphthyl$\underset{CH_3}{N-CH_3}$
237	Cl—⟨⟩—CH$_2$—	1	2	0	-	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-O-CH_2-$⟨⟩
238	Cl—⟨⟩—CH$_2$—	1	2	0	-	H	$-\underset{CH_3}{CH}-O-\overset{O}{\overset{\|}{C}}-\underset{H}{N}-$⟨⟩—Cl
239	⟨⟩—CH$_2$—	1	2	0	S	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-$⟨⟩—CF$_3$
240	F-⟨⟩—CH$_2$—	1	2	0	S	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-$⟨⟩—CF$_3$
241	Cl-⟨⟩—CH$_2$—	1	2	0	S	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-$⟨⟩—CF$_3$
242	Cl,Cl-⟨⟩—CH$_2$—	1	2	0	S	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}-$⟨⟩—CF$_3$
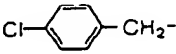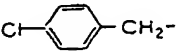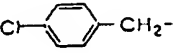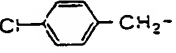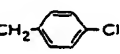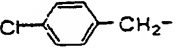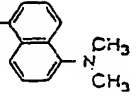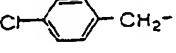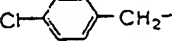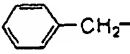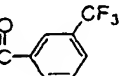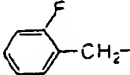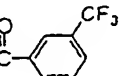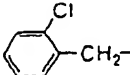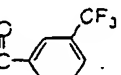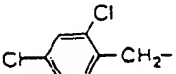
Table 1.23

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}$—(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—$\begin{array}{c}R^4\\R^5\end{array}$—(CH$_2$)$_q$—G—R^6
243	2,6-dichlorobenzyl (Cl, Cl)—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—C(=O)—(3-CF$_3$-C$_6$H$_4$)
244	2-methylbenzyl (CH$_3$)—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—C(=O)—(3-CF$_3$-C$_6$H$_4$)
245	2-fluorobenzyl (F)—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—C(=O)—(3-CF$_3$-C$_6$H$_4$)
246	3-chlorobenzyl (Cl)—CH$_2$—	1	2	0	S ·	H	—CH$_2$—NH—C(=O)—(3-CF$_3$-C$_6$H$_4$)
247	3,4-dichlorobenzyl (Cl, Cl)—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—C(=O)—(3-CF$_3$-C$_6$H$_4$)
248	3-methoxybenzyl (H$_3$CO)—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—C(=O)—(3-CF$_3$-C$_6$H$_4$)
249	3-trifluoromethylbenzyl (F$_3$C)—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—C(=O)—(3-CF$_3$-C$_6$H$_4$)
250	3-methylbenzyl (H$_3$C)—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—C(=O)—(3-CF$_3$-C$_6$H$_4$)
251	4-fluorobenzyl F—C$_6$H$_4$—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—C(=O)—(3-CF$_3$-C$_6$H$_4$)
252	4-methoxybenzyl H$_3$CO—C$_6$H$_4$—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—C(=O)—(3-CF$_3$-C$_6$H$_4$)
253	4-methylbenzyl H$_3$C—C$_6$H$_4$—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—C(=O)—(3-CF$_3$-C$_6$H$_4$)

Table 1.2 4

Compd. No.	$\begin{matrix}R^1\\R^2\end{matrix}>$(CH$_2$)$_i$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$$\begin{matrix}R^4\\|\\R^5\end{matrix}$(CH$_2$)$_q$G–R^6
254	4-Cl-2-NO$_2$-benzyl (CH$_2$–)	1	2	0	S	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
255	O$_2$N-benzyl (CH$_2$–)	1	2	0	S	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
256	O$_2$N-benzyl (CH$_2$–)	1	2	0	S	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
257	CF$_3$-benzyl (CH$_2$–)	1	2	0	S	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
258	Ph–CH(CO$_2$CH$_2$CH$_3$)–	1	2	0	S	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
259	Ph–CH(CH$_3$)–	1	2	0	S	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
260	2,4-diCl-benzyl (CH$_2$–)	1	2	0	S	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
261	F$_3$C-benzyl (CH$_2$–)	1	2	0	S	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
262	Br-benzyl (CH$_2$–)	1	2	0	S	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
263	Br-benzyl (CH$_2$–)	1	2	0	S	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$
264	Ph–O–C$_6$H$_4$–CH$_2$–	1	2	0	S	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–CF$_3$

Table 1.25

Compd. No.	R^1, R^2-$(CH_2)_i$-	k	m	n	chirality	R^3	$-(CH_2)_p$-R^4,R^5-$(CH_2)_q$-G-R^6
265	Br—⟨⟩—CH₂—	1	2	0	S	H	—CH₂-NH-CO—⟨⟩—CF₃
266	(benzodioxole)—CH₂—	1	2	0	S	H	—CH₂-NH-CO—⟨⟩—CF₃
267	OCH₃-⟨⟩—CH₂—	1	2	0	S	H	—CH₂-NH-CO—⟨⟩—CF₃
268	H₃C-CO-NH—⟨⟩—CH₂—	1	2	0	S	H	—CH₂-NH-CO—⟨⟩—CF₃
269	H₃C-SO₂—⟨⟩—CH₂—	1	2	0	S	H	—CH₂-NH-CO—⟨⟩—CF₃
270	H₃CO₂C-⟨⟩—CH₂—	1	2	0	S	H	—CH₂-NH-CO—⟨⟩—CF₃
271	F,F-⟨⟩—CH₂—	1	2	0	S	H	—CH₂-NH-CO—⟨⟩—CF₃
272	HO—⟨⟩—CH₂—	1	2	0	S	H	—CH₂-NH-CO—⟨⟩—CF₃
273	CN-⟨⟩—CH₂—	1	2	0	S	H	—CH₂-NH-CO—⟨⟩—CF₃
274	NC-⟨⟩—CH₂—	1	2	0	S	H	—CH₂-NH-CO—⟨⟩—CF₃
275	NC—⟨⟩—CH₂—	1	2	0	S	H	—CH₂-NH-CO—⟨⟩—CF₃

Table 1.26

Compd. No.	$\begin{smallmatrix}R^1\\R^2\end{smallmatrix}$ $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
276	2,4-difluorophenyl-CH₂–	1	2	0	S	H	–CH₂–NH–C(O)–C₆H₄(3-CF₃)
277	biphenyl-4-yl-CH₂–	1	2	0	S	H	–CH₂–NH–C(O)–C₆H₄(3-CF₃)
278	H₃CO₂C–C₆H₄–CH₂–	1	2	0	S	H	–CH₂–NH–C(O)–C₆H₄(3-CF₃)
279	F₃CO–C₆H₄–CH₂–	1	2	0	S	H	–CH₂–NH–C(O)–C₆H₄(3-CF₃)
280	3-(F₃CO)phenyl-CH₂–	1	2	0	S	H	–CH₂–NH–C(O)–C₆H₄(3-CF₃)
281	HO₂C–C₆H₄–CH₂–	1	2	0	S	H	–CH₂–NH–C(O)–C₆H₄(3-CF₃)
282	(H₃C)₃C–C₆H₄–CH₂–	1	2	0	S	H	–CH₂–NH–C(O)–C₆H₄(3-CF₃)
283	(3,5-dimethylisoxazol-4-yl)-CH₂–	1	2	0	S	H	–CH₂–NH–C(O)–C₆H₄(3-CF₃)
284	(4-Cl-phenyl)(phenyl)CH–	1	2	0	S	H	–CH₂–NH–C(O)–C₆H₄(3-CF₃)
285	phenyl-CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–C₆H₄(3-CF₃)
286	2-fluorophenyl-CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–C₆H₄(3-CF₃)

Table 1.27

Compd. No.	R^1 $R^2$$>$(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$\begin{smallmatrix}R^4\\ R^5\end{smallmatrix}$(CH$_2$)$_q$G–R^6
287	(2-Cl-C$_6$H$_4$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–C$_6$H$_4$–CF$_3$
288	(2,4-diCl-C$_6$H$_3$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–C$_6$H$_4$–CF$_3$
289	(2,6-diCl-C$_6$H$_3$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–C$_6$H$_4$–CF$_3$
290	(2-CH$_3$-C$_6$H$_4$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–C$_6$H$_4$–CF$_3$
291	(2-F-C$_6$H$_4$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–C$_6$H$_4$–CF$_3$
292	(3-Cl-C$_6$H$_4$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–C$_6$H$_4$–CF$_3$
293	(3,4-diCl-C$_6$H$_3$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–C$_6$H$_4$–CF$_3$
294	(3-CH$_3$O-C$_6$H$_4$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–C$_6$H$_4$–CF$_3$
295	(3-F$_3$C-C$_6$H$_4$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–C$_6$H$_4$–CF$_3$
296	(3-CH$_3$-C$_6$H$_4$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–C$_6$H$_4$–CF$_3$
297	(4-F-C$_6$H$_4$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–C$_6$H$_4$–CF$_3$

Table 1.28

Compd. No.	$R^1 R^2$–(CH₂)ⱼ–	k	m	n	chirality	R^3	–(CH₂)ₚ–$R^4 R^5$–(CH₂)q–G–R^6
298	H₃CO–C₆H₄–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–C₆H₄(CF₃)
299	H₃C–C₆H₄–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–C₆H₄(CF₃)
300	Cl,NO₂–C₆H₃–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–C₆H₄(CF₃)
301	O₂N–C₆H₄–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–C₆H₄(CF₃)
302	O₂N–C₆H₄–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–C₆H₄(CF₃)
303	CF₃–C₆H₄–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–C₆H₄(CF₃)
304	C₆H₅–CH(CO₂CH₂CH₃)–	1	2	0	R	H	–CH₂–NH–C(O)–C₆H₄(CF₃)
305	C₆H₅–CH(CH₃)–	1	2	0	R	H	–CH₂–NH–C(O)–C₆H₄(CF₃)
306	Cl₂–C₆H₃–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–C₆H₄(CF₃)
307	F₃C–C₆H₄–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–C₆H₄(CF₃)
308	Br–C₆H₄–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–C₆H₄(CF₃)

Table 1.29

Compd. No.	R^1 R^2 $(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p\!\!\begin{smallmatrix}R^4\\|\\R^5\end{smallmatrix}\!\!(CH_2)_q\!-G\!-R^6$
309	3-Br-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_4$-CF$_3$
310	3-(C$_6$H$_5$O)-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_4$-CF$_3$
311	4-Br-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_4$-CF$_3$
312	(3,4-methylenedioxyphenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_4$-CF$_3$
313	2-OCH$_3$-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_4$-CF$_3$
314	4-(H$_3$C-CO-NH)-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_4$-CF$_3$
315	4-(H$_2$C-SO$_2$)-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_4$-CF$_3$
316	3-(H$_3$CO$_2$C)-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_4$-CF$_3$
317	2,6-F$_2$-C$_6$H$_3$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_4$-CF$_3$
318	4-HO-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_4$-CF$_3$
319	2-CN-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_4$-CF$_3$

Table 1.30

Compd. No.	$\begin{smallmatrix}R^1\\R^2\end{smallmatrix}>$ —(CH$_2$)$_i$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—C(R^4)(R^5)—(CH$_2$)$_q$—G—R^6
320	NC—(phenyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(phenyl)—CF$_3$
321	NC—(phenyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(phenyl)—CF$_3$
322	F,F—(phenyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(phenyl)—CF$_3$
323	(phenyl)—(phenyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(phenyl)—CF$_3$
324	H$_3$CO$_2$C—(phenyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(phenyl)—CF$_3$
325	F$_3$CO—(phenyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(phenyl)—CF$_3$
326	F$_3$CO—(phenyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(phenyl)—CF$_3$
327	HO$_2$C—(phenyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(phenyl)—CF$_3$
328	(H$_3$C)$_3$C—(phenyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(phenyl)—CF$_3$
329	(3,5-dimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(phenyl)—CF$_3$
330	Cl—(phenyl)—CH$_2$—	0	3	1	-	H	—CH$_2$—NH—C(=O)—(phenyl)

Table 1.3 1

Compd. No.	R^1 R^2 $-(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p$ $\overset{R^4}{\underset{R^5}{C}}$ $(CH_2)_q$ $G-R^6$
331	Cl—⟨C₆H₄⟩—CH₂—	0	3	1	-	H	—CH₂—NH—C(=O)—⟨C₆H₄⟩—CH₃ (meta)
332	Cl—⟨C₆H₄⟩—CH₂—	0	3	1	-	H	—CH₂—NH—C(=O)—⟨C₆H₂⟩(OCH₃)(OCH₃)(OCH₃)
333	Cl—⟨C₆H₄⟩—CH₂—	0	3	1	-	H	—CH₂—NH—C(=O)—⟨pyridyl⟩
334	Cl—⟨C₆H₄⟩—CH₂—	0	3	1	-	H	—CH₂—NH—C(=O)—⟨C₆H₄⟩—CH₃ (para)
335	Cl—⟨C₆H₄⟩—CH₂—	0	3	1	-	H	—CH₂—NH—C(=O)—⟨C₆H₄⟩—NO₂
336	Cl—⟨C₆H₄⟩—CH₂—	0	3	1	-	H	—CH₂—NH—C(=O)—⟨C₆H₄⟩—CF₃
337	Cl—⟨C₆H₄⟩—CH₂—	0	3	1	-	H	—CH₂—NH—C(=O)—⟨C₆H₄⟩—CH₃ (ortho, H₃C)
338	Cl—⟨C₆H₄⟩—CH₂—	0	3	1	-	H	—CH₂—N(CH₃)—C(=O)—⟨C₆H₅⟩
339	Cl—⟨C₆H₄⟩—CH₂—	0	3	1	R	H	—CH₂—NH—C(=O)—⟨C₆H₄⟩—CF₃
340	Cl—⟨C₆H₄⟩—CH₂—	0	3	1	S	H	—CH₂—NH—C(=O)—⟨C₆H₄⟩—CF₃
341	Cl—⟨C₆H₄⟩—CH₂—	0	3	1	-	H	—(CH₂)₂—NH—C(=O)—⟨C₆H₅⟩

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Table 1.3 2

Compd. No.	R^1 R^2-(CH$_2$)$_j$-	k	m	n	chirality	R^3	$-(CH_2)_p$-$\overset{R^4}{\underset{R^5}{C}}$-$(CH_2)_q$-G-$R^6$
342	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	0	3	1	-	H	-CH(CH$_3$)-NH-CO-C$_6$H$_5$
343	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	0	3	1	-	H	-CH(CH(CH$_3$)$_2$)-NH-CO-C$_6$H$_5$
344	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	0	3	1	-	H	-CH(CH$_2$CH(CH$_3$)$_2$)-NH-CO-C$_6$H$_5$
345	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	0	3	1	-	H	-(CH$_2$)$_3$-CO-C$_6$H$_5$
346	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	0	3	1	-	H	-(CH$_2$)$_2$-CO-C$_6$H$_3$(F)(OCH$_3$)
347	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	0	3	1	-	H	-(CH$_2$)$_2$-CO-C$_6$H$_3$(CH$_3$)(H$_3$C)
348	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	0	3	1	-	H	-(CH$_2$)$_2$-CO-C$_6$H$_4$-CH$_3$
349	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	0	3	1	-	H	-CH$_2$-SO$_2$-C$_6$H$_4$-CH$_3$
350	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	0	3	1	-	H	-CH$_2$-NH-SO$_2$-C$_6$H$_4$-CH$_3$
351	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	0	3	1	-	H	-CH$_2$-NH-CO-O-CH$_2$-C$_6$H$_5$
352	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	0	3	1	-	H	-CH(CH$_3$)-O-CO-NH-C$_6$H$_4$-Cl

Table 1.3 3

Compd. No.	R^1 $R^2$$-(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
353	Cl—⟨C₆H₄⟩—CH₂—	1	2	1	–	H	—CH₂—NH—C(=O)—C₆H₅
354	Cl—⟨C₆H₄⟩—CH₂—	1	3	0	–	H	—CH₂—NH—C(=O)—C₆H₅
355	Cl—⟨C₆H₄⟩—CH₂—	1	3	0	–	H	—CH₂—NH—C(=O)—C₆H₄—CH₃ (meta)
356	Cl—⟨C₆H₄⟩—CH₂—	1	3	0	–	H	—CH₂—NH—C(=O)—(pyridin-3-yl)
357	Cl—⟨C₆H₄⟩—CH₂—	1	3	0	–	H	—CH₂—NH—C(=O)—C₆H₄—CH₃ (ortho, H₃C)
358	Cl—⟨C₆H₄⟩—CH₂—	1	3	0	–	H	—CH₂—NH—C(=O)—C₆H₄—CF₃ (meta)
359	Cl—⟨C₆H₄⟩—CH₂—	1	3	0	–	H	—(CH₂)₂—NH—C(=O)—C₆H₅
360	Cl—⟨C₆H₄⟩—CH₂—	1	3	0	–	H	—(CH₂)₂—NH—C(=O)—C₆H₄—NO₂ (para)
361	Cl—⟨C₆H₄⟩—CH₂—	1	3	0	–	H	—(CH₂)₃—C(=O)—C₆H₅
362	Cl—⟨C₆H₄⟩—CH₂—	1	3	0	–	H	—(CH₂)₃—C(=O)—C₆H₄—OCH₃ (para)
363	Cl—⟨C₆H₄⟩—CH₂—	1	3	0	–	H	—(CH₂)₃—C(=O)—(thiophen-2-yl)

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Table 1.3 4

Compd. No.	R^1, R^2 >C—(CH$_2$)$_i$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—C(R^4)(R^5)—(CH$_2$)$_q$—G—R^6
364	Cl—⬡—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_2$—C(=O)— benzene with OCH$_3$ and H$_3$CO
365	Cl—⬡—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_2$—C(=O)— benzene with CH$_3$ and H$_3$C
366	Cl—⬡—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_2$—C(=O)— benzene with F and OCH$_3$
367	Cl—⬡—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_2$—C(=O)—⬡—CH$_3$
368	Cl—⬡—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_2$—C(=O)—⬡
369	Cl—⬡—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_2$—C(=O)—⬡—Cl
370	Cl—⬡—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_2$—C(=O)—⬡—O(CH$_2$)$_3$CH$_3$
371	Cl—⬡—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_2$—C(=O)—⬡—S(=O)$_2$—CH$_3$
372	Cl—⬡—CH$_2$—	1	3	0	-	H	—CH$_2$—S(=O)$_2$—⬡—CH$_3$
373	Cl—⬡—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_3$—C(=O)—NH—⬡
374	Cl—⬡—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_3$—C(=O)—NH—⬡—OCH$_3$

44

Table 1.35

Compd. No.	R^1, R^2—$(CH_2)_i$—	k	m	n	chirality	R^3	—$(CH_2)_p$—R^4, R^5—$(CH_2)_q$—G—R^6
375	Cl—C$_6$H$_4$—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_3$—C(=O)—NH—C$_6$H$_4$—Cl (3-Cl)
376	Cl—C$_6$H$_4$—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_3$—C(=O)—NH—C$_6$H$_4$—OCH$_3$ (4-)
377	Cl—C$_6$H$_4$—CH$_2$—	1	3	0	-	H	—CH$_2$—C(CH$_3$)$_2$—CH$_2$—C(=O)—NH—C$_6$H$_4$—Cl (4-)
378	Cl—C$_6$H$_4$—CH$_2$—	1	3	0	-	H	—CH$_2$—C(cyclopentyl)—CH$_2$—C(=O)—NH—C$_6$H$_4$—F (4-)
379	Cl—C$_6$H$_4$—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_3$—C(=O)—NH—C$_6$H$_4$—C(=O)—CH$_3$
380	Cl—C$_6$H$_4$—CH$_2$—	1	3	0	-	H	—(CH$_2$)$_3$—C(=O)—N—H—CH$_2$—C$_6$H$_5$
381	Cl—C$_6$H$_4$—CH$_2$—	1	3	0	-	H	—CH$_2$—NH—SO$_2$—C$_6$H$_4$—CH$_3$
382	Cl—C$_6$H$_4$—CH$_2$—	1	3	0	-	H	—CH$_2$—NH—C(=O)—O—CH$_2$—C$_6$H$_5$
383	Cl—C$_6$H$_4$—CH$_2$—	1	3	0	-	H	—CH(CH$_3$)—O—C(=O)—NH—C$_6$H$_4$—Cl (3-Cl)
384	Cl—C$_6$H$_4$—CH$_2$—	2	2	0	-	H	—CH$_2$—NH—C(=O)—C$_6$H$_4$—CH$_3$ (3-)
385	Cl—C$_6$H$_4$—CH$_2$—	2	2	0	-	H	—CH$_2$—NH—C(=O)—C$_6$H$_4$—NO$_2$ (3-)

Table 1.35

Compd. No.	R^1 R^2 >(CH$_2$)$_i$—	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$ R^4 R^5 (CH$_2$)$_q$G$-R^6$
386	phenyl-CH$_2$-	2	2	0	-	H	-CH$_2$-NH-C(O)-phenyl
387	phenyl-CH$_2$-	2	2	0	-	H	-CH$_2$-NH-C(O)-naphthyl
388	phenyl-CH$_2$-	2	2	0	-	H	-CH$_2$-NH-C(O)-phenyl(NO$_2$)
389	phenyl-CH$_2$-	2	2	0	-	H	-CH$_2$-NH-C(O)-phenyl-CO$_2$CH$_3$
390	phenyl-CH$_2$-	2	2	0	-	H	-CH$_2$-NH-C(O)-phenyl(CF$_3$)
391	phenyl-CH$_2$-	2	2	0	-	H	-CH$_2$-NH-C(O)-phenyl(CF$_3$)(F)
392	phenyl-CH$_2$-	2	2	0	-	H	-CH$_2$-NH-C(O)-phenyl(OCF$_3$)
393	phenyl-CH$_2$-	2	2	0	-	H	-CH$_2$-NH-C(O)-phenyl(Br)
394	phenyl-CH$_2$-	2	2	0	-	H	-CH$_2$-NH-C(O)-phenyl(Cl)
395	phenyl-CH$_2$-	2	2	0	-	H	-CH$_2$-NH-C(O)-phenyl-Br
396	phenyl-CH$_2$-	2	2	0	-	H	-CH$_2$-NH-C(O)-phenyl(F)(F)

Table 1.37

Compd. No.	R^1 R^2 >CH-(CH$_2$)$_j$-	k	m	n	chirality	R^3	$-(CH_2)_p$ R^4 R^5 $(CH_2)_q$-G-R^6
397	phenyl-CH$_2$-	2	2	0	-	H	-CH$_2$-NH-C(=O)-C$_6$H$_3$(3,4-Cl$_2$)
398	phenyl-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-NH-C(=O)-C$_6$H$_5$
399	phenyl-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-NH-C(=O)-naphthyl
400	phenyl-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-NH-C(=O)-C$_6$H$_4$(3-NO$_2$)
401	phenyl-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-NH-C(=O)-C$_6$H$_4$(4-CO$_2$CH$_3$)
402	phenyl-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-NH-C(=O)-C$_6$H$_4$(3-CF$_3$)
403	phenyl-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-NH-C(=O)-C$_6$H$_3$(3-CF$_3$,?-F)
404	phenyl-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-NH-C(=O)-C$_6$H$_4$(3-OCF$_3$)
405	phenyl-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-NH-C(=O)-C$_6$H$_4$(3-Br)
406	phenyl-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-NH-C(=O)-C$_6$H$_4$(3-Cl)
407	phenyl-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-NH-C(=O)-C$_6$H$_4$(4-Br)

Table 1.38

Compd. No.	R^1 R^2 $>$ (CH$_2$)$_i$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$–CR^{4}R^5–(CH$_2$)$_q$–G–R^6
408	phenyl–CH$_2$–	2	2	0	–	H	–(CH$_2$)$_2$–NHC(O)– (3,4-difluorophenyl)
409	phenyl–CH$_2$–	2	2	0	–	H	–(CH$_2$)$_2$–NHC(O)– (3,4-dichlorophenyl)
410	phenyl–CH$_2$–	2	2	0	–	H	(S) –CH(CH$_2$CH(CH$_3$)$_2$)–NHC(O)–phenyl
411	phenyl–CH$_2$–	2	2	0	–	H	(S) –CH(CH$_2$CH(CH$_3$)$_2$)–NHC(O)–naphthyl
412	phenyl–CH$_2$–	2	2	0	–	H	(S) –CH(CH$_2$CH(CH$_3$)$_2$)–NHC(O)– (3-nitrophenyl)
413	phenyl–CH$_2$–	2	2	0	–	H	(S) –CH(CH$_2$CH(CH$_3$)$_2$)–NHC(O)– (4-CO$_2$CH$_3$-phenyl)
414	phenyl–CH$_2$–	2	2	0	–	H	(S) –CH(CH$_2$CH(CH$_3$)$_2$)–NHC(O)– (3-CF$_3$-phenyl)
415	phenyl–CH$_2$–	2	2	0	–	H	(S) –CH(CH$_2$CH(CH$_3$)$_2$)–NHC(O)– (3-CF$_3$-5-F-phenyl)
416	phenyl–CH$_2$–	2	2	0	–	H	(S) –CH(CH$_2$CH(CH$_3$)$_2$)–NHC(O)– (3-OCF$_3$-phenyl)
417	phenyl–CH$_2$–	2	2	0	–	H	(S) –CH(CH$_2$CH(CH$_3$)$_2$)–NHC(O)– (3-Br-phenyl)
418	phenyl–CH$_2$–	2	2	0	–	H	(S) –CH(CH$_2$CH(CH$_3$)$_2$)–NHC(O)– (3-Cl-phenyl)

Table 1.39

Compd. No.	R^1 R^2 $(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p \underset{R^5}{\overset{R^4}{C}} (CH_2)_q G-R^6$
419	(phenyl)-CH₂-	2	2	0	-	H	(S) -CH(CH₂CH(CH₃)₂)-NH-C(=O)-(C₆H₄-4-Br)
420	(phenyl)-CH₂-	2	2	0	-	H	(S) -CH(CH₂CH(CH₃)₂)-NH-C(=O)-(C₆H₃-3,4-F₂)
421	(phenyl)-CH₂-	2	2	0	-	H	(S) -CH(CH₂CH(CH₃)₂)-NH-C(=O)-(C₆H₃-3,4-Cl₂)
422	(phenyl)-CH₂-	2	2	0	-	H	(R) -CH(CH₂CH(CH₃)₂)-NH-C(=O)-(C₆H₅)
423	(phenyl)-CH₂-	2	2	0	-	H	(R) -CH(CH₂CH(CH₃)₂)-NH-C(=O)-(naphthyl)
424	(phenyl)-CH₂-	2	2	0	-	H	(R) -CH(CH₂CH(CH₃)₂)-NH-C(=O)-(C₆H₄-3-NO₂)
425	(phenyl)-CH₂-	2	2	0	-	H	(R) -CH(CH₂CH(CH₃)₂)-NH-C(=O)-(C₆H₄-4-CO₂CH₃)
426	(phenyl)-CH₂-	2	2	0	-	H	(R) -CH(CH₂CH(CH₃)₂)-NH-C(=O)-(C₆H₄-3-CF₃)
427	(phenyl)-CH₂-	2	2	0	-	H	(R) -CH(CH₂CH(CH₃)₂)-NH-C(=O)-(C₆H₃-CF₃-F)
428	(phenyl)-CH₂-	2	2	0	-	H	(R) -CH(CH₂CH(CH₃)₂)-NH-C(=O)-(C₆H₄-3-OCF₃)
429	(phenyl)-CH₂-	2	2	0	-	H	(R) -CH(CH₂CH(CH₃)₂)-NH-C(=O)-(C₆H₄-3-Br)

Table 1.40

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
430	(phenyl)-CH_2-	2	2	0	-	H	(R) -CH-NH-C(O)- (3-Cl-phenyl); CH_2CH(CH_3)_2
431	(phenyl)-CH_2-	2	2	0	-	H	(R) -CH-NH-C(O)- (4-Br-phenyl); CH_2CH(CH_3)_2
432	(phenyl)-CH_2-	2	2	0	-	H	(R) -CH-NH-C(O)- (3,4-F_2-phenyl); CH_2CH(CH_3)_2
433	(phenyl)-CH_2-	2	2	0	-	H	(R) -CH-NH-C(O)- (3,4-Cl_2-phenyl); CH_2CH(CH_3)_2
434	Cl-(phenyl)-CH_2-	1	3	1	-	H	-CH_2-NH-C(O)-phenyl
435	Cl-(phenyl)-CH_2-	1	3	1	-	H	-CH_2-NH-C(O)-(naphthyl)
436	Cl-(phenyl)-CH_2-	1	3	1	-	H	-CH_2-NH-C(O)-(3-NO_2-phenyl)
437	Cl-(phenyl)-CH_2-	1	3	1	-	H	-CH_2-NH-C(O)-(4-CO_2CH_3-phenyl)
438	Cl-(phenyl)-CH_2-	1	3	1	-	H	-CH_2-NH-C(O)-(3-CF_3-phenyl)
439	Cl-(phenyl)-CH_2-	1	3	1	-	H	-CH_2-NH-C(O)-(3-CF_3-5-F-phenyl)
440	Cl-(phenyl)-CH_2-	1	3	1	-	H	-CH_2-NH-C(O)-(3-OCF_3-phenyl)

Table 1.41

Compd. No.	R^1 R^2 $\rangle$(CH$_2$)$_i$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$CR^{4}R^5(CH$_2$)$_q$–G–R^6
441	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	1	3	1	-	H	–CH$_2$–NH–CO–C$_6$H$_4$(3-Br)
442	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	1	3	1	-	H	–CH$_2$–NH–CO–C$_6$H$_4$(3-Cl)
443	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	1	3	1	-	H	–CH$_2$–NH–CO–C$_6$H$_4$(4-Br)
444	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	1	3	1	-	H	–CH$_2$–NH–CO–C$_6$H$_3$(3,4-F$_2$)
445	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	1	3	1	-	H	–CH$_2$–NH–CO–C$_6$H$_3$(3,4-Cl$_2$)
446	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	1	3	1	-	H	–(CH$_2$)$_2$–NH–CO–C$_6$H$_5$
447	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	1	3	1	-	H	–(CH$_2$)$_2$–NH–CO–(naphthyl)
448	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	1	3	1	-	H	–(CH$_2$)$_2$–NH–CO–C$_6$H$_4$(3-NO$_2$)
449	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	1	3	1	-	H	–(CH$_2$)$_2$–NH–CO–C$_6$H$_4$(4-CO$_2$CH$_3$)
450	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	1	3	1	-	H	–(CH$_2$)$_2$–NH–CO–C$_6$H$_4$(3-CF$_3$)
451	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	1	3	1	-	H	–(CH$_2$)$_2$–NH–CO–C$_6$H$_3$(3-CF$_3$,5-F)

Table 1.42

Compd. No.	R^1 $R^2$$>$$(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p$$-$$\overset{R^4}{\underset{R^5}{C}}$$-(CH_2)_q$$-G-R^6$
452	Cl—⟨phenyl⟩—CH$_2$—	1	3	1	-	H	—(CH$_2$)$_2$—NH—C(=O)—⟨3-OCF$_3$-phenyl⟩
453	Cl—⟨phenyl⟩—CH$_2$—	1	3	1	-	H	—(CH$_2$)$_2$—NH—C(=O)—⟨3-Br-phenyl⟩
454	Cl—⟨phenyl⟩—CH$_2$—	1	3	1	-	H	—(CH$_2$)$_2$—NH—C(=O)—⟨3-Cl-phenyl⟩
455	Cl—⟨phenyl⟩—CH$_2$—	1	3	1	-	H	—(CH$_2$)$_2$—NH—C(=O)—⟨4-Br-phenyl⟩
456	Cl—⟨phenyl⟩—CH$_2$—	1	3	1	-	H	—(CH$_2$)$_2$—NH—C(=O)—⟨3,4-F-phenyl⟩
457	Cl—⟨phenyl⟩—CH$_2$—	1	3	1	-	H	—(CH$_2$)$_2$—NH—C(=O)—⟨3,4-Cl-phenyl⟩
458	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—⟨phenyl⟩
459	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—⟨3-CH$_3$-phenyl⟩
460	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—⟨4-CH$_3$-phenyl⟩
461	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—⟨3-CF$_3$-phenyl⟩
462	Cl—⟨phenyl⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—⟨2-CH$_3$-phenyl⟩

Table 1.43

Compd. No.	R^1 R^2 >$\big\rangle$(CH$_2$)$_i$—	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q G-R^6$
463	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—N(CH$_3$)—C(=O)—⟨C$_6$H$_5$⟩
464	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—⟨3,4,5-(OCH$_3$)$_3$C$_6$H$_2$⟩
465	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—⟨pyridyl⟩
466	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—⟨3-NO$_2$C$_6$H$_4$⟩
467	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—⟨3-BrC$_6$H$_4$⟩
468	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—⟨3-N(CH$_3$)$_2$C$_6$H$_4$⟩
469	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—⟨3-OCH$_3$C$_6$H$_4$⟩
470	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—⟨4-CN C$_6$H$_4$⟩
471	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—⟨4-CO$_2$CH$_3$ C$_6$H$_4$⟩
472	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—⟨4-C(=O)C$_6$H$_5$ C$_6$H$_4$⟩
473	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—⟨4-C(=O)CH$_3$ C$_6$H$_4$⟩

Table 1.44

Compd. No.	R^1 R^2 >(CH$_2$)$_j$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$ R^4 R^5 (CH$_2$)$_q$G–R^S
474	Cl—◯—CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(=O)—◯—CF$_3$
475	Cl—◯—CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(=O)—◯—CH(CH$_3$)$_2$
476	Cl—◯—CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(=O)—◯—NO$_2$
477	Cl—◯—CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(=O)—◯—OCH(CH$_3$)$_2$
478	Cl—◯—CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(=O)—(N-CH$_3$ pyrrole)
479	Cl—◯—CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(=O)—(furan)
480	Cl—◯—CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(=O)—(5-Br-furan)
481	Cl—◯—CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(=O)—(thiophene)
482	Cl—◯—CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(=O)—(3-CH$_3$-thiophene)
483	Cl—◯—CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(=O)—(5-CH$_3$-thiophene)
484	Cl—◯—CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(=O)—(indole)

Table 1.45

Compd. No.	R^1 R^2 $>$(CH$_2$)$_l$—	k	m	n	chirality	R^3	$-(CH_2)_p$-$\overset{R^4}{\underset{R^5}{C}}$-$(CH_2)_q$-G-R^6
485	Cl–⬡–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–phenyl(3,5-di-CF$_3$)
486	Cl–⬡–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–phenyl(CN)
487	Cl–⬡–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–phenyl(Cl)
488	Cl–⬡–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–phenyl(NH$_2$)
489	Cl–⬡–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–phenyl(CF$_3$, F$_3$C)
490	Cl–⬡–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–phenyl(OCH$_2$CH$_3$)
491	Cl–⬡–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–phenyl(F, CF$_3$)
492	Cl–⬡–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–phenyl(OCF$_3$)
493	Cl–⬡–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–phenyl(Cl, CF$_3$)
494	Cl–⬡–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–phenyl(CF$_3$, F)
495	Cl–⬡–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–phenyl(CF$_3$, F)

Table 1.46

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
496	Cl–〈 〉–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)– benzene with CF₃, F
497	Cl–〈 〉–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)– benzene with HO, CH(CH₃)₂
498	Cl–〈 〉–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)– benzene with NH₂, CF₃
499	Cl–〈 〉–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)– benzene with N(CH₃)₂
500	Cl–〈 〉–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)– benzene with OCH₃
501	Cl–〈 〉–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)– benzene with NO₂, Br
502	Cl–〈 〉–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)– benzene with NO₂, F
503	Cl–〈 〉–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)– benzene with NO₂, Cl
504	Cl–〈 〉–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)– benzene with OCH₃, OCH₃
505	Cl–〈 〉–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)– benzene with NO₂, Br
506	Cl–〈 〉–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)– furan with NO₂

Table 1.47

Compd. No.	$\begin{smallmatrix}R^1\\R^2\end{smallmatrix}$>$-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\!\!-\!\!\begin{smallmatrix}R^4\\R^5\end{smallmatrix}\!\!-\!\!(CH_2)_q\!-\!G\!-\!R^6$
507	Cl—⟨ ⟩—CH₂—	2	2	1	-	H	
508	Cl—⟨ ⟩—CH₂—	2	2	1	-	H	
509	Cl—⟨ ⟩—CH₂—	2	2	1	-	H	
510	Cl—⟨ ⟩—CH₂—	2	2	1	-	H	
511	Cl—⟨ ⟩—CH₂—	2	2	1	-	H	
512	Cl—⟨ ⟩—CH₂—	2	2	1	-	H	
513	Cl—⟨ ⟩—CH₂—	2	2	1	-	H	
514	Cl—⟨ ⟩—CH₂—	2	2	1	-	H	
515	Cl—⟨ ⟩—CH₂—	2	2	1	-	H	
516	H₂N—⟨ ⟩—CH₂—	2	2	1	-	H	
517	H₂N⟨ ⟩—CH₂—	2	2	1	-	H	

Table 1.48

Compd. No.	R^1 R^2 $\!\!>\!\!$ $(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p$ $\overset{R^4}{\underset{R^5}{C}}$ $(CH_2)_q$ $G-R^6$
518	2-aminobenzyl ($-CH_2-$ with NH_2)	2	2	1	-	H	$-CH_2-NH-CO-$ phenyl-CF_3
519	benzoylamino-phenyl-CH_2-	2	2	1	-	H	$-CH_2-NH-CO-$ phenyl-CF_3
520	Cl-phenyl-CH_2-	2	2	1	-	$-CH_3$	$-CH_2-NH-CO-$ phenyl-CF_3
521	Cl-phenyl-CH_2-	2	2	1	-	$-(CH_2)_2CH$(phenyl)(phenyl)	$-CH_2-NH-CO-$ phenyl-CF_3
522	Cl-phenyl-CH_2-	2	2	1	-	$-CH_2CH$(phenyl)(phenyl)	$-CH_2-NH-CO-$ phenyl-CF_3
523	Cl-phenyl-CH_2-	2	2	1	-	$-(CH_2)_2CH$(phenyl)(phenyl)	$-CH_2-NH-CO-$ phenyl
524	Cl-phenyl-CH_2-	2	2	1	-	$-CH_2CH$(phenyl)(phenyl)	$-CH_2-NH-CO-$ phenyl
525	Cl-phenyl-CH_2-	2	2	1	-	H	$-CH_2-NH-CO-$ phenyl-SO_2CH_3
526	Cl-phenyl-CH_2-	2	2	1	-	H	$-CH_2-NH-CO-$ furanyl
527	Cl-phenyl-CH_2-	2	2	1	-	H	$-CH_2-NH-CO-$ thienyl
528	Cl-phenyl-CH_2-	2	2	1	-	H	$-CH_2-NH-CO-$ furanyl-CH_3,F_3C

Table 1.49

Compd. No.	R^1 R^2 >(CH$_2$)$_i$–	k	m	n	chirality	R^3	$-(CH_2)_p$$\overset{R^4}{\underset{R^5}{C}}$(CH$_2$)$_q$G–R^6
529	Cl⟨⟩–CH$_2$–	2	2	1	-	H	
530	Cl⟨⟩–CH$_2$–	2	2	1	-	H	
531	Cl⟨⟩–CH$_2$–	2	2	1	-	H	
532	Cl⟨⟩–CH$_2$–	2	2	1	-	H	
533	Cl⟨⟩–CH$_2$–	2	2	1	-	H	
534	Cl⟨⟩–CH$_2$–	2	2	1	-	H	
535	Cl⟨⟩–CH$_2$–	2	2	1	-	H	
536	Cl⟨⟩–CH$_2$–	2	2	1	-	H	
537	Cl⟨⟩–CH$_2$–	2	2	1	-	H	
538	Cl⟨⟩–CH$_2$–	2	2	1	-	H	
539	Cl⟨⟩–CH$_2$–	2	2	1	-	H	

Table 1.50

Compd. No.	R^1 R^2 $\rangle$ C $-$(CH$_2$)$_j$$-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$ $\overset{R^4}{\underset{R^5}{C}}$(CH$_2$)$_qG-$R^6
540	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NHC(O)— 1-methylindol-2-yl
541	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NHC(O)—(2-amino-5-nitrophenyl)
542	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NHC(O)—(3-ethylphenyl)
543	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NHC(O)—(4-ethylphenyl)
544	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NHC(O)—(3-fluorophenyl)
545	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NHC(O)—(2,5-dichlorophenyl)
546	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NHC(O)—(2,3-dichlorophenyl)
547	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NHC(O)—(3,4-dichlorophenyl)
548	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NHC(O)—(3,5-dichlorophenyl)
549	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NHC(O)—(4-chloro-2-nitrophenyl)
550	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NHC(O)—(3-chloro-2-nitrophenyl)

Table 1.51

Compd. No.	$\begin{smallmatrix}R^1\\R^2\end{smallmatrix}\!\!>\!\!(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p\!\!\overset{R^4}{\underset{R^5}{C}}\!\!(CH_2)_q G\!-\!R^6$
551	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	·	H	$-CH_2-\overset{O}{\underset{H}{N}}-\overset{\parallel}{C}-CH_2-$⟨C₆H₄⟩–CH₃
552	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	·	H	$-CH_2-\overset{O}{\underset{H}{N}}-\overset{\parallel}{C}-CH_2-$⟨C₆H₄⟩–CF₃
553	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	·	H	$-CH_2-\overset{O}{\underset{H}{N}}-\overset{\parallel}{C}-CH_2-$⟨C₆H₃⟩(CF₃)(CF₃)
554	Cl—⟨C₆H₄⟩—CH₂–.	2	2	1	·	H	$-CH_2-\overset{O}{\underset{H}{N}}-\overset{\parallel}{C}-$[indole–F]
555	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	·	H	$-CH_2-\overset{O}{\underset{H}{N}}-\overset{\parallel}{C}-$[indole–Cl]
556	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	·	H	$-CH_2-\overset{O}{\underset{H}{N}}-\overset{\parallel}{C}-$[indole–CH₃]
557	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	·	H	$-(CH_2)_2-\overset{O}{\underset{H}{N}}-\overset{\parallel}{C}-$⟨C₆H₅⟩
558	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	·	H	$-\overset{CH_3}{\underset{H}{CH}}-\overset{O}{\underset{H}{N}}-\overset{\parallel}{C}-$⟨C₆H₅⟩
559	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	·	H	$-\overset{CH_3}{\underset{CH_3}{C}}-\overset{O}{\underset{H}{N}}-\overset{\parallel}{C}-$⟨C₆H₃⟩(CF₃)(CF₃)
560	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	·	H	$-\overset{CH}{\underset{CH_3}{}}-\overset{O}{\underset{H}{N}}-\overset{\parallel}{C}-$⟨C₆H₄⟩(CN)
561	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	·	H	$-\overset{CH}{\underset{CH_3}{}}-\overset{O}{\underset{H}{N}}-\overset{\parallel}{C}-$⟨C₆H₄⟩(Br)

Table 1.52

Compd. No.	R^1 R^2 $>$ $(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p$ $\overset{R^4}{\underset{R^5}{C}}$ $(CH_2)_q$ $G-R^6$
562	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
563	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
564	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
565	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
566	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
567	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
568	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
569	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
570	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
571	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
572	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	

Table 1.53

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}$ C−(CH$_2$)$_l$−	k	m	n	chirality	R^3	−(CH$_2$)$_p$−C$\begin{array}{c}R^4\\R^5\end{array}$−(CH$_2$)$_q$−G−R^6
573	Cl−⬡−CH$_2$−	2	2	1	-	H	−CH−NH−C(=O)−[3-Br-thiophene] CH$_3$
574	Cl−⬡−CH$_2$−	2	2	1	-	H	−CH−NH−C(=O)−[5-Br-thiophene] CH$_3$
575	Cl−⬡−CH$_2$−	2	2	1	-	H	−CH−NH−C(=O)−[5-C(CH$_3$)$_3$-furan] CH$_3$
576	Cl−⬡−CH$_2$−	2	2	1	-	H	−CH−NH−C(=O)−[5-SCH$_3$-furan] CH$_3$
577	Cl−⬡−CH$_2$−	2	2	1	-	H	−CH−NH−C(=O)−[3-CH$_3$-furan] CH$_3$
578	Cl−⬡−CH$_2$−	2	2	1	-	H	−CH−NH−C(=O)−[benzothiophene] CH$_3$
579	Cl−⬡−CH$_2$−	2	2	1	-	H	−CH−NH−C(=O)−[indole] CH$_3$
580	Cl−⬡−CH$_2$−	2	2	1	-	H	−CH−NH−C(=O)−[5-CH$_3$-thiophene] CH$_3$
581	Cl−⬡−CH$_2$−	2	2	1	-	H	−CH−NH−C(=O)−[thiophene] CH$_3$
582	Cl−⬡−CH$_2$−	2	2	1	-	H	−CH−NH−C(=O)−[3-CH$_3$-thiophene] CH$_3$
583	Cl−⬡−CH$_2$−	2	2	1	-	H	−CH−NH−C(=O)−[1-CH$_3$-indole] CH$_3$

Table 1.5 4

Compd. No.	R^1 R^2 $>C<$ $(CH_2)_1-$	k	m	n	chirality	R^3	$-(CH_2)_p$ R^4 R^5 $(CH_2)_q$ $G-R^6$
584	Cl—⟨benzene⟩—CH₂-	2	2	1	-	H	-CH(CH₃)-NH-C(O)-⟨benzene⟩-C(O)-⟨phenyl⟩
585	Cl—⟨benzene⟩—CH₂-	2	2	1	-	H	-CH(CH₃)-NH-C(O)-⟨benzene⟩-CN
586	Cl—⟨benzene⟩—CH₂-	2	2	1	-	H	-CH(CH₃)-NH-C(O)-⟨benzene⟩-Cl
587	Cl—⟨benzene⟩—CH₂-	2	2	1	-	H	-CH(CH₃)-NH-C(O)-⟨benzene⟩-CF₃
588	Cl—⟨benzene⟩—CH₂-	2	2	1	-	H	-CH(CH₃)-NH-C(O)-⟨benzene⟩-NH₂
589	Cl—⟨benzene⟩—CH₂-	2	2	1	-	H	-CH(CH₃)-NH-C(O)-⟨benzene⟩-C(CH₃)₃
590	Cl—⟨benzene⟩—CH₂-	2	2	1	-	H	-CH(CH₃)-NH-C(O)-⟨benzene⟩-CH(CH₃)₂
591	Cl—⟨benzene⟩—CH₂-	2	2	1	-	H	-CH(CH₃)-NH-C(O)-⟨benzene⟩-N(CH₃)₂
592	Cl—⟨benzene⟩—CH₂-	2	2	1	-	H	-CH(CH₃)-NH-C(O)-⟨benzene⟩-OCH₃
593	Cl—⟨benzene⟩—CH₂-	2	2	1	-	H	-CH(CH₃)-NH-C(O)-⟨benzene⟩-CH₂OH
594	Cl—⟨benzene⟩—CH₂-	2	2	1	-	H	-CH(CH₃)-NH-C(O)-⟨benzene⟩-OH

Table 1.55

Compd. No.	$\begin{matrix}R^1\\R^2\end{matrix}$—(CH$_2$)$_i$—	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q G-R^6$
595	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_3$)NH—CO—C$_6$H$_4$—CC$_2$CH$_3$
596	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_3$)NH—CO—C$_6$H$_4$—CO·CH$_3$
597	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_3$)NH—CO—C$_6$H$_4$(CO·CH$_3$)
598	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_3$)NH—CO—(2-furyl)
599	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_3$)NH—CO—(1-methylpyrrol-2-yl)
600	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_3$)NH—CO—(5-bromofuran-2-yl)
601	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_3$)NH—CO—C$_6$H$_4$(OCH$_3$)
602	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_3$)NH—CO—C$_6$H$_4$(N(CH$_3$)$_2$)
603	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_3$)NH—CO—C$_6$H$_4$(NH$_2$)
604	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_3$)NH—CO—(pyrrol-2-yl)
605	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_3$)NH—CO—(3-furyl)

Table 1.56

Compd. No.	R^1, R^2 >(CH₂)ⱼ—	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
606	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
607	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
608	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
609	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
610	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
611	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
612	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
613	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
614	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
615	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
616	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	

Table 1.57

Compd. No.	R^1 R^2 —(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$ R^4 R^5 (CH$_2$)$_q$G—R^6
617	Cl—⟨ ⟩—CH$_2$–	2	2	1	-	H	–CH–N–C—⟨ ⟩–CF$_3$ (O), CH$_3$
618	Cl—⟨ ⟩—CH$_2$–	2	2	1	-	H	–CH–N–C—⟨ ⟩ (O), CH(CH$_3$)$_2$
619	Cl—⟨ ⟩—CH$_2$–	2	2	1	-	H	–CH–N–C—⟨ ⟩–CN (O), CH(CH$_3$)$_2$
620	Cl—⟨ ⟩—CH$_2$–	2	2	1	-	H	–CH–N–C—⟨ ⟩–Br (O), CH(CH$_3$)$_2$
621	Cl—⟨ ⟩—CH$_2$–	2	2	1	-	H	–CH–N–C—⟨ ⟩–Cl (O), CH(CH$_3$)$_2$
622	Cl—⟨ ⟩—CH$_2$–	2	2	1	-	H	–CH–N–C—⟨ ⟩–N(CH$_3$)$_2$ (O), CH(CH$_3$)$_2$
623	Cl—⟨ ⟩—CH$_2$–	2	2	1	-	H	–CH–N–C—⟨ ⟩–OCH$_3$ (O), CH(CH$_3$)$_2$
624	Cl—⟨ ⟩—CH$_2$–	2	2	1	-	H	–CH–N–C—⟨ ⟩–NO$_2$ (O), CH(CH$_3$)$_2$
625	Cl—⟨ ⟩—CH$_2$–	2	2	1	-	H	–CH–N–C—⟨ ⟩–NH$_2$ (O), CH(CH$_3$)$_2$
626	Cl—⟨ ⟩—CH$_2$–	2	2	1	-	H	–CH–N–C—⟨ ⟩(F$_3$C)(CF$_3$) (O), CH(CH$_3$)$_2$
627	Cl—⟨ ⟩—CH$_2$–	2	2	1	-	H	–CH–N–C—⟨ ⟩–OCH$_2$CH$_3$ (O), CH(CH$_3$)$_2$

Table 1.58

Compd. No.	R^1, R^2 >(CH$_2$)$_j$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$C$R^4$$R^5$(CH$_2$)$_q$–G–$R^6$
628	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–CH(CH(CH$_3$)$_2$)–NH–CO–C$_6$H$_4$–CO$_2$CH$_3$
629	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–CH(CH(CH$_3$)$_2$)–NH–CO–C$_6$H$_3$(F)(CF$_3$)
630	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–CH(CH(CH$_3$)$_2$)–NH–CO–C$_6$H$_4$–OCF$_3$
631	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–CH(CH(CH$_3$)$_2$)–NH–CO–C$_6$H$_3$(Cl)(CF$_3$)
632	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–CH(CH(CH$_3$)$_2$)–NH–CO–C$_6$H$_3$(F)(CF$_3$)
633	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–CH(CH(CH$_3$)$_2$)–NH–CO–C$_6$H$_3$(CF$_3$)(F)
634	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–CH(CH(CH$_3$)$_2$)–NH–CO–C$_6$H$_3$(CF$_3$)(F)
635	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–CH(CH(CH$_3$)$_2$)–NH–CO–C$_6$H$_3$(OH)(CH(CH$_3$)$_2$)
636	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–CH(CH(CH$_3$)$_2$)–NH–CO–C$_6$H$_4$–CH$_3$
637	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–CH(CH(CH$_3$)$_2$)–NH–CO–C$_6$H$_4$–CF$_3$
638	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–CH(CH(CH$_3$)$_2$)–NH–CO–C$_6$H$_4$–CN

Table 1.59

Compd. No.	R^1 R^2 $>$(CH$_2$)$_l$—	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$\overset{R^4}{\underset{R^5}{C}}$(CH$_2$)$_q$G-R^6
639	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH-NHC(O)-⟨C$_6$H$_4$⟩-N(CH$_3$)$_2$; CH(CH$_3$)$_2$
640	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH-NHC(O)-⟨C$_6$H$_4$⟩-OCH$_3$; CH(CH$_3$)$_2$
641	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH-NHC(O)-⟨C$_6$H$_4$⟩-CO$_2$CH$_3$; CH(CH$_3$)$_2$
642	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH-NHC(O)-⟨C$_6$H$_4$⟩-C(O)-⟨C$_6$H$_5$⟩ ; CH(CH$_3$)$_2$
643	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH-NHC(O)-⟨C$_6$H$_4$⟩-CF$_3$; CH(CH$_3$)$_2$
644	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH-NHC(O)-⟨C$_6$H$_4$⟩-C(CH$_3$)$_3$; CH(CH$_3$)$_2$
645	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH-NHC(O)-⟨C$_6$H$_4$⟩-NH$_2$; CH(CH$_3$)$_2$
646	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH-NHC(O)-⟨C$_6$H$_4$⟩-CH$_2$OH ; CH(CH$_3$)$_2$
647	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH-NHC(O)-⟨C$_6$H$_4$⟩-C(O)CH$_3$; CH(CH$_3$)$_2$
648	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH-NHC(O)-⟨C$_6$H$_4$⟩-CH(CH$_3$)$_2$; CH(CH$_3$)$_2$
649	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH-NHC(O)-⟨C$_6$H$_4$⟩-OCH(CH$_3$)$_2$; CH(CH$_3$)$_2$

Table 1.60

Compd. No.	$\begin{matrix} R^1 \\ R^2 \end{matrix}$ >—$(CH_2)_l$—	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{C}} (CH_2)_q G-R^6$
650	Cl—⬡—CH_2–	2	2	1	-	H	
651	Cl—⬡—CH_2–	2	2	1	-	H	
652	Cl—⬡—CH_2–	2	2	1	-	H	
653	Cl—⬡—CH_2–	2	2	1	-	H	
654	Cl—⬡—CH_2–	2	2	1	-	H	
655	Cl—⬡—CH_2–	2	2	1	-	H	
656	Cl—⬡—CH_2–	2	2	1	-	H	
657	Cl—⬡—CH_2–	2	2	1	-	H	
658	Cl—⬡—CH_2–	2	2	1	-	H	
659	Cl—⬡—CH_2–	2	2	1	-	H	
660	Cl—⬡—CH_2–	2	2	1	-	H	

Table 1.61

Compd. No.	$\begin{smallmatrix}R^1\\R^2\end{smallmatrix}CH-$(CH$_2$)$_l-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q G-R^6$
661	Cl—⟨ ⟩—CH$_2$-	2	2	1	-	H	-CH-NH-C(O)-thiophene-OCH$_3$; CH(CH$_3$)$_2$
662	Cl—⟨ ⟩—CH$_2$-	2	2	1	-	H	-CH-NH-C(O)-furan(CH$_3$)(CH$_3$); CH(CH$_3$)$_2$
663	Cl—⟨ ⟩—CH$_2$-	2	2	1	-	H	-CH-NH-C(O)-furan-CH$_3$; CH(CH$_3$)$_2$
664	Cl—⟨ ⟩—CH$_2$-	2	2	1	-	H	-CH-NH-C(O)-furan(CH$_3$)(NO$_2$); CH(CH$_3$)$_2$
665	Cl—⟨ ⟩—CH$_2$-	2	2	1	-	H	-CH-NH-C(O)-thiophene-C(O)CH$_3$; CH(CH$_3$)$_2$
666	Cl—⟨ ⟩—CH$_2$-	2	2	1	-	H	-CH-NH-C(O)-pyrrole(CH$_3$)(N-CH$_3$)(CH$_3$); CH(CH$_3$)$_2$
667	Cl—⟨ ⟩—CH$_2$-	2	2	1	-	H	-CH-NH-C(O)-furan(CH$_3$)(phenyl); CH(CH$_3$)$_2$
668	Cl—⟨ ⟩—CH$_2$-	2	2	1	-	H	-CH-NH-C(O)-furan(CF$_3$)(CH$_3$); CH(CH$_3$)$_2$
669	Cl—⟨ ⟩—CH$_2$-	2	2	1	-	H	-CH-NH-C(O)-pyrrole(N-CH$_3$); CH(CH$_3$)$_2$
670	Cl—⟨ ⟩—CH$_2$-	2	2	1	-	H	-CH-NH-C(O)-furan-Br; CH(CH$_3$)$_2$
671	Cl—⟨ ⟩—CH$_2$-	2	2	1	-	H	-CH-NH-C(O)-furan-NO$_2$; CH(CH$_3$)$_2$

Table 1.6 2

Compd. No.	$\overset{R^1}{\underset{R^2}{>}}$(CH$_2$)$_j$–	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q G-R^6$
672	Cl—⟨◯⟩—CH$_2$–	2	2	1	-	H	—CH—N—C—pyrrole CH(CH$_3$)$_2$
673	Cl—⟨◯⟩—CH$_2$–	2	2	1	-	H	—CH—N—C—thiophene C(CH$_3$)$_2$
674	Cl—⟨◯⟩—CH$_2$–	2	2	1	-	H	—CH—N—C—(3-methylthiophene) CH(CH$_3$)$_2$
675	Cl—⟨◯⟩—CH$_2$–	2	2	1	-	H	—CH—N—C—(5-methylthiophene) C(CH$_3$)$_2$
676	Cl—⟨◯⟩—CH$_2$–	2	2	1	-	H	—CH—N—C—indole CH(CH$_3$)$_2$
677	Cl—⟨◯⟩—CH$_2$–	2	2	1	-	H	—CH—N—C—(N-methylindole) CH(CH$_3$)$_2$ CH$_3$
678	Cl—⟨◯⟩—CH$_2$–	2	2	1	-	H	—CH—N—C—(3-methylfuran) CH(CH$_3$)$_2$
679	Cl—⟨◯⟩—CH$_2$–	2	2	1	-	H	—CH—N—C—benzothiophene CH(CH$_3$)$_2$
680	Cl—⟨◯⟩—CH$_2$–	2	2	1	-	H	—CH—N—C—(5-bromothiophene) CH(CH$_3$)$_2$
681	Cl—⟨◯⟩—CH$_2$–	2	2	1	-	H	—CH—N—C—(4,5-dimethylfuran) CH(CH$_3$)$_2$
682	Cl—⟨◯⟩—CH$_2$–	2	2	1	-	H	—CH—N—C—(5-tert-butylfuran) CH(CH$_3$)$_2$ C(CH$_3$)$_3$

Table 1.63

Compd. No.	R^1 R^2 $-(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{C}} (CH_2)_q G-R^6$
683	Cl—⟨⟩—CH₂—	2	2	1	-	H	
684	Cl—⟨⟩—CH₂—	2	2	1	-	H	
685	Cl—⟨⟩—CH₂—	2	2	1	-	H	
686	Cl—⟨⟩—CH₂—	2	2	1	-	H	
687	Cl—⟨⟩—CH₂—	2	2	1	-	H	
688	Cl—⟨⟩—CH₂—	2	2	1	-	H	
689	Cl—⟨⟩—CH₂—	2	2	1	-	H	
690	Cl—⟨⟩—CH₂—	2	2	1	-	H	
691	Cl—⟨⟩—CH₂—	2	2	1	-	H	
692	Cl—⟨⟩—CH₂—	2	2	1	-	H	
693	Cl—⟨⟩—CH₂—	2	2	1	-	H	

Table 1.6 4

Compd. No.	$\begin{matrix} R^1 \\ R^2 \end{matrix}$ $(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^5$
694	Cl—〈 〉—CH₂-	2	2	1	-	H	structure: pyrrolidine-CH-N-C(=O)-phenyl-OCH₂CH₃
695	Cl—〈 〉—CH₂-	2	2	1	-	H	structure: pyrrolidine-CH-N-C(=O)-phenyl-CO₂CH₃
696	Cl—〈 〉—CH₂-	2	2	1	-	H	structure: pyrrolidine-CH-N-C(=O)-phenyl-OCF₃
697	Cl—〈 〉—CH₂-	2	2	1	-	H	structure: pyrrolidine-CH-N-C(=O)-phenyl-CN
698	Cl—〈 〉—CH₂-	2	2	1	-	H	structure: pyrrolidine-CH-N-C(=O)-phenyl-N(CH₃)₂
699	Cl—〈 〉—CH₂-	2	2	1	-	H	structure: pyrrolidine-CH-N-C(=O)-phenyl-OCH₃
700	Cl—〈 〉—CH₂-	2	2	1	-	H	structure: pyrrolidine-CH-N-C(=O)-phenyl-CO₂CH₃
701	Cl—〈 〉—CH₂-	2	2	1	-	H	structure: pyrrolidine-CH-N-C(=O)-phenyl-C(=O)·CH₃
702	Cl—〈 〉—CH₂-	2	2	1	-	H	structure: pyrrolidine-CH-N-C(=O)-phenyl-CF₃
703	Cl—〈 〉—CH₂-	2	2	1	-	H	structure: pyrrolidine-CH-N-C(=O)-phenyl-CH(CH₃)₂
704	Cl—〈 〉—CH₂-	2	2	1	-	H	structure: pyrrolidine-CH-N-C(=O)-phenyl-NO₂

Table 1.65

Compd. No.	R^{1}R^2 >(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$<R^{4}R^5(CH$_2$)$_q$—G—R^6
705	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(structure)
706	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(structure)
707	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(structure)
708	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(structure)
709	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(structure)
710	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(structure)
711	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(structure)
712	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(structure)
713	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(structure)
714	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(structure)
715	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(structure)

Table 1.66

Compd. No.	R^1 R^2 $>$ $(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{|}} (CH_2)_q G-R^6$
716	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
717	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
718	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
719	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
720	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
721	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
722	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
723	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
724	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
725	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	
726	Cl—⟨ ⟩—CH₂-	2	2	1	-	H	

Table 1.67

Compd. No.	R^1 R^2 $>(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{C}}(CH_2)_q G-R^6$
727	Cl—⬡—CH₂–	2	2	1	-	H	–CH–N–C(O)–⬡–Cl (pyrrolidine)
728	Cl—⬡—CH₂–	2	2	1	-	H	–CH–N–C(O)–⬡–NH₂ (pyrrolidine)
729	Cl—⬡—CH₂–	2	2	1	-	H	–CH–N–C(O)–⬡–NO₂ (pyrrolidine)
730	Cl—⬡—CH₂–	2	2	1	-	H	–CH–N–C(O)–⬡–Cl (pyrrolidine)
731	Cl—⬡—CH₂–	2	2	1	-	H	–CH–N–C(O)–⬡–C(O)CH₃ (pyrrolidine)
732	Cl—⬡—CH₂–	2	2	1	-	H	–CH–N–C(O)–⬡(CF₃)(F) (pyrrolidine)
733	Cl—⬡—CH₂–	2	2	1	-	H	–CH–N–C(O)–⬡(HO)(CH(CH₃)₂) (pyrrolidine)
734	Cl—⬡—CH₂–	2	2	1	-	H	–CH–N–C(O)–⬡(CF₃)(F) (pyrrolidine)
735	Cl—⬡—CH₂–	2	2	1	-	H	–CH–N–C(O)–⬡(F)(CF₃) (pyrrolidine)
736	Cl—⬡—CH₂–	2	2	1	-	H	–CH–N–C(O)–⬡(H₂N)(CF₃) (pyrrolidine)
737	Cl—⬡—CH₂–	2	2	1	-	H	–CH–N–C(O)–⬡(CF₃)(F) (pyrrolidine)

Table 1.68

Compd. No.	R^1 R^2 $\rangle$-(CH$_2$)$_i$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$$\stackrel{R^4}{\underset{R^5}{C}}$(CH$_2$)$_q$G-R^6
738	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	
739	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	
740	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	
741	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	
742	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	
743	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	
744	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	
745	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	
746	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	
747	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	
748	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	

Table 1.69

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\!\!\overset{R^4}{\underset{R^5}{C}}\!\!(CH_2)_q\!-G-R^6$
749	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	pyrrolidine-C(=O)-indole N-benzyl
750	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	pyrrolidine-C(=O)-(2-methylfuran)
751	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	–CH(CH₂OH)–NH–C(=O)–(3-CH₃-phenyl)
752	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	–CH(CH₂OH)–NH–C(=O)–(3,5-(CF₃)₂-phenyl)
753	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	–CH(CH₂OH)–NH–C(=O)–(3-CN-phenyl)
754	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	–CH(CH₂OH)–NH–C(=O)–(3-Cl-phenyl)
755	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	–CH(CH₂OH)–NH–C(=O)–(3-OCH₃-phenyl)
756	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	–CH(CH₂OH)–NH–C(=O)–(3-NO₂-phenyl)
757	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	–CH(CH₂OH)–NH–C(=O)–(3-OCH₂CH₃-phenyl)
758	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	–CH(CH₂OH)–NH–C(=O)–(3-CO₂CH₃-phenyl)
759	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	–CH(CH₂OH)–NH–C(=O)–(3-OCF₃-phenyl)

Table 1.70

Compd. No.	$R^1 R^2$ >(CH$_2$)$_l$–	k	m	n	chirality	R^3	$-(CH_2)_p$ $\overset{R^4}{\underset{R^5}{C}}$ $(CH_2)_q$-G-R^6
760	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–CH(CH$_2$OH)–NH–CO–C$_6$H$_3$(CF$_3$)(F)
761	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–CH(CH$_2$OH)–NH–CO–C$_6$H$_3$(CF$_3$)(F)
762	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–CH(CH$_2$OH)–NH–CO–C$_6$H$_4$(CF$_3$)
763	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–CH(CH$_2$OH)–NH–CO–C$_6$H$_5$
764	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–C(CH$_3$)$_2$–NH–CO–C$_6$H$_5$
765	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–C(CH$_3$)$_2$–NH–CO–C$_6$H$_4$(CH$_3$)
766	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–C(CH$_3$)$_2$–NH–CO–C$_6$H$_4$(CF$_3$)
767	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–C(CH$_3$)$_2$–N=CO–C$_6$H$_4$(SO$_2$CH$_3$)
768	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–C(CH$_3$)$_2$–NH–CO–C$_6$H$_4$(Br)
769	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–C(CH$_3$)$_2$–NH–CO–C$_6$H$_4$(OCF$_3$)
770	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–C(CH$_3$)$_2$–NH–CO–C$_6$H$_3$(CF$_3$)(F)

Table 1.71

Compd. No.	R^1 R^2 $>$ $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
771	Cl—⟨benzene⟩—CH₂—	2	2	1	-	H	$-\overset{CH_3}{\underset{CH_3}{C}}-NH-\overset{O}{C}-$ ⟨benzene, 3-CF₃, 4-F⟩
772	Cl—⟨benzene⟩—CH₂—	2	2	1	-	H	$-\overset{CH_3}{\underset{CH_3}{C}}-NH-\overset{O}{C}-$ ⟨benzene, 4-CF₃⟩
773	Cl—⟨benzene⟩—CH₂—	2	2	1	-	H	$-\overset{CH_3}{\underset{CH_3}{C}}-NH-\overset{O}{C}-$ ⟨furan⟩—C(CH₃)₃
774	Cl—⟨benzene⟩—CH₂—	2	2	1	-	H	$-\overset{CH_3}{\underset{CH_3}{C}}-NH-\overset{O}{C}-$ ⟨thiophene⟩—SCH₃
775	Cl—⟨benzene⟩—CH₂—	2	2	1	-	H	$-\overset{CH_3}{\underset{CH_3}{C}}-NH-\overset{O}{C}-$ ⟨furan, CH₃⟩—C(CH₃)₃
776	Cl—⟨benzene⟩—CH₂—	2	2	1	-	H	$-\overset{CH_3}{\underset{CH_3}{C}}-NH-\overset{O}{C}-$ ⟨furan, CH₃⟩—phenyl
777	Cl—⟨benzene⟩—CH₂—	2	2	1	-	H	$-\overset{CH_3}{\underset{CH_3}{C}}-NH-\overset{O}{C}-$ ⟨furan, CF₃⟩—CH₃
778	Cl—⟨benzene⟩—CH₂—	2	2	1	-	H	$-\overset{CH_3}{\underset{CH_3}{C}}-NH-\overset{O}{C}-$ ⟨benzene, 3-NO₂, 4-Cl⟩
779	Cl—⟨benzene⟩—CH₂—	2	2	1	-	H	$-\overset{CH_3}{\underset{CH_3}{C}}-NH-\overset{O}{C}-$ ⟨benzene, 3-Cl⟩
780	Cl—⟨benzene⟩—CH₂—	2	2	1	-	H	$-\overset{CH_3}{\underset{CH_3}{C}}-NH-\overset{O}{C}-$ ⟨benzene, 3-NO₂⟩
781	Cl—⟨benzene⟩—CH₂—	2	2	1	-	H	$-\overset{CH_3}{\underset{CH_3}{C}}-NH-\overset{O}{C}-$ ⟨indole⟩

Table 1.72

Compd. No.	$\begin{matrix} R^1 \\ R^2 \end{matrix}$>-(CH$_2$)$_i$-	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q\!-G\!-R^6$
782	Cl—⟨⟩—CH$_2$-	2	2	1	-	H	
783	Cl—⟨⟩—CH$_2$-	2	2	1	-	H	
784	Cl—⟨⟩—CH$_2$-	2	2	1	-	H	
785	Cl—⟨⟩—CH$_2$-	2	2	1	-	H	
786	Cl—⟨⟩—CH$_2$-	2	2	1	-	H	
787	Cl—⟨⟩—CH$_2$-	2	2	1	-	H	
788	Cl—⟨⟩—CH$_2$-	2	2	1	-	H	
789	Cl—⟨⟩—CH$_2$-	2	2	1	-	H	
790	Cl—⟨⟩—CH$_2$-	2	2	1	-	H	
791	Cl—⟨⟩—CH$_2$-	2	2	1	-	H	
792	Cl—⟨⟩—CH$_2$-	2	2	1	-	H	

Table 1.73

Compd. No.	$\overset{R^1}{\underset{R^2}{>}}(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
793	Cl—⬡—CH₂–	2	2	1	-	H	cyclopropyl–NH–C(O)–phenyl(CF₃, F)
794	Cl—⬡—CH₂–	2	2	1	-	H	cyclopropyl–NH–C(O)–phenyl(CF₃, F)
795	Cl—⬡—CH₂–	2	2	1	-	H	cyclopropyl–NH–C(O)–phenyl(CF₃)
796	Cl—⬡—CH₂–	2	2	1	..	H	cyclopropyl–NH–C(O)–thienyl(SCH₃)
797	Cl—⬡—CH₂–	2	2	1	-	H	cyclopropyl–NH–C(O)–furyl(CH₃, C(CH₃)₃)
798	Cl—⬡—CH₂–	2	2	1	-	H	cyclopropyl–NH–C(O)–furyl(CH₃, phenyl)
799	Cl—⬡—CH₂–	2	2	1	-	H	cyclopropyl–NH–C(O)–furyl(CF₃, CH₃)
800	Cl—⬡—CH₂–	2	2	1	-	H	cyclopropyl–NH–C(O)–phenyl(NO₂, Cl)
801	Cl—⬡—CH₂–	2	2	1	-	H	cyclopropyl–NH–C(O)–indolyl
802	Cl—⬡—CH₂–	2	2	1	-	H	cyclopropyl–NH–C(O)–phenyl(OCH₃)
803	Cl—⬡—CH₂–	2	2	1	-	H	cyclopropyl–NH–C(O)–phenyl(OCH₂CH₃)

Table 1.7 4

Compd. No.	R^1 $R^2$$>$(CH$_2$)$_i$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$C$$R^4$$R^5$(CH$_2$)$_q$G—R^6
804	Cl—⟨benzene⟩—CH$_2$—	2	2	1	-	H	(structure: cyclopropyl, NH-C(=O)-CH$_2$-phenyl-CF$_3$)
805	Cl—⟨benzene⟩—CH$_2$—	2	2	1	-	H	(structure: cyclopropyl, NH-C(=O)-phenyl with OCH$_3$, OCH$_3$)
806	Cl—⟨benzene⟩—CH$_2$—	2	2	1	-	H	(structure: cyclopropyl, NH-C(=O)-phenyl-Br)
807	Cl—⟨benzene⟩—CH$_2$—	2	2	1	-	H	(structure: -CH-NH-C(=O)-indole, (CH$_2$)$_2$-C-NH$_2$=O)
808	Cl—⟨benzene⟩—CH$_2$—	2	2	1	-	H	(structure: -CH-NH-C(=O)-phenyl-CH$_3$, (CH$_2$)$_2$-C-NH$_2$, O)
809	Cl—⟨benzene⟩—CH$_2$—	2	2	1	-	H	(structure: -CH-NH-C(=O)-phenyl-Cl, (CH$_2$)$_2$-C-NH$_2$, O)
810	Cl—⟨benzene⟩—CH$_2$—	2	2	1	-	H	(structure: -CH-NH-C(=O)-furan(CH$_3$)-phenyl, (CH$_2$)$_2$-C-NH$_2$, O)
811	Cl—⟨benzene⟩—CH$_2$—	2	2	1	-	H	(structure: -CH-NH-C(=O)-phenyl-Cl, NO$_2$, (CH$_2$)$_2$-C-NH$_2$, O)
812	Cl—⟨benzene⟩—CH$_2$—	2	2	1	-	H	(structure: -CH-NH-C(=O)-thiophene-SCH$_3$, (CH$_2$)$_2$-C-NH$_2$, O)
813	Cl—⟨benzene⟩—CH$_2$—	2	2	1	-	H	(structure: -CH-NH-C(=O)-phenyl-CF$_3$, (CH$_2$)$_2$-C-NH$_2$, O)
814	Cl—⟨benzene⟩—CH$_2$—	2	2	1	-	H	(structure: -CH-NH-C(=O)-phenyl-OCF$_3$, (CH$_2$)$_2$-C-NH$_2$, O)

Table 1.75

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
815	Cl—⟨ ⟩—CH₂–	2	2	1	-	H	–CH–NH–CO–C₆H₃(CF₃)(F); (CH₂)₂–CO–NH₂
816	Cl—⟨ ⟩—CH₂–	2	2	1	-	H	–CH–NH–CO–C₆H₄(CF₃); (CH₂)₂–CO–NH₂
817	Cl—⟨ ⟩—CH₂–	2	2	1	-	H	–CH–NH–CO–C₆H₃(CF₃)(F); (CH₂)₂–CO–NH₂
818	Cl—⟨ ⟩—CH₂–	2	2	1	-	H	–CH–NH–CO–C₆H₄(Br); (CH₂)₂–CO–NH₂
819	Cl—⟨ ⟩—CH₂–	2	2	1	-	H	–CH–NH–CO–C₆H₃(CF₃)₂; (CH₂)₂–CO–NH₂
820	Cl—⟨ ⟩—CH₂–	2	2	1	-	H	–CH–NH–CO–C₆H₄(NO₂); (CH₂)₂–CO–NH₂
821	Cl—⟨ ⟩—CH₂–	2	2	1	-	H	–CH–NH–CO–C₆H₃(NO₂)(Cl); CH₂OCH₃
822	Cl—⟨ ⟩—CH₂–	2	2	1	-	H	–CH–NH–CO–thienyl(SCH₃); CH₂OCH₃
823	Cl—⟨ ⟩—CH₂–	2	2	1	-	H	–CH–NH–CO–indolyl; CH₂OCH₃
824	Cl—⟨ ⟩—CH₂–	2	2	1	-	H	–CH–NH–CO–furyl(CH₃)(C(CH₃)₃); CH₂OCH₃
825	Cl—⟨ ⟩—CH₂–	2	2	1	-	H	–CH–NH–CO–furyl(CH₃)(C₆H₅); CH₂OCH₃

Table 1.76

Compd. No.	R^1 / R^2 —(CH₂)ⱼ—	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{C}} (CH_2)_q - G - R^6$
826	Cl—⟨benzene⟩—CH₂—	2	2	1	-	H	—CH—NH—CO— (2-CF₃, 5-CH₃ furan); CH₂OCH₃
827	Cl—⟨benzene⟩—CH₂—	2	2	1	-	H	—CH—NH—CO— (indole-NH); CH₂OCH₃
828	Cl—⟨benzene⟩—CH₂—	2	2	1	-	H	—CH—NH—CO— (3-OCF₃ phenyl); CH₂OCH₃
829	Cl—⟨benzene⟩—CH₂—	2	2	1	-	H	—CH—NH—CO— (3-CF₃, 5-F phenyl); CH₂OCH₃
830	Cl—⟨benzene⟩—CH₂—	2	2	1	-	H	—CH—NH—CO— (3-CF₃, 4-F phenyl); CH₂OCH₃
831	Cl—⟨benzene⟩—CH₂—	2	2	1	-	H	—CH—NH—CO— (3-Br phenyl); CH₂OCH₃
832	Cl—⟨benzene⟩—CH₂—	2	2	1	-	H	—CH—NH—CO— (3-Cl phenyl); CH₂OCH₃
833	Cl—⟨benzene⟩—CH₂—	2	2	1	-	H	—CH—NH—CO— (3-NO₂ phenyl); CH₂OCH₃
834	Cl—⟨benzene⟩—CH₂—	2	2	1	-	H	—CH—NH—CO— (4-CF₃ phenyl); CH₂OCH₃
835	Cl—⟨benzene⟩—CH₂—	2	2	1	-	H	—CH—NH—CO— (phenyl); CH₂CH₃
836	Cl—⟨benzene⟩—CH₂—	2	2	1	-	H	—CH—NH—CO— (3-CH₃ phenyl); CH₂OCH₃

Table 1.77

Compd. No.	R^1 R^2—(CH₂)ᵢ—	k	m	n	chirality	R^3	$-(CH_2)_p\!\!\begin{array}{c}R^4\\|\\R^5\end{array}\!\!(CH_2)_q\!-\!G\!-\!R^6$
837	Cl—⬡—CH₂—	2	2	1	-	H	—CH—NH—C(=O)—(C₆H₄)(CF₃), CH₂OCH₃
838	Cl—⬡—CH₂—	2	2	1	-	H	—CH—NH—C(=O)—(C₆H₄)(OCH₂CH₃), CH₂OCH₃
839	Cl—⬡—CH₂—	2	2	1	-	H	—CH—NH—C(=O)—(C₆H₂)(OCH₃)(OCH₃)(OCH₃), CH₂OCH₃
840	Cl—⬡—CH₂—	2	2	1	-	H	—(CH₂)₃—C(=O)—C₆H₅
841	Cl—⬡—CH₂—	2	2	1	-	H	—(CH₂)₂—C(=O)—C₆H₅
842	Cl—⬡—CH₂—	2	2	1	-	H	—(CH₂)₂—C(=O)—(C₆H₄)—Cl
843	Cl—⬡—CH₂—	2	2	1	-	H	—(CH₂)₂—C(=O)—(C₆H₃)(CH₃)(CH₃)
844	Cl—⬡—CH₂—	2	2	1	-	H	—(CH₂)₂—C(=O)—(C₆H₄)—CH₃
845	Cl—⬡—CH₂—	2	2	1	-	H	—(CH₂)₂—C(=O)—(C₆H₄)—S(=O)₂—CH₃
846	Cl—⬡—CH₂—	2	2	1	-	H	—(CH₂)₂—C(=O)—(C₆H₄)—O—C₆H₅
847	Cl—⬡—CH₂—	2	2	1	-	H	—(CH₂)₂—C(=O)—(C₆H₃)(F)(OCH₃)

Table 1.7 8

Compd. No.	$\begin{matrix}R^1\\R^2\end{matrix}CH-$(CH$_2$)$_j-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p\overset{R^4}{\underset{R^5}{C}}$(CH$_2$)$_qG-$R^6
848	Cl—⟨⟩—CH$_2-$	2	2	1	-	H	$-$(CH$_2$)$_2-$C(O)—⟨⟩(CH$_3$)(H$_3$C)—CH$_3$
849	Cl—⟨⟩—CH$_2-$	2	2	1	-	H	$-$(CH$_2$)$_2-$C(O)—⟨⟩(OCH$_3$)(H$_3$CO)—
850	Cl—⟨⟩—CH$_2-$	2	2	1	-	H	$-$CH$_2-$S(O)$_2$—⟨⟩—CH$_3$
851	Cl—⟨⟩—CH$_2-$	2	2	1	-	H	$-$CH$_2-$N(H)$-$C(O)$-$N(H)—⟨⟩—CF$_3$
852	Cl—⟨⟩—CH$_2-$	2	2	1	-	H	$-$CH$_2-$N(H)$-$C(O)$-$N(H)—⟨⟩—CF$_3$
853	Cl—⟨⟩—CH$_2-$	2	2	1	-	H	$-$CH$_2-$N(H)$-$C(O)$-$N(H)—⟨⟩
854	Cl—⟨⟩—CH$_2-$	2	2	1	-	H	$-$CH$_2-$N(H)$-$C(O)$-$N(H)—⟨⟩—CH$_3$
855	Cl—⟨⟩—CH$_2-$	2	2	1	-	H	$-$CH$_2-$N(H)$-$C(O)$-$N(H)—⟨⟩—CH$_3$
856	Cl—⟨⟩—CH$_2-$	2	2	1	-	H	$-$CH$_2-$N(H)$-$C(O)$-$N(H)—⟨⟩—C(O)CH$_3$
857	Cl—⟨⟩—CH$_2-$	2	2	1	-	H	$-$CH$_2-$N(H)$-$C(O)$-$N(H)—⟨⟩—OCH$_3$
858	Cl—⟨⟩—CH$_2-$	2	2	1	-	H	$-$CH$_2-$N(H)$-$C(O)$-$N(H)—⟨⟩—OCH$_3$

Table 1.79

Compd. No.	R^1, R^2>(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$R^4$$R^5$(CH$_2$)$_q$G—$R^6$
859	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(=O)-NH-C$_6$H$_4$(3-Cl)
860	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(=O)-NH-C$_6$H$_4$(3-CN)
861	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(=S)-NH-C$_6$H$_5$
862	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(=S)-NH-C$_6$H$_4$(4-CH$_3$)
863	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(=S)-NH-C$_6$H$_4$(3-OCH$_3$)
864	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(=S)-NH-C$_6$H$_4$(4-OCH$_3$)
865	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-SO$_2$-C$_6$H$_4$(4-CH$_3$)
866	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-SO$_2$-C$_6$H$_4$(3-CF$_3$)
867	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-SO$_2$-C$_6$H$_3$(3-CF$_3$,5-CF$_3$)
868	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-SO$_2$-C$_6$H$_4$(4-CH$_2$CH$_3$)
869	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-SO$_2$-C$_6$H$_4$(4-CH(CH$_3$)$_2$)

Table 1.80

Compd. No.	$\begin{smallmatrix}R^1\\R^2\end{smallmatrix}>\!\!(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
870	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	-	H	–CH₂–NH–SO₂–⟨C₆H₄⟩–CH₃
871	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	-	H	–CH₂–NH–SO₂–⟨C₆H₄⟩–(CH₂)₃CH₃
872	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	-	H	–CH₂–NH–SO₂–⟨C₆H₅⟩
873	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–O–CH₂–⟨C₆H₅⟩
874	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	-	H	–CH(CH₃)–O–C(O)–NH–⟨C₆H₄⟩–Cl
875	⟨C₆H₅⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–⟨C₆H₄⟩–CF₃
876	Br–⟨C₆H₄⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–⟨C₆H₄⟩–CF₃
877	NC–⟨C₆H₄⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–⟨C₆H₄⟩–CF₃
878	O₂N–⟨C₆H₄⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–⟨C₆H₄⟩–CF₃
879	(methylenedioxyphenyl)–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–⟨C₆H₄⟩–CF₃
880	(methylenedioxyphenyl)–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–⟨C₆H₄⟩–CF₃

Table 1.81

Compd. No.	R¹R²-(CH₂)ⱼ-	k	m	n	chirality	R³	-(CH₂)ₚ-CR⁴R⁵-(CH₂)_q-G-R⁶
881	2-Br-C₆H₄-CH₂-	2	2	1	-	H	-CH₂-NH-CO-C₆H₄-(3-CF₃)
882	(phenoxy)C₆H₄-CH₂-	2	2	1	-	H	-CH₂-NH-CO-C₆H₄-(3-CF₃)
883	2-Cl-C₆H₄-CH₂-	2	2	1	-	H	-CH₂-NH-CO-C₆H₄-(3-CF₃)
884	H₃C-CO-NH-C₆H₄-CH₂-	2	2	1	-	H	-CH₂-NH-CO-C₆H₄-(3-CF₃)
885	H₃C-SO₂-C₆H₄-CH₂-	2	2	1	-	H	-CH₂-NH-CO-C₆H₄-(3-CF₃)
886	F-C₆H₄-CH₂-	2	2	1	-	H	-CH₂-NH-CO-C₆H₄-(3-CF₃)
887	F₃C-C₆H₄-CH₂-	2	2	1	-	H	-CH₂-NH-CO-C₆H₄-(3-CF₃)
888	HO-C₆H₄-CH₂-	2	2	1	-	H	-CH₂-NH-CO-C₆H₄-(3-CF₃)
889	(phenyl)C₆H₄-CH₂-	2	2	1	-	H	-CH₂-NH-CO-C₆H₄-(3-CF₃)
890	naphthyl-CH₂-	2	2	1	-	H	-CH₂-NH-CO-C₆H₄-(3-CF₃)
891	2,4-Cl₂-C₆H₃-CH₂-	2	2	1	-	H	-CH₂-NH-CO-C₆H₄-(3-CF₃)

Table 1.82

Compd. No.	R^1 R^2 >C<$(CH_2)_j$—	k	m	n	chirality	R^3	—$(CH_2)_p$—C<$R^4$$R^5$—$(CH_2)_q$—G—$R^6$
892	H₃CO—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⬡—CF₃
893	O₂N—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⬡—CF₃
894	HO, CH₃, H₃C, CH₃ —⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⬡—CF₃
895	⬡—(CH₂)₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⬡—CF₃
896	⬡(CN)—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⬡—CF₃
897	HO₂C—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⬡—CF₃
898	HO₂C—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⬡—CF₃
899	⬡(OCH₃)—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⬡—CF₃
900	H₃CO₂C—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⬡—CF₃
901	⬡,⬡—CH—	2	2	1	-	H	—CH₂—NH—C(=O)—⬡—CF₃
902	O₂N, O₂N —⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⬡—CF₃

Table 1.83

Compd. No.	R^1 / R^2 (CH$_2$)$_j$–	k	m	n	chirality	R^3	$-(CH_2)_p$ CR^4R^5 $(CH_2)_q$-G-R^6
903	H₃CO- (2,4-dimethoxybenzyl) -CH₂- , OCH₃	2	2	1	-	H	-CH₂-NH-C(=O)-C₆H₄-CF₃
904	HO- (3-hydroxybenzyl) -CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-C₆H₄-CF₃
905	O₂N- thienyl -CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-C₆H₄-CF₃
906	phenyl-(CH₂)₃-	2	2	1	-	H	-CH₂-NH-C(=O)-C₆H₄-CF₃
907	(phenyl)₂CH(CH₂)₂-	2	2	1	-	H	-CH₂-NH-C(=O)-C₆H₄-CF₃
908	phenyl-NH-C(=O)- (benzyl) -CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-C₆H₄-CF₃
909	phenyl-NH-C(=O)- (benzyl) -CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-C₆H₄-CF₃
910	Cl, Cl- (3,4-dichlorobenzyl) -CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-C₆H₄-CF₃
911	Cl- (3-chlorobenzyl) -CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-C₆H₄-CF₃
912	Br, Br- (3,5-dibromobenzyl) -CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-C₆H₄-CF₃
913	H₃CO- (4-methoxybenzyl) -CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-C₆H₄-CF₃

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Table 1.84

Compd. No.	R^1, R^2 $(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
914		2	2	1	-	H	
915		2	2	1	-	H	
916		2	2	1	-	H	
917		2	2	1	-	H	
918		2	2	1	-	H	
919		2	2	1	-	H	
920		2	2	1	-	H	
921		2	2	1	-	H	
922		2	2	1	-	H	
923		2	2	1	-	H	
924		2	2	1	-	H	

Table 1.85

Compd. No.	R^1 R^2 $-(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p$ R^4 R^5 $-(CH_2)_q$ $G-R^6$
925	$H_2N-\overset{O}{\overset{\|}{C}}$—〇—$CH_2-$	2	2	1	-	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—〇—$CF_3$
926	〇—CH_2—〇—CH_2-	2	2	1	-	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—〇—$CF_3$
927	F_3CO—〇—CH_2-	2	2	1	;	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—〇—$CF_3$
928	F_3CO—〇—CH_2-	2	2	1	-	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—〇—$CF_3$
929	H_3CS—〇—CH_2-	2	2	1	-	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—〇—$CF_3$
930	CH_3〇—CH_2-	2	2	1	-	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—〇—$CF_3$
931	NC—〇—CH_2-	2	2	1	-	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—〇—$CF_3$
932	Cl—〇(NO_2)—CH_2-	2	2	1	-	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—〇—$CF_3$
933	〇—$\underset{CH}{\overset{CH_3}{}}-$	2	2	1	-	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—〇—$CF_3$
934	〇(N)—CH_2-	2	2	1	-	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—〇—$CF_3$
935	O_2N—〇—CH_2-	2	2	1	-	H	$-CH_2-\underset{H}{N}-\overset{O}{\overset{\|}{C}}$—〇—$CF_3$

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Table 1.86

Compd. No.	R^1 R^2 $-(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
936	2-NO₂-benzyl (—CH₂—)	2	2	1	-	H	—CH₂—NH—CO—(3-CF₃-phenyl)
937	(H₃C)₂N-4-benzyl (—CH₂—)	2	2	1	-	H	—CH₂—NH—CO—(3-CF₃-phenyl)
938	4-Cl-2-F-benzyl (—CH₂—)	2	2	1	-	H	—CH₂—NH—CO—(3-CF₃-phenyl)
939	4-Cl-2-NO₂-benzyl (—CH₂—)	2	2	1	-	H	—CH₂—NH—CO—(3-CF₃-phenyl)
940	2-OH-benzyl (—CH₂—)	2	2	1	-	H	—CH₂—NH—CO—(3-CF₃-phenyl)
941	4-Cl-2-CF₃-benzyl (—CH₂—)	2	2	1	-	H	—CH₂—NH—CO—(3-CF₃-phenyl)
942	4-Cl-benzyl (—CH₂—)	2	2	1	-	H	—CH[CH(CH₃)₂]—NH—CO—(3,5-(CF₃)₂-phenyl)
943	4-Cl-benzyl (—CH₂—)	1	4	0	-	H	—CH₂—NH—CO—(3-CF₃-phenyl)
944	4-Cl-benzyl (—CH₂—)	1	4	0	-	H	—CH₂—NH—CO—(3-CH₃-phenyl)
945	4-Cl-benzyl (—CH₂—)	1	4	0	-	H	—CH₂—NH—CO—(3-NO₂-phenyl)
946	4-Cl-benzyl (—CH₂—)	1	4	0	-	H	—(CH₂)₂—NH—CO—(4-NO₂-phenyl)

Table 1.87

Compd. No.	R^1, R^2 $>$(CH$_2$)$_i$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$\overset{R^4}{\underset{R^5}{C}}$(CH$_2$)$_q$G—R^6
947	Cl—⬡—CH$_2$—	1	4	0	-	H	—(CH$_2$)$_2$—NH—CO—⬡(3,4-(OCH$_3$)$_2$)
948	Cl—⬡—CH$_2$—	1	4	0	-	H	—(CH$_2$)$_3$—CO—NH—⬡(3-Cl)
949	Cl—⬡—CH$_2$—	1	4	0	-	H	—(CH$_2$)$_3$—CO—NH—CH$_2$—⬡
950	Cl—⬡—CH$_2$—	0	4	1	-	H	—CH$_2$—NH—CO—⬡
951	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—⬡—O—CO—CH$_3$
952	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—⬡—N(CH$_3$)$_2$
953	Cl—⬡—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—NH—CO—⬡—N(CH$_3$)$_2$
954	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—⬡(2-NH—CH$_3$)
955	Cl—⬡—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—NH—CO—⬡(2-NH—CH$_3$)
956	Cl—⬡—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—NH—CO—⬡(2-OH)
957	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—⬡(3-OH)

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Table 1.88

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}$>(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$\begin{array}{c}R^4\\ \\R^5\end{array}$(CH$_2$)$_q$G—R^6
958	Cl—⬡—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—NH—C(O)—⬡—OH
959	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⬡—NH—C(O)—CH$_3$
960	Cl—⬡—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—NH—C(O)—⬡—NH—C(O)—CH$_3$
961	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⬡—NH—CH$_3$
962	Cl—⬡—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—NH—C(O)—⬡—NH—CH$_3$
963	Cl—⬡—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—NH—C(O)—⬡—OH
964	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⬡—CO$_2$CH$_3$
965	Cl—⬡—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—NH—C(O)—⬡—CO$_2$CH$_3$
966	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⬡—C(O)—CH$_3$
967	Cl—⬡—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$—NH—C(O)—⬡—C(O)—CH$_3$
968	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⬡(indole)—NH

Table 1.89

Compd. No.	$\begin{matrix}R^1\\R^2\end{matrix}\!\!>\!\!C\!\!-\!(CH_2)_j\!-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}\!\!(CH_2)_q\!G\!-\!R^6$
969	Cl—⟨⟩—CH₂–	1	2	0	R	H	
970	Cl—⟨⟩—CH₂–	1	2	0	R	H	
971	Cl—⟨⟩—CH₂–	1	2	0	R	H	
972	Cl—⟨⟩—CH₂–	1	2	0	R	H	
973	Cl—⟨⟩—CH₂–	1	2	0	R	H	
974	Cl—⟨⟩—CH₂–	1	2	0	R	H	
975	Cl—⟨⟩—CH₂–	1	2	0	R	H	
976	Cl—⟨⟩—CH₂–	1	2	0	R	H	
977	Cl—⟨⟩—CH₂–	1	2	0	R	H	
978	Cl—⟨⟩—CH₂–	1	2	0	R	H	
979	Cl—⟨⟩—CH₂–	1	2	0	R	H	

Table 1.90

Compd. No.	R^1 R^2 >(CH$_2$)$_l$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$ R^4 / R^5 (CH$_2$)$_q$–G–R^6
980	Cl—⟨ ⟩—CH$_2$–	1	2	0	R	H	–CH$_2$–N(H)–C(O)– phenyl(HN–C(O)–CH$_3$)
981	Cl—⟨ ⟩—CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–N(H)–C(O)– phenyl(HN–C(O)–CH$_3$)
982	Cl—⟨ ⟩—CH$_2$–	1	2	0	R	H	–CH$_2$–N(H)–C(O)– phenyl((H$_3$C)$_2$N)
983	Cl—⟨ ⟩—CH$_2$–	1	2	0	R	H	–(CH$_2$)$_2$–N(H)–C(O)– phenyl((H$_3$C)$_2$N)
984	Cl—⟨ ⟩—CH$_2$–	1	2	0	R	H	–CH$_2$–N(H)–C(O)– phenyl–CH$_2$OH
985	Cl—⟨ ⟩—CH$_2$–	1	2	0	R	H	–(CH$_2$)–N(H)–C(O)– phenyl–CH$_2$OH
986	Cl—⟨ ⟩—CH< (phenyl)	1	2	0	R	H	–CH$_2$–N(H)–C(O)– phenyl(CF$_3$)
987	(phenyl)CH–CH$_2$– (phenyl)	2	2	1	-	H	–CH$_2$–N(H)–C(O)– phenyl(CF$_3$)
988	Cl—⟨ ⟩—CH$_2$–	1	4	0	-	H	–CH$_2$–N(H)–C(O)– phenyl(CF$_3$)
989	Cl—⟨ ⟩—CH$_2$–	1	4	0	-	H	–CH$_2$–N(H)–C(O)–O–CH$_2$–phenyl
990	Cl—⟨ ⟩—CH$_2$–	1	4	0	-	H	–CH$_2$–N(H)–C(O)–phenyl
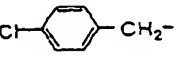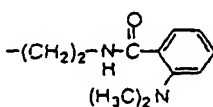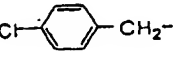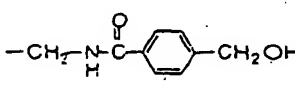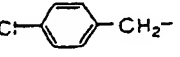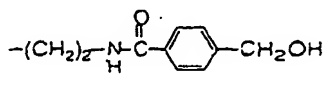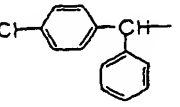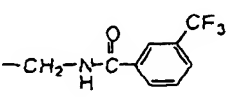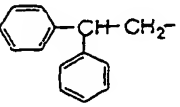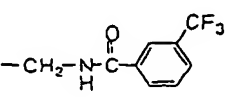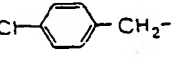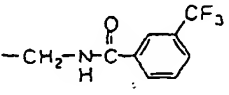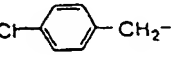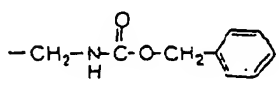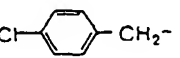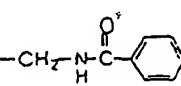
Table 1.91

Compd. No.	$R^1R^2{>}C{<}(CH_2)_l{-}$	k	m	n	chirality	R^3	$-(CH_2)_p{>}CR^4R^5{<}(CH_2)_q{-}G{-}R^6$
991	Cl—⟨C₆H₄⟩—CH₂–	1	4	0	-	H	–(CH₂)₂–CO–C₆H₅
992	Cl—⟨C₆H₄⟩—CH₂–	1	4	0	-	H	–(CH₂)₂–CO–C₆H₃(OCH₃)(OCH₃)
993	Cl—⟨C₆H₄⟩—CH₂–	1	4	0	-	H	–(CH₂)₂–CO–C₆H₃(CH₃)(CH₃)
994	Cl—⟨C₆H₄⟩—CH₂–	1	4	0	-	H	–(CH₂)₃–CO–C₆H₅
995	Cl—⟨C₆H₄⟩—CH₂–	1	4	0	-	H	–(CH₂)₃–CO–C₆H₄–OCH₃
996	Cl—⟨C₆H₄⟩—CH₂–	1	4	0	-	H	–(CH₂)₃–CO–NH–(pyridyl-CH₃)
997	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	–CH(CH₂CH(CH₃)₂)–NH–CO–C₆H₅
998	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	–CH(CH₂CH(CH₃)₂)–NH–CO–C₆H₄–CF₃
999	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	–CH(CH₂CH(CH₃)₂)–NH–CO–C₆H₄–CH₃
1000	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	–CH(CH₂CH(CH₃)₂)–NH–CO–C₆H₄–OCH₃
1001	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	–CH(CH₂CH(CH₃)₂)–NH–CO–C₆H₄–OCH₂CH₃

Table 1.92

Compd. No.	R^1 R^2 $\rangle$(CH$_2$)$_j$—	k	m	n	chirality	R^3	$-(CH_2)_p\!\!-\!\!\underset{R^5}{\overset{R^4}{C}}\!\!-(CH_2)_q\!\!-G\!\!-R^6$
1002	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	—CH–N–C—benzene-OCF$_3$ (3-OCF$_3$); CH$_2$CH(CH$_3$)$_2$
1003	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	—CH–N–C—benzene-CH$_2$CH$_3$; CH$_2$CH(CH$_3$)$_2$
1004	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	—CH–N–C—benzene-(OCH$_3$)$_2$; CH$_2$CH(CH$_3$)$_2$
1005	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	—CH–N–C—benzene-(OCH$_3$)$_3$; CH$_2$CH(CH$_3$)$_2$
1006	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	—CH–N–C—benzene-(OCH$_2$CH$_3$)$_2$; CH$_2$CH(CH$_3$)$_2$
1007	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	—CH–N–C—benzene-(OCH$_2$CH$_3$)$_3$; CH$_2$CH(CH$_3$)$_2$
1008	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	—CH–N–C—benzene; (CH$_2$)$_2$–C–NH$_2$
1009	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	—CH–N–C—benzene-OCH$_3$; (CH$_2$)$_2$–C–NH$_2$
1010	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	—CH–N–C—benzene-OCH$_2$CH$_3$; (CH$_2$)$_2$–C–NH$_2$
1011	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	—CH–N–C—benzene-CH$_2$CH$_3$; (CH$_2$)$_2$–C–NH$_2$
1012	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	—CH–N–C—benzene-(OCH$_3$)$_2$; (CH$_2$)$_2$–C–NH$_2$

Table 1.93

Compd. No.	$\begin{smallmatrix}R^1\\R^2\end{smallmatrix}C-$(CH$_2$)$_j$$-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$\begin{smallmatrix}R^4\\C\\R^5\end{smallmatrix}$(CH$_2$)$_q$$-G-$R^6
1013	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH—NH—C(=O)—⬡(3,4,5-OCH$_3$); (CH$_2$)$_2$—C(=O)—NH$_2$
1014	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH—NH—C(=O)—⬡(3-OCH$_2$CH$_3$, 4-OCH$_2$CH$_3$); (CH$_2$)$_2$—C(=O)—NH$_2$
1015	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH—NH—C(=O)—⬡(3,4,5-OCH$_2$CH$_3$); (CH$_2$)$_2$—C(=O)—NH$_2$
1016	Cl—⬡—CH$_2$—	2	2	0	-	H	—CH$_2$—NH—C(=O)—⬡(3-CF$_3$)
1017	Cl—⬡—CH$_2$—	2	2	0	-	H	—CH$_2$—NH—C(=O)—⬡
1018	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—⬡(3-OCH$_2$CH$_3$, 4-OCH$_2$CH$_3$)
1019	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—⬡(3,4,5-OCH$_2$CH$_3$)
1020	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—⬡(3-OCH$_2$CH$_3$, 4-OCH$_3$)
1021	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—⬡(5-OCH$_2$CF$_3$, 2-OCH$_2$CF$_3$)
1022	Cl—⬡—CH$_2$—	2	2	1	-	H	(S) —CH(CH$_3$)—NH—C(=O)—⬡(3-OCH$_3$, 5-OCH$_3$)
1023	Cl—⬡—CH$_2$—	2	2	1	-	H	(S) —CH(CH$_3$)—NH—C(=O)—⬡(3-CH$_2$CH$_3$)

Table 1.94

Compd. No.	R^1 R^2 $>$ $(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p$ $\overset{R^4}{\underset{R^5}{\mid}}$ $(CH_2)_q$ $G-R^6$
1024	Cl—⬡—CH₂⁻	2	2	1	-	H	(S) -CH-N-C-⬡ with OCH₃, OCH₃, OCH₃; CH₃
1025	Cl—⬡—CH₂⁻	2	2	1	-	H	(S) -CH-N-C-⬡ with OCH₂CH₃, OCH₂CH₃; CH₃
1026	Cl—⬡—CH₂⁻	2	2	1	-	H	(S) -CH-N-C-⬡ with OCH₂CH₃, OCH₂CH₃, OCH₂CH₃; CH₃
1027	Cl—⬡—CH₂⁻	2	2	1	-	H	(S) -CH-N-C-⬡ with OCH₂CH₃, OCH₃; CH₃
1028	Cl—⬡—CH₂⁻	2	2	1	-	H	(S) -CH-N-C-⬡ with OCH₂CF₃, OCH₂CF₃; CH₃
1029	Cl—⬡—CH₂⁻	2	2	1	-	H	(S) -CH-N-C-⬡ with OCH₂CH₃; CH₃
1030	Cl—⬡—CH₂⁻	2	2	1	-	H	(S) -CH-N-C-⬡ with OCF₃; CH₃
1031	Cl—⬡—CH₂⁻	2	2	1	-	H	(S) -CH-N-C-⬡ with OCH₃; CH₃
1032	Cl—⬡—CH₂⁻	2	2	1	-	H	(R) -CH-N-C-⬡ with OCH₃, OCH₃; CH₃
1033	Cl—⬡—CH₂⁻	2	2	1	-	H	(R) -CH-N-C-⬡ with CH₂CH₃; CH₃
1034	Cl—⬡—CH₂⁻	2	2	1	-	H	(R) -CH-N-C-⬡ with OCH₃, OCH₃, OCH₃; CH₃

Table 1.9 5

Compd. No.	R^1 R^2 >(CH_2)_i-	k	m	n	chirality	R^3	-(CH_2)_p-R^4 / R^5 -(CH_2)_q-G-R^6
1035	Cl—⬡—CH_2-	2	2	1	-	H	(R) -CH-N-C-⬡(OCH_2CH_3)(OCH_2CH_3) / CH_3 H O
1036	Cl—⬡—CH_2-	2	2	1	-	H	(R) -CH-N-C-⬡(OCH_2CH_3)(OCH_2CH_3)(OCH_2CH_3) / CH_3 H O
1037	Cl—⬡—CH_2-	2	2	1	-	H	(R) -CH-N-C-⬡(OCH_2CH_3)(OCH_3) / CH_3 H O
1038	Cl—⬡—CH_2-	2	2	1	-	H	(R) -CH-N-C-⬡(OCH_2CF_3)(OCH_2CF_3) / CH_3 H O
1039	Cl—⬡—CH_2-	2	2	1	-	H	(R) -CH-N-C-⬡(OCH_2CH_3) / CH_3 H O
1040	Cl—⬡—CH_2-	2	2	1	-	H	(R) -CH-N-C-⬡(OCF_3) / CH_3 H O
1041	Cl—⬡—CH_2-	2	2	1	-	H	(R) -CH-N-C-⬡(OCH_3) / CH_3 H O
1042	Cl—⬡—CH_2-	2	2	1	-	H	-CH_2-N-C-⬡(Br)(H_2N) / H O
1043	Cl—⬡—CH_2-	2	2	1	-	H	-CH_2-N-C-⬡(Cl)(H_2N) / H O
1044	Cl—⬡—CH_2-	2	2	1	-	H	-CH_2-N-C-⬡(CH_3)(H_2N) / H O
1045	Cl—⬡—CH_2-	2	2	1	-	H	-CH_2-N-C-⬡(OCH_3)(H_2N) / H O

Table 1.96

Compd. No.	R^1 R^2 >CH−$(CH_2)_i$−	k	m	n	chirality	R^3	−$(CH_2)_o$−C(R^4)(R^5)−$(CH_2)_q$−G−R^6
1046	Cl−⟨C6H4⟩−CH2−	2	2	1	-	H	−CH2−NH−C(=O)− benzene, 3,5-di-Cl, 2-H2N
1047	Cl−⟨C6H4⟩−CH2−	2	2	1	-	H	−CH2−NH−C(=O)− benzene, 5-CH3, 2-H2N, 3-CH3
1048	Cl−⟨C6H4⟩−CH2−	2	2	1	-	H	−CH2−NH−C(=O)− benzene, 3-OCH3, 4-OCH3, 5-OCH3, 2-H2N
1049	Cl−⟨C6H4⟩−CH2−	2	2	1	-	H	−CH2−NH−C(=O)− benzene, 5-CH3, 2-H2N, 4-Br
1050	Cl−⟨C6H4⟩−CH2−	2	2	1	-	H	(S) −CH(CH2CH(CH3)2)−NH−C(=O)− benzene, 3-OCH3, 5-OCH3
1051	Cl−⟨C6H4⟩−CH2−	2	2	1	-	H	(S) −CH(CH2CH(CH3)2)−NH−C(=O)− benzene, 3-CH2CH3
1052	Cl−⟨C6H4⟩−CH2−	2	2	1	-	H	(S) −CH(CH2CH(CH3)2)−NH−C(=O)− benzene, 3-OCH3, 4-OCH3, 5-OCH3
1053	Cl−⟨C6H4⟩−CH2−	2	2	1	-	H	(S) −CH(CH2CH(CH3)2)−NH−C(=O)− benzene, 3-OCH2CH3, 4-OCH2CH3
1054	Cl−⟨C6H4⟩−CH2−	2	2	1	-	H	(S) −CH(CH2CH(CH3)2)−NH−C(=O)− benzene, 3-OCH2CH3, 4-OCH2CH3, 5-OCH2CH3
1055	Cl−⟨C6H4⟩−CH2−	2	2	1	-	H	(S) −CH(CH2CH(CH3)2)−NH−C(=O)− benzene, 3-OCH2CH3, 4-OCH3
1056	Cl−⟨C6H4⟩−CH2−	2	2	1	-	H	(S) −CH(CH2CH(CH3)2)−NH−C(=O)− benzene, 2-OCH2CF3, 4-OCH2CF3

Table 1.97

Compd. No.	$R^1R^2{>}(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-C(R^4)(R^5)-(CH_2)_q-G-R^6$
1057	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	(R) –CH(CH₂CH(CH₃)₂)–NH–C(O)–C₆H₄(3-OCH₂CH₃)
1058	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	(S) –CH(CH₂CH(CH₃)₂)–NH–C(O)–C₆H₄(3-OCH₃)
1059	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	(S) –CH(CH₂CH(CH₃)₂)–NH–C(O)–C₆H₄(3-OCF₃)
1060	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	(R) –CH(CH₂CH(CH₃)₂)–NH–C(O)–C₆H₃(3-OCH₂CH₃,4-OCH₃)
1061	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	(R) –CH(CH₂CH(CH₃)₂)–NH–C(O)–C₆H₃(2-OCH₂CF₃,OCH₂CF₃)
1062	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	(S) –CH(CH₂CH(CH₃)₂)–NH–C(O)–C₆H₄(3-OCH₂CH₃)
1063	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	(R) –CH(CH₂CH(CH₃)₂)–NH–C(O)–C₆H₄(3-OCH₃)
1064	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	(R) –CH(CH₂CH(CH₃)₂)–NH–C(O)–C₆H₄(3-OCF₃)
1065	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	(R) –CH(CH₂CH(CH₃)₂)–NH–C(O)–C₆H₃(3-OCH₃,5-OCH₃)
1066	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	(R) –CH(CH₂CH(CH₃)₂)–NH–C(O)–C₆H₄(3-CH₂CH₃)
1067	Cl—⟨C₆H₄⟩—CH₂–	2	2	1	-	H	(R) –CH(CH₂CH(CH₃)₂)–NH–C(O)–C₆H₂(3-OCH₃,4-OCH₃,5-OCH₃)

Table 1.98

Compd. No.	R^1 $\underset{R^2}{>}$(CH$_2$)$_i$—	k	m	n	chirality	R^3	$-(CH_2)_p\underset{R^5}{\overset{R^4}{C}}(CH_2)_q\text{G}-R^6$
1068	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	(R) -CH-NH-C(O)-C₆H₃(OCH₂CH₃)(OCH₂CH₃); CH₂CH(CH₃)₂
1069	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	(R) -CH-NH-C(O)-C₆H₂(OCH₂CH₃)(OCH₂CH₃)(OCH₂CH₃); CH₂CH(CH₃)₂
1070	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	-CH-NH-C(O)-thienyl-SCH₃; CH₂OCH₂C₆H₅
1071	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	-CH-NH-C(O)-indolyl; CH₂OCH₂C₆H₅
1072	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	-CH-NH-C(O)-furyl(CH₃)(C(CH₃)₃); CH₂OCH₂C₆H₅
1073	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	-CH-NH-C(O)-furyl(CH₃)(C₆H₅); CH₂OCH₂C₆H₅
1074	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	-CH-NH-C(O)-furyl(CF₃)(CH₃); CH₂OCH₂C₆H₅
1075	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	-CH-NH-C(O)-C₆H₄-OCF₃; CH₂OCH₂C₆H₅
1076	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	-CH-NH-C(O)-C₆H₄-NO₂; CH₂OCH₂C₆H₅
1077	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	-CH-NH-C(O)-C₆H₄-CF₃; CH₂OCH₂C₆H₅
1078	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	-CH-NH-C(O)-C₆H₅; CH₂OCH₂C₆H₅

Table 1.9 9

Compd. No.	R^1, R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p$ R^4 R^5 $(CH_2)_q$ $G-R^6$
1079	Cl—⬡—CH₂–	2	2	1	-	H	[structure]
1080	Cl—⬡—CH₂–	2	2	1	-	H	[structure]
1081	Cl—⬡—CH₂–	2	2	1	-	H	[structure]
1082	Cl—⬡—CH₂–	2	2	1	-	H	[structure]
1083	Cl—⬡—CH₂–	2	2	1	-	H	[structure]
1084	Cl—⬡—CH₂–	1	2	0	R	H	[structure]
1085	Cl—⬡—CH₂–	1	2	0	R	H	[structure]
1086	Cl—⬡—CH₂–	1	2	0	R	H	[structure]
1087	Cl—⬡—CH₂–	1	2	0	R	H	[structure]
1088	Cl—⬡—CH₂–	1	2	0	R	H	[structure]
1089	Cl—⬡—CH₂–	1	2	0	R	H	[structure]

Table 1.100

Compd. No.	R^1R^2 >(CH$_2$)$_j$—	k	m	n	chirality	R^3	$-(CH_2)_p$—CR^4R^5—$(CH_2)_q$—G—R^6
1090	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_4$(OCH$_2$CH$_3$)
1091	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$CH$_2$—NH—CO—C$_6$H$_3$(Cl)(NH$_2$)
1092	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$CH$_2$—NH—CO—C$_6$H$_3$(NO$_2$)(NH$_2$)
1093	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$CH$_2$—NH—CO—C$_6$H$_4$(NH$_2$)
1094	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$CH$_2$—NH—CO—(indol-2-yl)
1095	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$CH$_2$—NH—CO—(furan-3-yl)
1096	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$CH$_2$—NH—CO—(5-fluoroindol-2-yl)
1097	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$CH$_2$—NH—CO—C$_6$H$_4$(OCH$_2$CH$_3$)
1098	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_3$(Br)(CH$_3$)
1099	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_3$(Br)(F)
1100	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_3$(Cl)(F)

Table 1.101

Compd. No.	R^1 R^2 C(CH$_2$)$_j$–	k	m	n	chirality	R^3	$-(CH_2)_p$ C R^4 R^5 $(CH_2)_q$–G–R^6
1101	Cl—⟨⟩—CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–⟨I, CH$_3$⟩
1102	Cl—⟨⟩—CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–⟨CH$_3$, NO$_2$⟩
1103	H$_3$C—⟨⟩—CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–⟨Br, CH$_3$⟩
1104	H$_3$C—⟨⟩—CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–⟨Br, F⟩
1105	H$_3$C—⟨⟩—CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–⟨Cl, F⟩
1106	H$_3$C—⟨⟩—CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–⟨I, CH$_3$⟩
1107	H$_3$C—⟨⟩—CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–⟨CH$_3$, NO$_2$⟩
1108	(3,5-(CH$_3$)$_2$-isoxazol-4-yl)—CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–⟨Br, CH$_3$⟩
1109	(3,5-(CH$_3$)$_2$-isoxazol-4-yl)—CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–⟨Br, F⟩
1110	(3,5-(CH$_3$)$_2$-isoxazol-4-yl)—CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–⟨Cl, F⟩
1111	(3,5-(CH$_3$)$_2$-isoxazol-4-yl)—CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–⟨I, CH$_3$⟩

Table 1.102

Compd. No.	R^1 R^2 $>$ $(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{\mid}} (CH_2)_q G-R^6$
1112	3,4,5-trimethylisoxazol-4-yl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(=O)-$ (3-CH_3, 4-NO_2-phenyl)
1113	Cl-phenyl-CH_2-	2	2	1	·	H	$-CH_2-NH-C(=O)-$ (3-Br, 4-CH_3-phenyl)
1114	Cl-phenyl-CH_2-	2	2	1	·	H	$-CH_2-NH-C(=O)-$ (3-Br, 4-F-phenyl)
1115	Cl-phenyl-CH_2-	2	2	1	·	H	$-CH_2-NH-C(=O)-$ (3-Cl, 4-F-phenyl)
1116	Cl-phenyl-CH_2-	2	2	1	·	H	$-CH_2-NH-C(=O)-$ (3-I, 4-CH_3-phenyl)
1117	Cl-phenyl-CH_2-	2	2	1	·	H	$-CH_2-NH-C(=O)-$ (3-CH_3, 4-NO_2-phenyl)
1118	phenyl-NH-C(=O)-phenyl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(=O)-$ (3-CF_3-phenyl)
1119	H_3CS-phenyl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(=O)-$ (3-CF_3-phenyl)
1120	(H_3CO)$_2$-phenyl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(=O)-$ (3-CF_3-phenyl)
1121	H_3C, O_2N-phenyl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(=O)-$ (3-CF_3-phenyl)
1122	H_3C, (H_3C)$_2$, $CH(CH_3)_2$-phenyl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(=O)-$ (3-CF_3-phenyl)

Table 1.103

Compd. No.	R^1 R^2 $>$(CH$_2$)$_i$—	k	m	n	chirality	R^3	$-(CH_2)_p$ $\overset{R^4}{\underset{R^5}{\mid}}$ $(CH_2)_q$-G-R^6
1123	(1-bromonaphthalen-2-yl)-CH$_2$—	1	2	0	R	H	$-CH_2-NH-C(=O)-$(3-CF$_3$-phenyl)
1124	(5-nitrofuran-2-yl)-CH$_2$—	1	2	0	R	H	$-CH_2-NH-C(=O)-$(3-CF$_3$-phenyl)
1125	Cl—(phenyl)—CH$_2$—	2	2	1	-	H	$-CH-NH-C(=O)-$(3-NO$_2$-4-Cl-phenyl), CH$_2$OCH$_2$-phenyl
1126	Cl—(phenyl)—CH$_2$—	2	2	1	-	H	$-CH-NH-C(=O)-$(3-NO$_2$-4-Br-phenyl), CH$_2$OCH$_2$-phenyl
1127	Cl—(phenyl)—CH$_2$—	2	2	1	-	H	$-CH-NH-C(=O)-$(indol-2-yl), CH$_2$OCH$_2$-phenyl
1128	Cl—(phenyl)—CH$_2$—	2	2	1	-	H	$-CH-NH-C(=O)-$(3-CF$_3$-4-F-phenyl), CH$_2$OCH$_2$-phenyl
1129	Cl—(phenyl)—CH$_2$—	2	2	1	-	H	$-CH-NH-C(=O)-$(3-CF$_3$-4-F-phenyl), CH$_2$OCH$_2$-phenyl
1130	Cl—(phenyl)—CH$_2$—	2	2	1	-	H	$-CH-NH-C(=O)-$(3-Br-phenyl), CH$_2$OCH$_2$-phenyl
1131	Cl—(phenyl)—CH$_2$—	2	2	1	-	H	$-CH-NH-C(=O)-$(3-Cl-phenyl), CH$_2$OCH$_2$-phenyl
1132	Cl—(phenyl)—CH$_2$—	2	2	1	-	H	$-CH-NH-C(=O)-$(3-CF$_3$-phenyl), CH$_2$OCH$_2$-phenyl
1133	(3,4-dimethoxyphenyl)-CH$_2$—	1	2	0	R	H	$-CH_2-NH-C(=O)-$(3-CF$_3$-phenyl)

Table 1.104

Compd. No.	R^1 R^2 -(CH₂)ⱼ-	k	m	n	chirality	R^3	$-(CH_2)_p-\underset{R^5}{\overset{R^4}{C}}-(CH_2)_q-G-R^6$
1134	H₃CO, H₃CO, H₃CO (trimethoxyphenyl)–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄–CF₃
1135	methylenedioxyphenyl (NO₂)–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄–CF₃
1136	methylenedioxyphenyl (H₃CO)–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄–CF₃
1137	methylenedioxyphenyl (Br)–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄–CF₃
1138	indanyl–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄–CF₃
1139	phenyl–(CH₂)₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄–CF₃
1140	O₂N, O₂N (dinitrophenyl)–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄–CF₃
1141	naphthyl–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄–CF₃
1142	naphthyl–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄–CF₃
1143	dibenzyloxyphenyl (OCH₂Ph)₂ –CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄–CF₃
1144	H₃CO, H₃CO (dimethoxyphenyl)–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄–CF₃

Table 1.105

Compd. No.	R^1, R^2–$(CH_2)_i$–	k	m	n	chirality	R^3	$-(CH_2)_p$–R^4, R^5–$(CH_2)_q$–G–R^6
1145	3,4-dimethoxy-6-nitrobenzyl (H_3CO, H_3CO, NO_2, CH_2–)	1	2	0	R	H	$-CH_2$–NH–C(=O)–phenyl–CF_3
1146	benzyloxy-phenyl-CH_2–	1	2	0	R	H	$-CH_2$–NH–C(=O)–phenyl–CF_3
1147	H_3C–C(=O)–NH–phenyl–CH_2–	1	2	0	R	H	$-CH_2$–NH–C(=O)–phenyl–CF_3
1148	biphenyl-CH_2–	1	2	0	R	H	$-CH_2$–NH–C(=O)–phenyl–CF_3
1149	3,5-dimethylisoxazol-4-yl-CH_2–	1	2	0	R	H	$-CH_2$–NH–C(=O)–phenyl–OCH_2CH_3
1150	3,5-dimethylisoxazol-4-yl-CH_2–	1	2	0	R	H	$-CH_2$–NH–C(=O)–phenyl–CH_2CH_3
1151	3,5-dimethylisoxazol-4-yl-CH_2–	1	2	0	R	H	$-CH_2$–NH–C(=O)–CH_2–phenyl–CF_3
1152	3,5-dimethylisoxazol-4-yl-CH_2–	1	2	0	R	H	$-CH_2$–NH–C(=O)–(5-fluoroindol-2-yl)
1153	3,5-dimethylisoxazol-4-yl-CH_2–	1	2	0	R	H	$-CH_2$–NH–C(=O)–(5-chloroindol-2-yl)
1154	3,5-dimethylisoxazol-4-yl-CH_2–	1	2	0	R	H	$-CH_2$–NH–C(=O)–(5-methylindol-2-yl)
1155	3,5-dimethylisoxazol-4-yl-CH_2–	1	2	0	R	H	$-CH_2$–NH–C(=O)–(5-methyl-2-trifluoromethylfuran-3-yl)

Table 1.106

Compd. No.	$R^1R^2C(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p CR^4R^5(CH_2)_q-G-R^6$
1156	3,5-dimethylisoxazol-4-yl-CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(5-C(CH₃)₃-furan-2-yl)
1157	3,5-dimethylisoxazol-4-yl-CH₂–	1	2	0	H	H	–CH₂–NH–C(O)–(5-SCH₃-thien-2-yl)
1158	3,5-dimethylisoxazol-4-yl-CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(5-Cl-2-NH₂-3-Cl-phenyl)
1159	3,5-dimethylisoxazol-4-yl-CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(4,5-di-OCH₃-2-NH₂-3-OCH₃-phenyl)
1160	3,5-dimethylisoxazol-4-yl-CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(5-CH₃-2-NH₂-3-Br-phenyl)
1161	5-CH₃O-2-OH-phenyl-CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(3-CF₃-phenyl)
1162	2-CH₃-4,5-di(H₃CO, H₃C)-phenyl-CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(3-CF₃-phenyl)
1163	2-F-4-CH₃O-phenyl-CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(3-CF₃-phenyl)
1164	2-H₃C-4-CH₃O-phenyl-CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(3-CF₃-phenyl)
1165	2,3-dihydro-1,4-benzodioxin-6-yl-CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(3-CF₃-phenyl)
1166	2-Br-4-CH₃O-phenyl-CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(3-CF₃-phenyl)

Table 1.107

Compd. No.	R^1 R^2 $CH(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
1167	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(phenyl)—O—cyclopentyl
1168	Cl—(thiazole)—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—(phenyl)—CF₃
1169	H₃C—C(=O)—NH—(thiazole)—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—(phenyl)—CF₃
1170	(benzimidazole)—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—(phenyl)—CF₃
1171	Cl—⬡—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—(phenyl)(CH₃)(Br)
1172	Cl—⬡—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—(indole)—OH
1173	Cl—⬡—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—(indole)—OCH₃
1174	Cl—⬡—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—(phenyl)(F)(H₂N)
1175	H₃C—⬡—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—(phenyl)(CH₃)(Br)
1176	H₃C—⬡—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—(indole)—OH
1177	H₃C—⬡—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—(indole)—OCH₃

Table 1.108

Compd. No.	$\begin{smallmatrix}R^1\\R^2\end{smallmatrix}$C-(CH$_2$)$_l$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$C(R^4)(R^5)(CH$_2$)$_q$-G-R^6
1178	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(2-amino-5-fluorophenyl)
1179	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(2-amino-5-nitrophenyl)
1180	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(1H-indol-2-yl)
1181	(3,5-dimethylisoxazol-4-yl)-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(4-bromo-3-methylphenyl)
1182	(3,5-dimethylisoxazol-4-yl)-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(5-hydroxy-1H-indol-2-yl)
1183	(3,5-dimethylisoxazol-4-yl)-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(5-methoxy-1H-indol-2-yl)
1184	(3,5-dimethylisoxazol-4-yl)-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(2-amino-5-fluorophenyl)
1185	(3,5-dimethylisoxazol-4-yl)-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(2-amino-5-nitrophenyl)
1186	(3,5-dimethylisoxazol-4-yl)-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)—(1H-indol-2-yl)
1187	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—(4-bromo-3-methylphenyl)
1188	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—(5-hydroxy-1H-indol-2-yl)

Table 1.109

Compd. No.	R^1 R^2 $\!\!-(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q\!-G\!-\!R^6$
1189	Cl—⬡—CH₂–	2	2	1	-	H	
1190	Cl—⬡—CH₂–	2	2	1	-	H	
1191	(isoxazole)–CH₂–	1	2	0	R	H	
1192	(isoxazole)–CH₂–	1	2	0	R	H	
1193	(isoxazole)–CH₂–	1	2	0	R	H	
1194	(isoxazole)–CH₂–	1	2	0	R	H	
1195	(isoxazole)–CH₂–	1	2	0	R	H	
1196	(isoxazole)–CH₂–	1	2	0	R	H	
1197	(isoxazole)–CH₂–	1	2	0	R	H	
1198	(isoxazole)–CH₂–	1	2	0	R	H	
1199	(isoxazole)–CH₂–	1	2	0	R	H	

Table 1.110

Compd. No.	$\begin{matrix}R^1\\R^2\end{matrix}\!\!>\!\!-(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p\!\!-\!\!\begin{matrix}R^4\\|\\R^5\end{matrix}\!\!-(CH_2)_q\!-G\!-\!R^6$
1200	3,5-dimethyl-isoxazol-4-yl-CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3,5-dichlorophenyl)
1201	3,5-dimethyl-isoxazol-4-yl-CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3,4-difluorophenyl)
1202	3,5-dimethyl-isoxazol-4-yl-CH₂–	1	2	0	R	H	–CH₂–NH–CO–(2-fluoro-3-CF₃-phenyl)
1203	H₃C–(phenyl)–CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-OCF₃-phenyl)
1204	H₃C–(phenyl)–CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-CF₃-5-CF₃-phenyl)
1205	H₃C–(phenyl)–CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-Br-phenyl)
1206	H₃C–(phenyl)–CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-NO₂-phenyl)
1207	H₃C–(phenyl)–CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-CF₃-6-F-phenyl)
1208	H₃C–(phenyl)–CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-Cl-phenyl)
1209	H₃C–(phenyl)–CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-CH₃-phenyl)
1210	H₃C–(phenyl)–CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3,5-dichlorophenyl)

Table 1.111

Compd. No.	R^1, R^2—$(CH_2)_j$—	k	m	n	chirality	R^3	—$(CH_2)_p$—R^4, R^5—$(CH_2)_q$—G—R^6
1211	H_3C—⟨C₆H₄⟩—CH_2—	1	2	0	R	H	—CH_2—NH—C(O)—⟨C₆H₃(F)(F)⟩
1212	H_3C—⟨C₆H₄⟩—CH_2—	1	2	0	R	H	—CH_2—NH—C(O)—⟨C₆H₃(F)(CF_3)⟩
1213	Cl—⟨C₆H₄⟩—CH_2—	2	2	1	-	H	—CH_2—NH—C(O)—⟨C₆H₃(CF_3)(F_3C)⟩
1214	Cl—⟨C₆H₄⟩—CH_2—	2	2	1	-	H	—CH_2—NH—C(O)—⟨C₆H₃(CF_3)(F)⟩
1215	Cl—⟨C₆H₄⟩—CH_2—	2	2	1	-	H	—CH_2—NH—C(O)—⟨C₆H₃(Cl)(Cl)⟩
1216	Cl—⟨C₆H₄⟩—CH_2—	2	2	1	-	H	—CH_2—NH—C(O)—⟨C₆H₃(F)(F)⟩
1217	Cl—⟨C₆H₄⟩—CH_2—	1	2	0	R	H	—CH_2—NH—C(O)—⟨C₆H₃(CF_3)(Cl)⟩
1218	Cl—⟨C₆H₄⟩—CH_2—	1	2	0	R	H	—CH_2—NH—C(O)—⟨C₆H₃(CH_3)(F)⟩
1219	Cl—⟨C₆H₄⟩—CH_2—	1	2	0	R	H	—CH_2—NH—C(O)—⟨C₆H₃(CH_3)(Cl)⟩
1220	Cl—⟨C₆H₄⟩—CH_2—	1	2	0	R	H	—CH_2—NH—C(O)—⟨C₆H₃(I)(H_2N)⟩
1221	Cl—⟨C₆H₄⟩—CH_2—	1	2	0	R	H	—CH_2—NH—C(O)—⟨C₆H₂(F)(F)(H_2N)⟩

Table 1.112

Compd. No.	R^1 R^2 $>$$(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p$$\overset{R^4}{\underset{R^5}{C}}$$(CH_2)_q$$G-R^6$
1222	Cl—⬡—CH₂–	1	2	0	R	H	—CH₂—NH—CO— pyrrole—CH₃
1223	Cl—⬡—CH₂–	1	2	0	R	H	—CH₂—NH—CO— phenyl—S(O)—phenyl
1224	Cl—⬡—CH₂–	1	2	0	R	H	—CH₂—NH—CO— (NO₂, HO)phenyl
1225	H₃C—⬡—CH₂–	1	2	0	R	H	—CH₂—NH—CO— (CF₃, Cl)phenyl
1226	H₃C—⬡—CH₂–	1	2	0	R	H	—CH₂—NH—CO— (CH₃, F)phenyl
1227	H₃C—⬡—CH₂–	1	2	0	R	H	—CH₂—NH—CO— (CH₃, Cl)phenyl
1228	H₃C—⬡—CH₂–	1	2	0	R	H	—CH₂—NH—CO— (I, H₂N)phenyl
1229	H₃C—⬡—CH₂–	1	2	0	R	H	—CH₂—NH—CO— (F, F, H₂N)phenyl
1230	H₃C—⬡—CH₂–	1	2	0	R	H	—CH₂—NH—CO— pyrrole—CH₃
1231	H₃C—⬡—CH₂–	1	2	0	R	H	—CH₂—NH—CO— phenyl—S(O)—phenyl
1232	H₃C—⬡—CH₂–	1	2	0	R	H	—CH₂—NH—CO— (NO₂, HO)phenyl

Table 1.113

Compd. No.	R^1, R^2 $-\langle\text{CH}_2\rangle_i-$	k	m	n	chirality	R^3	$-(\text{CH}_2)_p$, R^4, R^5 $-(\text{CH}_2)_q-G-R^6$
1233	3,5-dimethylisoxazol-4-yl–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(2-Cl, 5-CF₃)phenyl
1234	3,5-dimethylisoxazol-4-yl–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(5-CH₃, 2-F)phenyl
1235	3,5-dimethylisoxazol-4-yl–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(3-CH₃, 4-Cl)phenyl
1236	3,5-dimethylisoxazol-4-yl–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(6-I, 2-NH₂)phenyl
1237	3,5-dimethylisoxazol-4-yl–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(5-F, 4-F, 2-NH₂)phenyl
1238	3,5-dimethylisoxazol-4-yl–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(4-methyl-1H-pyrrol-2-yl)
1239	3,5-dimethylisoxazol-4-yl–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(3-(phenylsulfinyl)phenyl)
1240	3,5-dimethylisoxazol-4-yl–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(5-NO₂, 2-OH)phenyl
1241	Cl–C₆H₄–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–(2-Cl, 5-CF₃)phenyl
1242	Cl–C₆H₄–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–(5-CH₃, 2-F)phenyl
1243	Cl–C₆H₄–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–(3-CH₃, 4-Cl)phenyl

Table 1.114

Compd. No.	R¹R²C(CH₂)ᵢ—	k	m	n	chirality	R³	—(CH₂)ₚ—CR⁴R⁵—(CH₂)q—G—R⁶
1244	Cl—C₆H₄—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)— (2-amino-5-iodophenyl)
1245	Cl—C₆H₄—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)— (2-amino-4,5-difluorophenyl)
1246	Cl—C₆H₄—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)— (4-methyl-1H-pyrrol-2-yl)
1247	Cl—C₆H₄—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)— (3-(phenylsulfinyl)phenyl)
1248	Cl—C₆H₄—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)— (2-hydroxy-5-nitrophenyl)
1249	Cl—C₆H₄—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)— (4-chloro-3-nitrophenyl)
1250	H₃C—C₆H₄—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)— (4-chloro-3-nitrophenyl)
1251	(3,5-dimethylisoxazol-4-yl)—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)— (4-chloro-3-nitrophenyl)
1252	Cl—C₆H₄—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)— (4-isopropylphenyl)
1253	H₃C—C₆H₄—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)— (4-isopropylphenyl)
1254	(3,5-dimethylisoxazol-4-yl)—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)— (4-isopropylphenyl)

Table 1.115

Compd. No.	$\overset{R^1}{\underset{R^2}{}}$—(CH₂)ᵢ—	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
1255	Cl—〈C₆H₄〉—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(2-NH₂, 5-Br phenyl)
1256	H₃C—〈C₆H₄〉—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(2-NH₂, 5-Br phenyl)
1257	(3,5-dimethylisoxazol-4-yl)—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(2-NH₂, 5-Br phenyl)
1258	H₃C—〈C₆H₄〉—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(2-NH₂, 5-Cl phenyl)
1259	(3,5-dimethylisoxazol-4-yl)—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(2-NH₂, 5-Cl phenyl)
1260	H₃C—〈C₆H₄〉—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(3-OCH₂CH₃ phenyl)
1261	Cl—〈C₆H₄〉—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(5-C(CH₃)₃, 2-CH₃ furyl)
1262	H₃C—〈C₆H₄〉—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(5-C(CH₃)₃, 2-CH₃ furyl)
1263	(3,5-dimethylisoxazol-4-yl)—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(5-C(CH₃)₃, 2-CH₃ furyl)
1264	Cl—〈C₆H₄〉—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(5-phenyl, 2-CH₃ furyl)
1265	H₃C—〈C₆H₄〉—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(5-phenyl, 2-CH₃ furyl)

Table 1.116

Compd. No.	R^1 R^2 $>$(CH$_2$)$_i$–	k	m	n	chirality	R^3	$-(CH_2)_p$C(R^4)(R^5)$(CH_2)_q$G–R^6
1266	3,5-dimethylisoxazol-4-yl-CH$_2$–	1	2	0	R	H	–CH$_2$NHC(O)-(2-methyl-5-phenyl-furan-3-yl)
1267	Cl–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$NHC(O)-(5-OCF$_3$-indol-2-yl)
1268	Cl–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$NHC(O)-(5-Cl-2-OCH$_3$-phenyl)
1269	Cl–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$NHC(O)-(5-Br-2-OH-phenyl)
1270	Cl–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$NHC(O)-(5-Cl-2-OH-phenyl)
1271	Cl–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$NHC(O)-(3-NO$_2$-4-F-phenyl)
1272	H$_3$C–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$NHC(O)-(5-OCF$_3$-indol-2-yl)
1273	H$_3$C–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$NHC(O)-(5-Cl-2-OCH$_3$-phenyl)
1274	H$_3$C–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$NHC(O)-(5-Br-2-OH-phenyl)
1275	H$_3$C–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$NHC(O)-(5-Cl-2-OH-phenyl)
1276	H$_3$C–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	–CH$_2$NHC(O)-(3-NO$_2$-4-F-phenyl)

Table 1.117

Compd. No.	R^1 R^2 $-(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{\mid}}(CH_2)_q\text{-}G\text{-}R^6$
1277	3,5-dimethylisoxazol-4-yl-CH_2-	1	2	0	R	H	$-CH_2-NH-CO-$ (5-OCF$_3$ indol-2-yl)
1278	3,5-dimethylisoxazol-4-yl-CH_2-	1	2	0	R	H	$-CH_2-NH-CO-$ (5-Cl, 2-OCH$_2$ phenyl)
1279	3,5-dimethylisoxazol-4-yl-CH_2-	1	2	0	R	H	$-CH_2-NH-CO-$ (5-Br, 2-OH phenyl)
1280	3,5-dimethylisoxazol-4-yl-CH_2-	1	2	0	R	H	$-CH_2-NH-CO-$ (5-Cl, 2-OH phenyl)
1281	3,5-dimethylisoxazol-4-yl-CH_2-	1	2	0	R	H	$-CH_2-NH-CO-$ (3-NO$_2$, 4-F phenyl)
1282	Cl-C$_6$H$_4$-CH_2-	2	2	1	-	H	$-CH_2-NH-CO-$ (5-OCF$_3$ indol-2-yl)
1283	Cl-C$_6$H$_4$-CH_2-	2	2	1	-	H	$-CH_2-NH-CO-$ (5-Cl, 2-OCH$_3$ phenyl)
1284	Cl-C$_6$H$_4$-CH_2-	2	2	1	-	H	$-CH_2-NH-CO-$ (5-Br, 2-OH phenyl)
1285	Cl-C$_6$H$_4$-CH_2-	2	2	1	-	H	$-CH_2-NH-CO-$ (5-Cl, 2-OH phenyl)
1286	$(H_3C)_2N(CH_2)_3O$-C$_6$H$_4$-CH_2-	1	2	0	R	H	$-CH_2-NH-CO-$ (4-CF$_3$ phenyl)
1287	2,4-dinitrophenyl-CH_2-	1	2	0	R	H	$-CH_2-NH-CO-$ (3-CF$_3$ phenyl)

Table 1.118

Compd. No.	R^1, R^2-(CH$_2$)$_i$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-C(R^4)(R^5)-(CH$_2$)$_q$-G-R^6
1288	HO, H$_3$CO-benzyl (−CH$_2$−)	1	2	0	R	H	−CH$_2$−NH−CO−(phenyl-CF$_3$)
1289	3,5-dimethylisoxazol-4-yl −CH$_2$−	1	2	0	R	H	−CH$_2$−NH−CO−(phenyl, OCH$_3$, H$_2$N)
1290	3,5-dimethylisoxazol-4-yl −CH$_2$−	1	2·	0	R	H	−CH$_2$−NH−CO−(phenyl, CH$_3$, H$_2$N, CH$_3$)
1291	H$_3$C−(phenyl)−CH$_2$−	1	2	0	R	H	−CH$_2$−NH−CO−(5-methylindol-2-yl)
1292	H$_3$C−(phenyl)−CH$_2$−	1	2	0	R	H	−CH$_2$−NH−CO−(phenyl, CH$_3$, H$_2$N, Br)
1293	H$_3$C−(phenyl)−CH$_2$−	1	2	0	R	H	−CH$_2$−NH−CO−(phenyl, CF$_3$, F)
1294	H$_3$C−(phenyl)−CH$_2$−	1	2	0	R	H	−CH$_2$−NH−CO−(phenyl, CF$_3$, F)
1295	H$_3$C−(phenyl)−CH$_2$−	1	2	0	R	H	−CH$_2$−NH−CO−(furan-2-yl, C(CH$_3$)$_3$)
1296	H$_3$C−(phenyl)−CH$_2$−	1	2	0	R	H	−CH$_2$−NH−CO−(thiophen-2-yl, SCH$_3$)
1297	H$_3$C−(phenyl)−CH$_2$−	1	2	0	R	H	−CH$_2$−NH−CO−(furan-3-yl, CH$_3$, F$_3$C)
1298	H$_3$CO, H$_3$CO-benzyl (−CH$_2$−), Br	1	2	0	R	H	−CH$_2$−NH−CO−(phenyl-CF$_3$)

Table 1.119

Compd. No.	R^1 R^2 $>$(CH$_2$)$_i^-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
1299	H₃CO, H₃CO, H₃CO –CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– (3-CF₃-phenyl)
1300	OCH₃, H₃CO –CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– (3-CF₃-phenyl)
1301	OCH₃, H₃CO –CH₂–, H₃CO	1	2	0	R	H	–CH₂–NH–C(O)– (3-CF₃-phenyl)
1302	H₃C, CH₃, H₃CO –CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– (3-CF₃-phenyl)
1303	H₃CO, H₃CO –CH₂–, Br	1	2	0	R	H	–CH₂–NH–C(O)– (3-CF₃-phenyl)
1304	phenyl-CH₂O, H₃CO –CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– (3-CF₃-phenyl)
1305	H₃CO (naphthyl)–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– (3-CF₃-phenyl)
1306	H₃CCH₂O, H₃CO –CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– (3-CF₃-phenyl)
1307	H₃CO, H₃CO –CH₂–, HO	1	2	0	R	H	–CH₂–NH–C(O)– (3-CF₃-phenyl)
1308	(2,3-dihydrobenzofuranyl)–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– (3-CF₃-phenyl)
1309	H₃CO, H₃CO –CH₂–, I	1	2	0	R	H	–CH₂–NH–C(O)– (3-CF₃-phenyl)

Table 1.120

Compd. No.	R^1R^2CH(CH$_2$)$_i$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$CR^4R^5(CH$_2$)$_q$—G—R^6
1310	H$_3$CO / HO–benzene–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–benzene–CF$_3$
1311	methylenedioxybenzene–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–benzene–CF$_3$
1312	I–benzene–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–benzene–CF$_3$
1313	Br–benzene–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–benzene–CF$_3$
1314	O$_2$N–thiophene–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–benzene–CF$_3$
1315	H$_3$C–furan–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–benzene–CF$_3$
1316	F$_3$C / Cl–benzene–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–benzene–CF$_3$
1317	O$_2$N / Cl–benzene–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–benzene–CF$_3$
1318	F / Cl–benzene–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–benzene–CF$_3$
1319	F / Cl–benzene–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–benzene–CF$_3$
1320	F / Br–benzene–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–benzene–CF$_3$

Table 1.121

Compd. No.	R^1 R^2 >CH-(CH₂)ᵢ-	k	m	n	chirality	R^3	$-(CH_2)_p CR^4R^5 (CH_2)_q G-R^6$
1321	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(C₆H₃)(Br)(Cl)
1322	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(C₆H₃)(Cl)(CH₃)
1323	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(C₆H₃)(I)(Cl)
1324	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(C₆H₃)(CH₃)(HO)
1325	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(C₆H₄)–C(O)–C₆H₅
1326	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(C₆H₃)(I)(HO)
1327	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(C₆H₃)(CH₃)(H₂N)
1328	H₃C–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(C₆H₃)(Br)(Cl)
1329	H₃C–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(C₆H₃)(Cl)(CH₃)
1330	H₃C–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(C₆H₃)(I)(Cl)
1331	H₃C–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(C₆H₃)(CH₃)(HO)

Table 1.122

Compd. No.	$R^1R^2C{-}(CH_2)_i{-}$	k	m	n	chirality	R^3	$-(CH_2)_p{-}CR^4R^5{-}(CH_2)_q{-}G{-}R^6$
1332	$H_3C{-}C_6H_4{-}CH_2-$	1	2	0	R	H	$-CH_2{-}NH{-}CO{-}C_6H_4{-}CO{-}C_6H_5$
1333	$H_3C{-}C_6H_4{-}CH_2-$	1	2	0	R	H	$-CH_2{-}NH{-}CO$-benzene(I, OH)
1334	$H_3C{-}C_6H_4{-}CH_2-$	1	2	0	R	H	$-CH_2{-}NH{-}CO$-benzene(CH_3, NH_2)
1335	dimethylisoxazolyl-CH_2-	1	2	0	R	H	$-CH_2{-}NH{-}CO$-benzene(Br, Cl)
1336	dimethylisoxazolyl-CH_2-	1	2	0	R	H	$-CH_2{-}NH{-}CO$-benzene(Cl, CH_3)
1337	dimethylisoxazolyl-CH_2-	1	2	0	R	H	$-CH_2{-}NH{-}CO$-benzene(I, Cl)
1338	dimethylisoxazolyl-CH_2-	1	2	0	R	H	$-CH_2{-}NH{-}CO$-benzene(CH_3, OH)
1339	dimethylisoxazolyl-CH_2-	1	2	0	R	H	$-CH_2{-}NH{-}CO{-}C_6H_4{-}CO{-}C_6H_5$
1340	dimethylisoxazolyl-CH_2-	1	2	0	R	H	$-CH_2{-}NH{-}CO$-benzene(I, OH)
1341	dimethylisoxazolyl-CH_2-	1	2	0	R	H	$-CH_2{-}NH{-}CO$-benzene(CH_3, NH_2)
1342	$Cl{-}C_6H_4{-}CH_2-$	2	2	1	-	H	$-CH_2{-}NH{-}CO$-benzene(Br, Cl)

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Table 1.123

Compd. No.	R^1 R^2 $>$(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$\overset{R^4}{\underset{R^5}{C}}$(CH$_2$)$_q$-G-R^6
1343	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-NH-CO—⟨C$_6$H$_3$(Cl)(CH$_3$)⟩
1344	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-NH-CO—⟨C$_6$H$_3$(I)(Cl)⟩
1345	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-NH-CO—⟨C$_6$H$_3$(CH$_3$)(OH)⟩
1346	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-NH-CO—⟨C$_6$H$_3$(I)(OH)⟩
1347	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$-NH-CO—⟨thiophene-CH$_3$⟩
1348	H$_3$C—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$-NH-CO—⟨thiophene-CH$_3$⟩
1349	⟨3,5-dimethylisoxazol-4-yl⟩—CH$_2$—	1	2	0	R	H	—CH$_2$-NH-CO—⟨thiophene-CH$_3$⟩
1350	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$-NH-CO—⟨thiophene-CH$_3$⟩
1351	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$-N-CO—⟨C$_6$H$_3$(Br)(NH-CO-CH$_3$)⟩
1352	H$_3$C—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$-N-CO—⟨C$_6$H$_3$(Br)(NH-CO-CH$_3$)⟩
1353	⟨3,5-dimethylisoxazol-4-yl⟩—CH$_2$—	1	2	0	R	H	—CH$_2$-N-CO—⟨C$_6$H$_3$(Br)(NH-CO-CH$_3$)⟩

Table 1.124

Compd. No.	R^1 R^2 —(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—CR^4R^5—(CH$_2$)$_q$—G—R^6
1354	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	structure (—CH$_2$—NH—CO— aryl with Si, HN—CO—CH$_3$)
1355	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO— aryl (CN, H$_2$N)
1356	H$_3$C—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO— aryl (CN, H$_2$N)
1357	(3,4,5-trimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO— aryl (CN, H$_2$N)
1358	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO— aryl (CN, H$_2$N)
1359	(3,4,5-trimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—cyclohexenyl
1360	(3,4,5-trimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(tetramethylcyclopropyl)
1361	H$_3$C—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(4-methoxycyclohexyl)
1362	(3,4,5-trimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(3-methylcyclohexyl)
1363	(3,4,5-trimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(trimethylcyclohexyl)
1364	H$_3$C—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(3-methylcyclohexyl)

Table 1.125

Compd. No.	R^1 R^2 >(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$ R^4/R^5 (CH$_2$)$_q$-G-R^6
1365	3,5-dimethyl-isoxazol-4-yl-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-methylcyclohexyl)
1366	3,5-dimethyl-isoxazol-4-yl-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(4-methylcyclohexyl)
1367	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(4-methylcyclohexyl)
1368	Cl-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(3-CF$_3$-4-Cl-phenyl)
1369	Cl-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-OCH$_2$CF$_3$-5-F$_3$CCH$_2$O-phenyl)
1370	Cl-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(4-bromothiophen-2-yl)
1371	Cl-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(phenylsulfonyl-phenyl)
1372	Cl-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(biphenyl-3-yl)
1373	H$_2$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(3-CF$_3$-4-Cl-phenyl)
1374	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(5-OCH$_2$CF$_3$-2-F$_3$CCH$_2$O-phenyl)
1375	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(4-bromothiophen-2-yl)

Table 1.126

Compd. No.	$\overset{R^1}{\underset{R^2}{>}}$(CH₂)ᵢ–	k	m	n	chirality	R³	$-(CH_2)_p\overset{R^4}{\underset{R^5}{\mid}}(CH_2)_q-G-R^6$
1376	H₃C–⟨⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– phenyl–SO₂–phenyl
1377	H₃C–⟨⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– biphenyl
1378	isoxazole(CH₃,CH₃)–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– phenyl(CF₃)(Cl)
1379	isoxazole(CH₃,CH₃)–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– phenyl(OCH₂CF₃)(F₃CCH₂O)
1380	isoxazole(CH₃,CH₃)–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– thiophene(Br)
1381	isoxazole(CH₃,CH₃)–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– phenyl–SO₂–phenyl
1382	isoxazole(CH₃,CH₃)–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)– biphenyl
1383	Cl–⟨⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)– phenyl(CF₃)(Cl)
1384	Cl–⟨⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)– thiophene(Br)
1385	Cl–⟨⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)– phenyl–SO₂–phenyl
1386	Cl–⟨⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)– biphenyl

Table 1.127

Compd. No.	R^1R^2 CH $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p$ CR^{4}R^5 $-(CH_2)_q$ G $-R^6$
1387	3,5-dimethyl-isoxazol-4-yl-CH2– (CH3, CH3)	1	2	0	R	H	–CH2–NH–C(O)–(norbornyl)
1388	3,5-dimethyl-isoxazol-4-yl-CH2– (CH3, CH3)	1	2	0	R	H	–CH2–NH–C(O)–(5-C(CH3)3-1-CH3-pyrazol-3-yl)
1389	3,5-dimethyl-isoxazol-4-yl-CH2– (CH3, CH3)	1	2	0	R	H	–CH2–NH–C(O)–(benzofurazanyl)
1390	pentamethylphenyl-CH2– (H3C, CH3, H3C, CH3, H3C, CH3)	1	2	0	R	H	–CH2–NH–C(O)–C6H4–CF3
1391	(H3C, H3C)–C6H3–CH2–	1	2	0	R	H	–CH2–NH–C(O)–C6H4–CF3
1392	(Cl, H3C)–C6H3–CH2–	1	2	0	R	H	–CH2–NH–C(O)–C6H4–CF3
1393	H3CCH2–C6H4–CH2–	1	2	0	R	H	–CH2–NH–C(O)–C6H4–CF3
1394	(O2N, H3C)–C6H3–CH2–	1	2	0	R	H	–CH2–NH–C(O)–C6H4–CF3
1395	H2C=CH–C6H4–CH2–	1	2	0	R	H	–CH2–NH–C(O)–C6H4–CF3
1396	(H3C)–naphthyl–CH2–	1	2	0	R	H	–CH2–NH–C(O)–C6H4–CF3
1397	(Br, Br)–C6H3–CH2–	1	2	0	R	H	–CH2–NH–C(O)–C6H3–CF3

Table 1.128

Compd. No.	R^1, R^2—$(CH_2)_j$—	k	m	n	chirality	R^3	—$(CH_2)_p$—CR^4R^5—$(CH_2)_q$—G—R^6
1398	3,4-dichlorophenyl-CH(CH₃)—	1	2	0	R	H	—CH₂—NH—C(O)—(3-CF₃-phenyl)
1399	(2-Cl,4-Cl)phenyl-CH(CH₃)—	1	2	0	R	H	—CH₂—NH—C(O)—(3-CF₃-phenyl)
1400	4-Cl-phenyl-CH(CH₃)—	1	2	0	R	H	—CH₂—NH—C(O)—(3-CF₃-phenyl)
1401	H₃C—(4-phenyl)—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(5-chloro-1H-indol-2-yl)
1402	H₃C—(4-phenyl)—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(2-NH₂,3-OCH₃,4-OCH₃,5-OCH₃-phenyl)
1403	H₃C—(4-phenyl)—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(pyridin-4-yl)
1404	H₃C—(4-phenyl)—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(pyridin-3-yl)
1405	H₃C—(4-phenyl)—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(2-CH₃S-pyridin-3-yl)
1406	H₃C—(4-phenyl)—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(2-CH₃-cyclopropyl)
1407	H₃C—(4-phenyl)—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(2-CH₃CH₂S-pyridin-3-yl)
1408	H₃C—(4-phenyl)—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(pyridin-2-yl)

Table 1.129

Compd. No.	$\begin{smallmatrix}R^1\\R^2\end{smallmatrix}$(CH$_2$)$_j$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$$\begin{smallmatrix}R^4\\ \\R^5\end{smallmatrix}$(CH$_2$)$_q$–G–R^6
1409	H$_3$C–⟨⟩–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–cyclohexyl-CH$_3$
1410	isoxazole(CH$_3$,CH$_3$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–phenyl
1411	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(Cl, H$_3$C–CO–NH)phenyl
1412	H$_3$C–⟨⟩–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(Cl, H$_3$C–CO–NH)phenyl
1413	isoxazole(CH$_3$,CH$_3$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(Cl, H$_3$C–CO–NH)phenyl
1414	Cl–⟨⟩–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–CO–(Cl, H$_3$C–CO–NH)phenyl
1415	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(SCN, H$_2$N)phenyl
1415	H$_3$C–⟨⟩–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(SCN, H$_2$N)phenyl
1417	isoxazole(CH$_3$,CH$_3$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(SCN, H$_2$N)phenyl
1418	Cl–⟨⟩–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–CO–(SCN, H$_2$N)phenyl
1419	Cl–⟨⟩–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(SH, H$_2$N)phenyl

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Table 1.130

Compd. No.	R^1R^2C(CH$_2$)$_i$–	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q\text{-G-}R^6$
1420	H₃C–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(2-H₂N, 5-SH-phenyl)
1421	(3,5-dimethylisoxazol-4-yl)–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(2-H₂N, 5-SH-phenyl)
1422	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–(2-H₂N, 5-SH-phenyl)
1423	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(benzoyl-phenyl)
1424	H₃C–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(benzoyl-phenyl)
1425	(3,5-dimethylisoxazol-4-yl)–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(benzoyl-phenyl)
1426	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–(benzoyl-phenyl)
1427	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–(5-Br, 2-H₃C-NH-phenyl)
1428	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–(5-Br, 2-(H₃C)₂N-phenyl)
1429	H₃CCH₂O–⟨C₆H₄⟩–CH₂–	2	2	1	H	H	–CH₂–NH–C(O)–(2-H₂N, 5-Cl-phenyl)
1430	(benzodioxin-6-yl)–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–(2-H₂N, 5-Cl-phenyl)

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Table 1.131

Compd. No.	R^1 R^2 $>$(CH$_2$)$_i-$	k	m	n	chirality	R^3	$-(CH_2)_p$ $\overset{R^4}{\underset{R^5}{|}}$ $(CH_2)_q$G$-R^6$
1431	H₃CCH₂O—⟨ ⟩—CH₂–	2	2	1	-	H	–CH₂NHC(O)– benzene (2-NH₂, 5-Br)
1432	(benzodioxane)–CH₂–	2	2	1	-	H	–CH₂NHC(O)– benzene (2-NH₂, 5-Br)
1433	H₃CCH₂O—⟨ ⟩—CH₂–	2	2	1	-	H	–CH₂NHC(O)– benzene, HN-CH₂-⟨ ⟩-OCH₂CH₃
1434	H₃CCH₂O—⟨ ⟩—CH₂–	2	2	1	-	H	–CH₂NHC(O)– benzene (Br), HN-CH₂-⟨ ⟩-OCH₂CH₃
1435	H₃CCH₂—⟨ ⟩—CH₂–	2	2	1	-	H	–CH₂NHC(O)– benzene (2-NH₂, 5-Cl)
1436	(H₃C)₂CH—⟨ ⟩—CH₂–	2	2	1	-	H	–CH₂NHC(O)– benzene (2-NH₂, 5-Cl)
1437	H₃C(CH₂)₂O—⟨ ⟩—CH₂–	2	2	1	-	H	–CH₂NHC(O)– benzene (2-NH₂, 5-Cl)
1438	H₃CCH₂—⟨ ⟩—CH₂–	2	2	1	-	H	–CH₂NHC(O)– benzene (2-NH₂, 5-Br)
1439	(H₃C)₂CH—⟨ ⟩—CH₂–	2	2	1	-	H	–CH₂NHC(O)– benzene (2-NH₂, 5-Br)
1440	H₃C(CH₂)₂O—⟨ ⟩—CH₂–	2	2	1	-	H	–CH₂NHC(O)– benzene (2-NH₂, 5-Br)
1441	H₃CS—⟨ ⟩—CH₂–	2	2	1	-	H	–CH₂NHC(O)– benzene (2-NH₂, 5-Br)

Table 1.132

Compd. No.	R^1 R^2 CH–(CH$_2$)$_j$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$C(R^4)(R^5)(CH$_2$)$_q$G–R^6
1442	H$_3$CCH$_2$–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	structure
1443	(H$_3$C)$_2$CH–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	structure
1444	H$_3$C(CH$_2$)$_2$O–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	structure
1445	H$_3$CCH$_2$–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	structure
1446	(H$_3$C)$_2$CH–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	structure
1447	H$_3$C(CH$_2$)$_2$O–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	structure
1448	H$_3$CS–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	structure
1449	H$_3$CCH$_2$–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–⟨C$_6$H$_4$-CF$_3$⟩
1450	(H$_3$C)$_2$CH–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–⟨C$_6$H$_4$-CF$_3$⟩
1451	(H$_3$CCH$_2$)$_2$N–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–⟨C$_6$H$_4$-CF$_3$⟩
1452	H$_3$CO–(HO)⟨C$_6$H$_3$⟩–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–⟨C$_6$H$_4$-CF$_3$⟩

Table 1.133

Compd. No.	R^1 R^2 $>$(CH$_2$)$_i$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$ $\overset{R^4}{\underset{R^5}{C}}$(CH$_2$)$_q$-G-R^6
1453	H$_3$C(CH$_2$)$_2$O—◯—CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_4$-CF$_3$
1454	H$_5$CCH$_2$O—◯—CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_4$-CF$_3$
1455	H$_3$CO / HO / —CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_4$-CF$_3$
1456	(benzodioxane)—CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_4$-CF$_3$
1457	(CH$_3$)$_2$N—◯—CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(Cl)(NH$_2$)
1458	H$_3$CO / HO / —CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(Cl)(NH$_2$)
1459	(H$_3$C)$_2$N—◯—CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(Br)(NH$_2$)
1460	H$_3$CO / HO / —CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(Br)(NH$_2$)
1461	H$_3$CO / HO / —CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(Cl)-NH-CH$_2$-C$_6$H$_3$(OCH$_3$)(OH)
1462	H$_3$CO / HO / —CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(Br)-NH-CH$_2$-C$_6$H$_3$(OCH$_3$)(OH)
1463	Cl—◯—CH$_2$-	2	1	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_4$-CF$_3$

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Table 1.134

Compd. No.	R^1 R^2 $(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
1464	Cl—⟨⟩—CH₂–	2	1	1	-	H	–CH₂–NH–C(O)–⟨⟩–OCF₃
1465	Cl—⟨⟩—CH₂–	2	1	1	-	H	–CH₂–NH–C(O)–⟨⟩–CF₃, F₃C
1466	Cl—⟨⟩—CH₂–	2	1	1	-	H	–CH₂–NH–C(O)–⟨⟩–Br
1467	Cl—⟨⟩—CH₂–	2	1	1	-	H	–CH₂–NH–C(O)–⟨⟩–Cl
1468	Cl—⟨⟩—CH₂–	2	1	1	-	H	–CH₂–NH–C(O)–⟨⟩–NO₂
1469	Cl—⟨⟩—CH₂–	2	1	1	-	H	–CH₂–NH–C(O)–⟨⟩–CF₃, F
1470	Cl—⟨⟩—CH₂–	2	1	1	-	H	–CH₂–NH–C(O)–⟨⟩–Cl, Cl
1471	Cl—⟨⟩—CH₂–	2	1	1	-	H	–CH₂–NH–C(O)–⟨⟩–F, F
1472	CH₃-thiophene-CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–⟨⟩–CF₃
1473	Br-thiophene-CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–⟨⟩–CF₃
1474	pyrazole(Cl, CH₃, phenyl)-CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–⟨⟩–CF₃

Table 1.135

Compd. No.	R^1R^2CH$-$(CH$_2$)$_i-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$\overset{R^4}{\underset{R^5}{C}}$(CH$_2$)$_qG-$R^6
1475	(4-Cl-phenyl)-furan-CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$CO$-$(3-CF$_3$-phenyl)
1476	(4,5-Br$_2$-thiophene)-CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$CO$-$(3-CF$_3$-phenyl)
1477	(4-Br-phenyl)-furan-CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$CO$-$(3-CF$_3$-phenyl)
1478	(3-Br-phenyl)-furan-CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$CO$-$(3-CF$_3$-phenyl)
1479	(2,4,6-trimethylphenyl)-CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$CO$-$(3-CF$_3$-phenyl)
1480	(2,4-dimethylphenyl)-CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$CO$-$(3-CF$_3$-phenyl)
1481	(2,4,5-trimethylphenyl)-CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$CO$-$(3-CF$_3$-phenyl)
1482	(4-Br-thiophene)-CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$CO$-$(3-CF$_3$-phenyl)
1483	(3,4-dimethylfuran)-CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$CO$-$(3-CF$_3$-phenyl)
1484	(4-Cl-phenyl)-S-furan-CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$CO$-$(3-CF$_3$-phenyl)
1485	(4-methylphenyl)-CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$CO$-$(5-F-benzothiophene-2-yl)

Table 1.136

Compd. No.	R^1 R^2 $\rangle$(CH$_2$)$_i$–	k	m	n	chirality	R^3	$-(CH_2)_p$\overset{R^4}{\underset{R^5}{C}}$(CH_2)_q$G–R^6
1486	H$_3$C–⟨ ⟩–CH$_2$–	1	2	0	R	H	
1487	H$_3$C–⟨ ⟩–CH$_2$–	1	2	0	R	H	
1488	H$_3$C–⟨ ⟩–CH$_2$–	1	2	0	R	H	
1489	H$_2$C–⟨ ⟩–CH$_2$–	1	2	0	R	H	
1490	H$_2$C–⟨ ⟩–CH$_2$–	1	2	0	R	H	
1491	H$_3$C–⟨ ⟩–CH$_2$–	1	2	0	R	H	
1492	H$_3$C–⟨ ⟩–CH$_2$–	1	2	0	R	H	
1493		1	2	0	R	H	
1494		1	2	0	R	H	
1495		1	2	0	R	H	
1496		1	2	0	R	H	

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Table 1.137

Compd. No.	R^1R^2 >CH-(CH$_2$)$_i$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$CR^4R^5(CH$_2$)$_q$-G-R^6
1497	(3,4,5-trimethylisoxazolyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO- (camphanyl structure with CH$_3$ groups)
1498	(3,4,5-trimethylisoxazolyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO- cyclopropyl with CN
1499	(3,4,5-trimethylisoxazolyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO- cyclopropyl with CH$_3$
1500	(3,4,5-trimethylisoxazolyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO- cyclopropyl-CH$_3$
1501	(3,4,5-trimethylisoxazolyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO- cyclobutyl
1502	(3,4,5-trimethylisoxazolyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO- phenyl(CF$_3$, F, F)
1503	(3,4,5-trimethylisoxazolyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO- phenyl-OCHF$_2$
1504	H$_2$N-phenyl-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO- phenyl-CF$_3$
1505	(di(benzyloxy)phenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO- phenyl-CF$_3$
1506	Cl-phenyl-CH$_2$-	2	1	1	-	H	-CH$_2$-NH-CO- phenyl(Br, H$_2$N)
1507	Cl-phenyl-CH$_2$-	2	1	1	-	H	-CH$_2$-NH-CO- phenyl(F, H$_2$N)

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Table 1.138

Compd. No.	$R^1R^2C(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p CR^4R^5(CH_2)_q G-R^6$
1508	Cl—C6H4—CH2—	2	1	1	-	H	—CH2—NH—CO—(3,4-difluoro-2-amino-phenyl)
1509	Cl—C6H4—CH2—	2	1	1	-	H	—CH2—NH—CO—(biphenyl)
1510	Cl—C6H4—CH2—	2	1	1	-	H	—CH2—NH—CO—(2-amino-iodo-phenyl)
1511	Cl—C6H4—CH2—	2	1	1	-	H	—CH2—NH—CO—(4-bromo-thienyl)
1512	Cl—C6H4—CH2—	2	1	1	-	H	—CH2—NH—CO—(5-chloro-2-amino-phenyl)
1513	Cl—C6H4—CH2—	2	1	1	-	H	—CH2—NH—CO—(phenylsulfonyl-phenyl)
1514	(H3CCH2)2N—C6H4—CH2—	2	2	1	-	H	—CH2—NH—CO—(5-chloro-2-amino-phenyl)
1515	HO, H3CO—C6H3—CH2—	2	2	1	-	H	—CH2—NH—CO—(5-chloro-2-amino-phenyl)
1516	(H3CCH2)2N—C6H4—CH2—	2	2	1	-	H	—CH2—NH—CO—(5-bromo-2-amino-phenyl)
1517	HO, H3CO—C6H3—CH2—	2	2	1	-	H	—CH2—NH—CO—(5-bromo-2-amino-phenyl)
1518	HO, H3CO—C6H3—CH2—	2	2	1	-	H	—CH2—NH—CO—(chloro-phenyl)-HN-CH2-(OH, OCH3-phenyl)

Table 1.139

Compd. No.	$\begin{matrix}R^1\\R^2\end{matrix}$ $\diagdown$ $(CH_2)_k$—	k	m	n	chirality	R^3	$-(CH_2)_p$ $\overset{R^4}{\underset{R^5}{|}}$ $(CH_2)_q$-G-R^6
1519		2	2	1	-	H	
1520		1	2	0	R	H	
1521		1	2	0	R	H	
1522		1	2	0	R	H	
1523		1	2	0	R	H	
1524		1	2	0	R	H	
1525		1	2	0	R	H	
1526		1	2	0	R	H	
1527		1	2	0	R	H	
1528		1	2	0	R	H	
1529		1	2	0	R	H	

Table 1.140

Compd. No.	$\overset{R^1}{\underset{R^2}{>}}(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
1530	Br—⟨C₆H₄⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–⟨C₆H₃(CF₃)(F)⟩
1531	H₃CO—⟨C₆H₄⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–⟨C₆H₃(CF₃)(F)⟩
1532	(methylenedioxyphenyl)—CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–⟨C₆H₃(CF₃)(F)⟩
1533	(H₃CO)(H₃CO)⟨C₆H₃⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–⟨C₆H₃(CF₃)(F)⟩
1534	(H₃CO)(HO)⟨C₆H₃⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–⟨C₆H₃(CF₃)(F)⟩
1535	Br—⟨C₆H₄⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–⟨C₆H₃(CF₃)(F)⟩
1536	H₃CO—⟨C₆H₄⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–⟨C₆H₃(CF₃)(F)⟩
1537	(methylenedioxyphenyl)—CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–⟨C₆H₃(CF₃)(F)⟩
1538	(H₃CO)(H₃CO)⟨C₆H₃⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–⟨C₆H₃(CF₃)(F)⟩
1539	(H₃CO)(HO)⟨C₆H₃⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–⟨C₆H₃(CF₃)(F)⟩
1540	Br—⟨C₆H₄⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–⟨C₆H₃(CF₃)(F)(F)⟩

Table 1.141

Compd. No.	R^1R^2-(CH$_2$)$_l$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-C(R^4)(R^5)-(CH$_2$)$_q$-G-R^6
1541	H$_3$CO-⬡-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-⬡(CF$_3$)(F)(F)
1542	(methylenedioxyphenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-⬡(CF$_3$)(F)(F)
1543	(H$_3$CO)(H$_3$CO)-⬡-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-⬡(CF$_3$)(F)(F)
1544	(H$_3$CO)(HO)-⬡-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-⬡(CF$_3$)(F)(F)
1545	Cl-(thiophene)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-⬡(CF$_3$)
1546	H$_3$CO-(F)(F)(F)(F)-⬡-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-⬡(CF$_3$)
1547	H$_3$CO-(Br)(Br)-⬡-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-⬡(CF$_3$)
1548	H$_3$C-⬡-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(camphanyl, CH$_3$/CH$_3$/CH$_3$)
1549	H$_3$C-⬡-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(cyclopropyl, CH=C(CH$_3$)$_2$/CH$_3$/H$_3$C)
1550	H$_3$C-⬡-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-⬡-NH-CO-NH-⬡(Cl)(OCH$_3$)(H$_3$CO)
1551	H$_3$C-⬡-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-⬡-SO$_2$-N(CH$_2$CH$_2$OH)$_2$

Table 1.142

Compd. No.	R^1 R^2 >(CH$_2$)$_i$—	k	m	n	chirality	R^3	$-(CH_2)_p\!\!-\!\!C\!\!R^4\!\!R^5\!\!-(CH_2)_q\!\!-G\!\!-R^6$
1552	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	
1553	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	
1554	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	
1555	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	
1556	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	
1557	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	
1558	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	
1559	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	
1560	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	
1561	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	
1562	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	

Table 1.143

Compd. No.	R^1 R^2 $(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{C}} (CH_2)_q G-R^6$
1563	$H_3C-\langle\rangle-CH_2-$	1	2	0	R	H	
1564	$H_3C-\langle\rangle-CH_2-$	1	2	0	R	H	
1565		1	2	0	R	H	
1566		1	2	0	R	H	
1567		1	2	0	R	H	
1568		1	2	0	R	H	
1569		1	2	0	R	H	
1570	$H_3CS-\langle\rangle-CH_2-$	2	2	1	-	H	
1571	$H_3CS-\langle\rangle-CH_2-$	2	2	1	-	H	
1572		2	2	1	-	H	
1573		2	2	1	-	H	

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Table 1.144

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{|}}-(CH_2)_q-G-R^6$
1574		2	2	1	-	H	
1575		2	2	1	-	H	
1576		2	2	1	-	H	
1577		2	2	1	-	H	
1578		2	2	1	-	H	
1579		2	2	1	-	H	
1580		2	2	1	-	H	
1581		2	2	1	-	H	
1582		2	2	1	-	H	
1583		1	2	0	R	H	
1584		1	2	0	R	H	

Table 1.145

Compd. No.	R^1 R^2 $>$ (CH$_2$)$_l$-	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{C}} (CH_2)_q-G-R^6$
1585	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— pyridyl-Br
1586	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— pyridyl-Cl
1587	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— phenyl-O-phenyl
1588	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— pyridyl-CH$_3$
1589	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— phenyl-CF$_3$, H$_2$N
1590	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— phenyl-OCF$_3$, H$_2$N
1591	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— pyridyl-Br
1592	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— pyridyl-Cl
1593	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— phenyl-O-phenyl
1594	dimethyl-isoxazolyl-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— phenyl-CF$_3$, H$_2$N
1595	dimethyl-isoxazolyl-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— phenyl-OCF$_3$, H$_2$N

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Table 1.146

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}$—(CH$_2$)$_i$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—$\overset{R^4}{\underset{R^5}{C}}$—(CH$_2$)$_q$—G—R^6
1596	3,4,5-trimethylisoxazol-4-yl-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—(5-bromopyridin-3-yl)
1597	3,4,5-trimethylisoxazol-4-yl-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—(4-chloropyridin-2-yl)
1598	3,4,5-trimethylisoxazol-4-yl-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—(3-phenoxyphenyl)
1599	3,4,5-trimethylisoxazol-4-yl-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—(6-methylpyridin-2-yl)
1600	Cl—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	⋯CH$_2$—NH—C(O)—(2-amino-5-trifluoromethylphenyl)
1601	Cl—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(2-amino-5-trifluoromethoxyphenyl)
1602	Cl—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(5-bromopyridin-3-yl)
1603	Cl—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(4-chloropyridin-2-yl)
1604	Cl—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(3-phenoxyphenyl)
1605	Cl—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(6-methylpyridin-2-yl)
1606	Cl—C$_6$H$_4$—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—(3-trifluoromethylthiophenyl)

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Table 1.147

Compd. No.	R^1 R^2 $\diagup$CH$-$(CH$_2$)$_i-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$-$CR^{4}R^5$-$(CH$_2$)$_q$$-G-$R^6
1607	H$_3$C$-$⟨⟩$-$CH$_2-$	1	2	0	R	H	$-$CH$_2-$NHC(O)$-$⟨⟩$-$SCF$_3$
1608	(3,5-dimethylisoxazol-4-yl)$-$CH$_2-$	1	2	0	R	H	$-$CH$_2-$NHC(O)$-$⟨⟩$-$SCF$_3$
1609	Cl$-$⟨⟩$-$CH$_2-$	2	2	1	-	H	$-$CH$_2-$NHC(O)$-$⟨⟩$-$SCF$_3$
1610	CF$_3$⟨⟩$-$NHC(O)$-$⟨⟩$-$CH$_2-$	2	2	1	-	H	$-$CH$_2-$NHC(O)$-$⟨⟩$-$CF$_3$
1611	Cl⟨⟩$-$NHC(O)$-$⟨⟩$-$CH$_2-$	2	2	1	-	H	$-$CH$_2-$NHC(O)$-$⟨⟩$-$CF$_3$
1612	H$_3$CO(CH$_2$)$-$NHC(O)$-$⟨⟩$-$CH$_2-$	2	2	1	-	H	$-$CH$_2-$NHC(O)$-$⟨⟩$-$CF$_3$
1613	H$_3$C⟨⟩(CH$_3$)$-$NHC(O)$-$⟨⟩$-$CH$_2-$	2	2	1	-	H	$-$CH$_2-$NHC(O)$-$⟨⟩$-$CF$_3$
1614	F$_3$CS$-$⟨⟩$-$CH$_2-$	1	2	0	R	H	$-$CH$_2-$NHC(O)$-$⟨⟩$-$CF$_3$
1615	F$_3$CS$-$⟨⟩$-$CH$_2-$	2	2	1	-	H	$-$CH$_2-$NHC(O)$-$⟨⟩$-$CF$_3$
1616	F$_3$CS$-$⟨⟩$-$CH$_2-$	2	2	1	-	H	$-$CH$_2-$NHC(O)$-$⟨⟩$-$Cl, H$_2$N
1617	F$_3$CS$-$⟨⟩$-$CH$_2-$	2	2	1	-	H	$-$CH$_2-$NHC(O)$-$⟨⟩$-$Br, H$_2$N

Table 1.148

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{C}} (CH_2)_q - G - R^6$
1618	HO, H₃CO–⟨⟩–CH₂–	1	2	0	R	H	–CH₂–NH–CO–⟨⟩–Br (3-Br)
1619	HO, H₃CO–⟨⟩–CH₂–	1	2	0	R	H	–CH₂–NH–CO–⟨⟩–OCF₃ (3-OCF₃)
1620	HO, H₃CO–⟨⟩–CH₂–	1	2	0	R	.H	–CH₂–NH–CO–⟨⟩–CF₃, F
1621	HO, H₃CO–⟨⟩–CH₂–	1	2	0	R	H	–CH₂–NH–CO–⟨⟩–CF₃, F
1622	HO, H₃CO–⟨⟩–CH₂–	1	2	0	R	H	–CH₂–NH–CO–⟨⟩–CF₃, F, F
1623	HO–⟨⟩–CH₂–	1	2	0	R	H	–CH₂–NH–CO–⟨⟩–Br (3-Br)
1624	HO–⟨⟩–CH₂–	1	2	0	R	H	–CH₂–NH–CO–⟨⟩–OCF₃ (3-OCF₃)
1625	HO–⟨⟩–CH₂–	1	2	0	R	H	–CH₂–NH–CO–⟨⟩–CF₃, F
1626	HO–⟨⟩–CH₂–	1	2	0	R	H	–CH₂–NH–CO–⟨⟩–CF₃, F
1627	HO–⟨⟩–CH₂–	1	2	0	R	H	–CH₂–NH–CO–⟨⟩–CF₃, F, F
1628	H₃CS–⟨⟩–CH₂–	1	2	0	R	H	–CH₂–NH–CO–⟨⟩–CF₃, F

Table 1.149

Compd. No.	R^1 R^2 $>$(CH$_2$)$_j$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$–$\overset{R^4}{\underset{R^5}{C}}$–(CH$_2$)$_q$–G–R^6
1629	H$_3$CS–⬡–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–⬡(CF$_3$, F)
1630	H$_3$C–furan–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–⬡(CF$_3$)
1631	H$_2$NCH$_2$–⬡–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–⬡(CF$_3$)
1632	CF$_3$–pyridine(Cl)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–⬡(CF$_3$)
1633	H$_3$CS, NC–pyridine–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–⬡(CF$_3$)
1634	(H$_3$C)$_2$CH–⬡–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–⬡(CF$_3$)
1635	H$_3$C–⬡–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–⬡–C(CH$_3$)$_3$
1636	H$_3$C–⬡–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO– (pinane: H$_3$C, H$_3$C, CH$_3$)
1637	isoxazole(CH$_3$)(CH$_3$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–⬡–(CH$_2$)$_4$CH$_3$
1638	isoxazole(CH$_3$)(CH$_3$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–⬡–O(CH$_2$)$_3$CH$_3$
1639	isoxazole(CH$_3$)(CH$_3$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–⬡(H$_2$N)–NH–CO–CH$_2$CH$_3$

Table 1.150

Compd. No.	R^1 $R^2$$>$$-(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p$$\overset{R^4}{\underset{R^5}{C}}$$(CH_2)_q-G-R^6$
1640	(3,4,5-trimethylisoxazol-CH₂–)	1	2	0	R	H	–CH₂–NHCO–C₆H₄–NH(CH₂)₃CH₃
1641	(3,4,5-trimethylisoxazol-CH₂–)	1	2	0	R	H	–CH₂–NHCO–C₆H₄–OCF₂CHClF
1642	(3,4,5-trimethylisoxazol-CH₂–)	1	2	0	R	H	–CH₂–NHCO–quinolinyl–NO₂
1643	(3,4,5-trimethylisoxazol-CH₂–)	1	2	0	R	H	–CH₂–NHCO–naphthyl
1644	(3,4,5-trimethylisoxazol-CH₂–)	1	2	0	R	H	–CH₂–NHCO–C₆H₄–CO–C₆H₅
1645	(6-Cl-benzodioxol-CH₂–)	1	2	0	R	H	–CH₂–NHCO–C₆H₄–CF₃
1646	(5-Br-furyl-CH₂–)	1	2	0	R	H	–CH₂–NHCO–C₆H₄–CF₃
1647	H₃C(CH₂)₃–C₆H₄–CH₂–	2	2	1	-	H	–CH₂–NHCO–C₆H₄–CF₃
1648	H₃C(CH₂)₃–C₆H₄–CH₂–	1	2	0	R	H	–CH₂–NHCO–C₆H₄–CF₃
1649	H₃C(CH₂)₂–C₆H₄–CH₂–	2	2	1	-	H	–CH₂–NHCO–C₆H₄–CF₃
1650	H₃C(CH₂)₂–C₆H₄–CH₂–	1	2	0	R	H	–CH₂–NHCO–C₆H₄–CF₃

Table 1.151

Compd. No.	$\begin{matrix}R^1\\R^2\end{matrix}C-$(CH$_2$)$_i$$-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$\begin{matrix}R^4\\|\\|\\R^5\end{matrix}$(CH$_2$)$_qG-$R^6
1651	H$_3$C(CH$_2$)$_2$-⟨⟩-CH$_2$-	2	2	1	-	H	
1652	H$_3$C(CH$_2$)$_3$-⟨⟩-CH$_2$-	2	2	1	-	H	
1653	H$_3$C(CH$_2$)$_2$-⟨⟩-CH$_2$-	2	2	1	-	H	
1654	H$_3$C(CH$_2$)$_2$-⟨⟩-CH$_2$-	2	2	1	-	H	
1655	H$_3$C(CH$_2$)$_3$-⟨⟩-CH$_2$-	2	2	1	-	H	
1656	H$_3$C(CH$_2$)$_3$-⟨⟩-CH$_2$-	2	2	1	-	H	
1657	H$_3$C(CH$_2$)$_2$-⟨⟩-CH$_2$-	2	2	1	-	H	
1658	H$_3$C(CH$_2$)$_2$-⟨⟩-CH$_2$-	2	2	1	-	H	
1659	Cl-⟨⟩-CH$_2$-	2	2	1	-	H	
1660	Br-⟨⟩-CH$_2$-	1	2	0	R	H	
1661	Br-⟨⟩-CH$_2$-	1	2	0	R	H	

Table 1.153

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}$ >CH–(CH$_2$)$_j$–	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q\!-\!G\!-\!R^6$
1673	H$_3$CCH$_2$–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	
1674	F–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	
1675	F–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	
1676	F–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	
1677	F–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	
1678	F–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	
1679	F–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	
1680	F–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	
1681	F–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	
1682	F–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	
1683	⟨C$_6$H$_5$⟩–NH–CO–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	-	H	

Table 1.155

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
1695	2,4-dimethylbenzyl (H₃C, CH₃; H₃C–, –CH₂–)	1	2	0	R	H	–CH₂–NH–C(=O)–(2-amino-5-bromophenyl)
1696	2,4-dimethylbenzyl	1	2	0	R	H	–CH₂–NH–C(=O)–(2-amino-5-iodophenyl)
1697	2,4-dimethylbenzyl	1	2	0	R	H	–CH₂–NH–C(=O)–(2-amino-5-chlorophenyl)
1698	2,4-dimethylbenzyl	1	2	0	R	H	–CH₂–NH–C(=O)–(2-amino-5-OCF₃-phenyl)
1699	2,4-dimethylbenzyl	1	2	0	R	H	–CH₂–NH–C(=O)–(2-amino-5-CF₃-phenyl)
1700	2,4-dimethylbenzyl	1	2	0	R	H	–CH₂–NH–C(=O)–(3-bromo-4-chlorophenyl)
1701	4-vinylbenzyl (H₂C=CH–C₆H₄–CH₂–)	1	2	0	R	H	–CH₂–NH–C(=O)–(2-amino-5-CF₃-phenyl)
1702	4-methoxybenzyl (H₃CO–C₆H₄–CH₂–)	1	2	0	R	H	–CH₂–NH–C(=O)–(2-amino-5-CF₃-phenyl)
1703	3,4-methylenedioxybenzyl	1	2	0	R	H	–CH₂–NH–C(=O)–(2-amino-5-CF₃-phenyl)
1704	4-hydroxybenzyl (HO–C₆H₄–CH₂–)	1	2	0	R	H	–CH₂–NH–C(=O)–(2-amino-5-CF₃-phenyl)
1705	2,4-dichlorobenzyl (Cl, Cl; –CH₂–)	1	2	0	R	H	–CH₂–NH–C(=O)–(2-amino-5-CF₃-phenyl)

Table 1.157

Compd. No.	R^1 R^2>$-(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{|}}(CH_2)_q-G-R^6$
1717	(2-H₃CO, 4-OCH₃-pyrimidin-5-yl)–CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-CF₃-C₆H₄)
1718	(1-phenyl-3,5-dimethyl-pyrazol-4-yl)–CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-CF₃-C₆H₄)
1719	(oxazol-2-yl)–CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-CF₃-C₆H₄)
1720	(H₃CO₂C-, 2-CH₃-, 6-CH₃-pyridin-5-yl)–CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-CF₃-C₆H₄)
1721	(4-H₃CCH₂-C₆H₄)–CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-CF₃-5-F-C₆H₃)
1722	(2,3-dihydrobenzofuran-5-yl)–CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-CF₃-5-F-C₆H₃)
1723	(indan-5-yl)–CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-CF₃-5-F-C₆H₃)
1724	(2,4-dimethyl-C₆H₃)–CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-CF₃-5-F-C₆H₃)
1725	(2,4,5-trimethyl-C₆H₂)–CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-CF₃-5-F-C₆H₃)
1726	(4-H₃CCH₂-C₆H₄)–CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-CF₃-4-F-C₆H₃)
1727	(2,3-dihydrobenzofuran-5-yl)–CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-CF₃-4-F-C₆H₃)

Table 1.159

Compd. No.	R^1 R^2 $>$(CH$_2$)$_i$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$$\overset{R^4}{\underset{R^5}{C}}$(CH$_2$)$_q$–G–R^6
1739	(H₃C)₂CH–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NHC(O)–C₆H₂(CF₃)(F)(F)
1740	(indanyl)–CH₂–	1	2	0	R	H	–CH₂–NHC(O)–C₆H₄(Br)
1741	H₃CS–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NHC(O)–C₆H₄(Br)
1742	H₃CCH₂–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NHC(O)–C₆H₄(Br)
1743	(dihydrobenzofuranyl)–CH₂–	1	2	0	R	H	–CH₂–NHC(O)–C₆H₄(Br)
1744	H₃C–⟨C₆H₃(CH₃)⟩–CH₂–	1	2	0	R	H	–CH₂–NHC(O)–C₆H₄(Br)
1745	H₃C–⟨C₆H₂(CH₃)(H₃C)⟩–CH₂–	1	2	0	R	H	–CH₂–NHC(O)–C₆H₄(Br)
1746	(H₃C)₂CH–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NHC(O)–C₆H₄(Br)
1747	(indanyl)–CH₂–	1	2	0	R	H	–CH₂–NHC(O)–C₆H₃(Br)(H₂N)
1748	H₃CCH₂–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	–CH₂–NHC(O)–C₆H₃(Br)(H₂N)
1749	H₃C–⟨C₆H₃(CH₃)⟩–CH₂–	1	2	0	R	H	–CH₂–NHC(O)–C₆H₃(Br)(H₂N)

Table 1.161

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}$ –(CH₂)ₖ–	k	m	n	chirality	R³	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
1761	H₃C—⟨benzene⟩—CH₂–	1	2	0	R	H	
1762	⟨isoxazole CH₃/CH₃⟩—CH₂–	1	2	0	R	H	
1763	⟨benzene⟩—CH₂–	2	2	0	-	H	
1764	⟨benzene⟩—CH₂–	2	2	0	-	H	
1765	⟨benzene⟩—CH₂–	2	2	0	-	H	
1766	⟨benzene⟩—CH₂–	2	2	0	-	H	
1767	Cl—⟨benzene⟩—CH₂–	1	3	1	-	H	
1768	Cl—⟨benzene⟩—CH₂–	1	3	1	-	H	
1769	⟨isoxazole CH₃/CH₃⟩—CH₂–	1	2	0	R	H	
1770	⟨isoxazole CH₃/CH₃⟩—CH₂–	1	2	0	R	H	
1771	⟨isoxazole CH₃/CH₃⟩—CH₂–	1	2	0	R	H	

Table 1.163

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}$-(CH$_2$)$_j$-	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$\begin{array}{c}R^4\\|\\R^5\end{array}$(CH$_2$)$_q$G-R^6
1783	NC⟨⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(CF$_3$)(NH$_2$)
1784	⟨⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(CF$_3$)(NH$_2$)
1785	CH$_3$(CH$_2$)$_2$-⟨⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(CF$_3$)(NH$_2$)
1786	⟨⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(CF$_3$)(NH$_2$)
1787	CH$_3$(CH$_2$)$_2$-⟨⟩-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(CF$_3$)(NH$_2$)
1788	(CH$_3$)$_2$C$_6$H$_3$-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(CF$_3$)(NH$_2$)
1789	H$_3$CO-⟨⟩-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(CF$_3$)(NH$_2$)
1790	Cl-⟨⟩-CH$_2$-	1	2	0	S	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(CF$_3$)(NH$_2$)
1791	Cl-⟨⟩-CH$_2$-	1	2	0	S	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(OCF$_3$)(NH$_2$)
1792	(CH$_3$)$_2$C$_6$H$_3$-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(F)$_2$(NH$_2$)
1793	Cl$_2$C$_6$H$_3$-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-C(O)-C$_6$H$_3$(F)$_2$(NH$_2$)

Table 1.165

Compd. No.	$\begin{matrix}R^1\\R^2\end{matrix}$ >−(CH₂)−	k	m	n	chirality	R³	−(CH₂)ₚ−$\begin{matrix}R^4\\ \\R^5\end{matrix}$−(CH₂)q−G−R⁶
1805	Br—⟨C₆H₄⟩—CH₂−	1	2	0	R	H	−CH₂−NH−C(=O)−⟨C₆H₄⟩−SCF₃
1806	H₃CO—⟨C₆H₄⟩—CH₂−	1	2	0	R	H	−CH₂−NH−C(=O)−⟨C₆H₄⟩−SCF₃
1807	(H₃CO, HO)⟨C₆H₃⟩—CH₂−	1	2	0	R	H	−CH₂−NH−C(=O)−⟨C₆H₄⟩−SCF₃
1808	(HO, H₃CO)⟨C₆H₃⟩—CH₂−	1	2	0	R	H	−CH₂−NH−C(=O)−⟨C₆H₄⟩−SCF₃
1809	HO—⟨C₆H₄⟩—CH₂−	1	2	0	R	H	−CH₂−NH−C(=O)−⟨C₆H₄⟩−SCF₃
1810	methylenedioxyphenyl−CH₂−	1	2	0	R	H	−CH₂−NH−C(=O)−⟨C₆H₄⟩−SCF₃
1811	indanyl−CH₂−	1	2	0	R	H	−CH₂−NH−C(=O)−⟨C₆H₄⟩−SCF₃
1812	H₃CS—⟨C₆H₄⟩—CH₂−	1	2	0	R	H	−CH₂−NH−C(=O)−⟨C₆H₄⟩−SCF₃
1813	H₃CCH₂—⟨C₆H₄⟩—CH₂−	1	2	0	R	H	−CH₂−NH−C(=O)−⟨C₆H₄⟩−SCF₃
1814	dihydrobenzofuranyl−CH₂−	1	2	0	R	H	−CH₂−NH−C(=O)−⟨C₆H₄⟩−SCF₃
1815	(H₃C, CH₂)⟨C₆H₃⟩—CH₂−	1	2	0	R	H	−CH₂−NH−C(=O)−⟨C₆H₄⟩−SCF₃

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Table 1.167

Compd. No.	R^1,R^2-(CH₂)ₗ-	k	m	n	chirality	R^3	$-(CH_2)_p-C(R^4)(R^5)-(CH_2)_q-G-R^6$
1827	(2,3-dihydrobenzofuran-5-yl)-CH₂-	1	2	0	R	H	-CH₂-NH-CO-C₆H₄-(3-OCHF₂)
1828	(3,4-dimethylphenyl)-CH₂- (H₃C, CH₃)	1	2	0	R	H	-CH₂-NH-CO-C₆H₄-(3-OCHF₂)
1829	(2,4,5-trimethylphenyl)-CH₂-	1	2	0	R	H	-CH₂-NH-CO-C₆H₄-(3-OCHF₂)
1830	(4-isopropylphenyl)-CH₂- (CH₃)₂CH-	1	2	0	R	H	-CH₂-NH-CO-C₆H₄-(3-OCHF₂)
1831	(4-bromophenyl)-CH₂- Br-	1	2	0	R	H	-CH₂-NH-CO-furyl-C(CH₃)₃
1832	(4-methoxyphenyl)-CH₂- H₃CO-	1	2	0	R	H	-CH₂-NH-CO-furyl-C(CH₃)₃
1833	(3-methoxy-4-hydroxyphenyl)-CH₂- H₃CO, HO-	1	2	0	R	H	-CH₂-NH-CO-furyl-C(CH₃)₃
1834	(3-hydroxy-4-methoxyphenyl)-CH₂- HO, H₃CO-	1	2	0	R	H	-CH₂-NH-CO-furyl-C(CH₃)₃
1835	(4-hydroxyphenyl)-CH₂- HO-	1	2	0	R	H	-CH₂-NH-CO-furyl-C(CH₃)₃
1836	(benzo[1,3]dioxol-5-yl)-CH₂-	1	2	0	R	H	-CH₂-NH-CO-furyl-C(CH₃)₃
1837	(indan-5-yl)-CH₂-	1	2	0	R	H	-CH₂-NH-CO-furyl-C(CH₃)₃

Table 1.169

Compd. No.	R^1 R^2 $>$ $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\!-\!\overset{\displaystyle R^4}{\underset{\displaystyle R^5}{C}}\!-\!(CH_2)_q\!-\!C\!-\!R^6$
1849	(indane)–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– biphenyl
1850	H₃CCH₂– C₆H₄ –CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– biphenyl
1851	H₃C– (CH₃)C₆H₃ –CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– biphenyl
1852	(benzofuran)–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– biphenyl
1853	H₃CO/HO– C₆H₃ –CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– C₆H₄–S(=O)–C₆H₅
1854	(indane)–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– C₆H₄–S(=O)–C₆H₅
1855	H₃CCH₂– C₆H₄ –CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– C₆H₄–S(=O)–C₆H₅
1856	H₃C– (CH₃)C₆H₃ –CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– C₆H₄–S(=O)–C₆H₅
1857	(benzofuran)–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– C₆H₄–S(=O)–C₆H₅
1858	Br– C₆H₄ –CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– C₆H₃(Br)(NH₂)
1859	H₃CO– C₆H₄ –CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)– C₆H₃(Br)(NH₂)

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Table 1.171

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}\!\!>\!\!(CH_2)_i-$	k	m	n	chirality	R^3	$-(CH_2)_p\!\!\begin{array}{c}R^4\\|\\\\R^5\end{array}\!\!(CH_2)_q\!-G\!-R^6$
1871	$\begin{array}{c}H_3CO\\HO\end{array}\!\!\diagdown\!\!-CH_2-$	1	2	0	R	H	
1872	$\begin{array}{c}HO\\H_3CO\end{array}\!\!\diagdown\!\!-CH_2-$	1	2	0	R	H	
1873	$HO-\!\!\diagdown\!\!-CH_2-$	1	2	0	R	H	
1874	$-CH_2-$ (benzodioxole)	1	2	0	R	H	
1875	$-CH_2-$ (indane)	1	2	0	R	H	
1876	$H_3CS-\!\!\diagdown\!\!-CH_2-$	1	2	0	R	H	
1877	$H_3CCH_2-\!\!\diagdown\!\!-CH_2-$	1	2	0	R	H	
1878	$-CH_2-$ (dihydrobenzofuran)	1	2	0	R	H	
1879	$\begin{array}{c}CH_3\\H_3C-\!\!\diagdown\!\!-CH_2-\\H_3C\end{array}$	1	2	0	R	H	
1880	$(CH_3)_2CH-\!\!\diagdown\!\!-CH_2-$	1	2	0	R	H	
1881	$(CH_3)_3C-\!\!\diagdown\!\!-CH_2-$	1	2	0	R	H	

Table 1.173

Compd. No.	$R^1R^2{>}(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p{-}CR^4R^5{-}(CH_2)_q{-}G{-}R^6$
1893	2,4,5-trimethylbenzyl (H_3C-, CH_3, H_3C) $-CH_2-$	1	2	0	R	H	$-CH_2-NH-C(=O)-$ (2-amino-5-nitrophenyl)
1894	$(CH_3)_2CH{-}C_6H_4{-}CH_2-$	1	2	0	R	H	$-CH_2-NH-C(=O)-$ (2-amino-5-nitrophenyl)
1895	$(CH_3)_3C{-}C_6H_4{-}CH_2-$	1	2	0	R	H	$-CH_2-NH-C(=O)-$ (2-amino-5-nitrophenyl)
1896	(3-hydroxy-4-methoxy) H_3CO-, HO- $-CH_2-$	1	2	0	R	H	$-CH_2-NH-C(=O)-$ (2-amino-5-OCF_3-phenyl)
1897	$H_3CS{-}C_6H_4{-}CH_2-$	1	2	0	R	H	$-CH_2-NH-C(=O)-$ (2-amino-5-OCF_3-phenyl)
1898	$H_3CCH_2{-}C_6H_4{-}CH_2-$	1	2	0	R	H	$-CH_2-NH-C(=O)-$ (2-amino-5-OCF_3-phenyl)
1899	$(CH_3)_2CH{-}C_6H_4{-}CH_2-$	1	2	0	R	H	$-CH_2-NH-C(=O)-$ (2-amino-5-OCF_3-phenyl)
1900	(3-methoxy-4-hydroxy) H_3CO-, HO- $-CH_2-$	1	2	0	R	H	$-CH_2-NH-C(=O)-$ (2-amino-5-OCF_3-phenyl)
1901	$H_3C(CH_2)_2{-}C_6H_4{-}CH_2-$	1	2	0	R	H	$-CH_2-NH-C(=O)-$ (2-amino-5-OCF_3-phenyl)
1902	(2,3-dihydrobenzofuran-5-yl) $-CH_2-$	1	2	0	R	H	$-CH_2-NH-C(=O)-$ (2-amino-5-OCF_3-phenyl)
1903	$(CH_3)_2CH{-}C_6H_4{-}CH_2-$	2	2	1	-	H	$-CH_2-NH-C(=O)-$ (2-amino-5-OCF_3-phenyl)

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Table 1.175

Compd. No.	R^1 / R^2 —(CH$_2$)$_i$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$— R^4/R^5 —(CH$_2$)$_q$—G—R^6
1915	H$_3$CCH$_2$O, HO— C$_6$H$_3$ —CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— benzene(OCF$_3$, H$_2$N)
1916	H$_3$C, HO— C$_6$H$_3$ —CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— benzene(OCF$_3$, H$_2$N)
1917	H$_3$CCH$_2$O, HO— C$_6$H$_3$ —CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)— benzene(OCF$_3$, H$_2$N)
1918	H$_3$C, HO— C$_6$H$_3$ —CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)— benzene(OCF$_3$, H$_2$N)
1919	Cl— C$_6$H$_3$(NH$_2$) —CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)— benzene(CF$_3$, H$_2$N)
1920	Cl— C$_6$H$_3$(NH$_2$) —CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)— benzene(F, F, H$_2$N)
1921	Cl— C$_6$H$_3$(NH$_2$) —CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— benzene(OCF$_2$, H$_2$N)
1922	Cl— C$_6$H$_3$(NH$_2$) —CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)— benzene(OCF$_3$, H$_2$N)
1923	Br— C$_6$H$_4$ —CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)— benzene(SCF$_3$)
1924	H$_3$CO— C$_6$H$_4$ —CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)— benzene(SCF$_3$)
1925	F— C$_6$H$_4$ —CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)— benzene(SCF$_3$)

Table 1.177

Compd. No.	R^1 >(CH_2)_j- R^2	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
1937	(CH₃)₂CH—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⟨C₆H₄⟩(SCF₃)
1938	Br—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⟨C₆H₃⟩(Br)(CH₃)
1939	H₃CO—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⟨C₆H₃⟩(Br)(CH₃)
1940	F—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⟨C₆H₃⟩(Br)(CH₃)
1941	F,F—⟨C₆H₃⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⟨C₆H₃⟩(Br)(CH₃)
1942	HO—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⟨C₆H₃⟩(Br)(CH₃)
1943	(methylenedioxyphenyl)—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⟨C₆H₃⟩(Br)(CH₃)
1944	(indanyl)—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⟨C₆H₃⟩(Br)(CH₃)
1945	H₃CS—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⟨C₆H₃⟩(Br)(CH₃)
1946	H₃CCH₂—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⟨C₆H₃⟩(Br)(CH₃)
1947	(dihydrobenzofuranyl)—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⟨C₆H₃⟩(Br)(CH₃)

Table 1.179
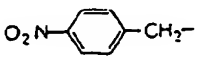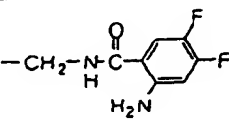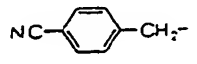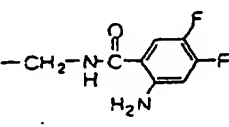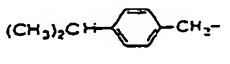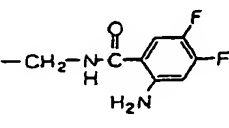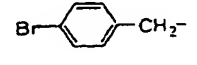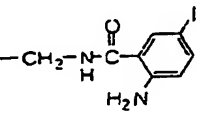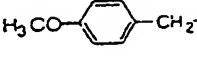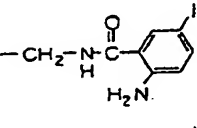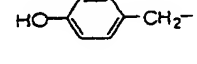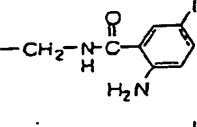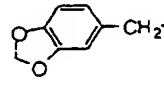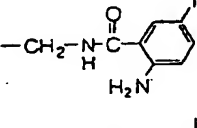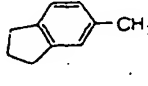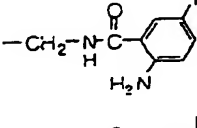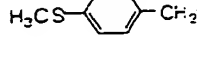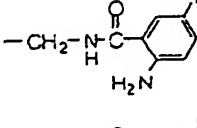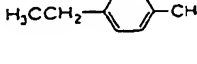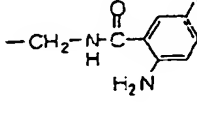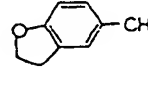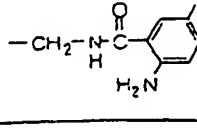
Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}$>—(CH$_2$)$_i$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—$\begin{array}{c}R^4\\ \mid \\ \mid \\ R^5\end{array}$—(CH$_2$)$_q$—G—R^6
1959	H$_3$CS—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—(3-Br, 4-F-phenyl)
1960	H$_3$CCH$_2$—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—(3-Br, 4-F-phenyl)
1961	(2,3-dihydrobenzofuran-5-yl)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—(3-Br, 4-F-phenyl)
1962	(2,4-dimethylphenyl)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—(3-Br, 4-F-phenyl)
1963	(2,4,5-trimethylphenyl)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—(3-Br, 4-F-phenyl)
1964	O$_2$N—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—(3-Br, 4-F-phenyl)
1965	H$_3$C—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—(3-Br, 4-F-phenyl)
1966	(CH$_3$)$_2$CH—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—(3-Br, 4-F-phenyl)
1967	Br—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—(5-F, 2-H$_2$N-phenyl)
1968	H$_3$CO—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—(5-F, 2-H$_2$N-phenyl)
1969	HO—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(=O)—(5-F, 2-H$_2$N-phenyl)

Table 1.181

Compd. No.	R¹R²C<(CH₂)ᵢ–	k	m	n	chirality	R³	–(CH₂)ₚCR⁴R⁵(CH₂)ᵩ-G-R⁶
1981	O₂N-⟨C₆H₄⟩-CH₂–	2	2	1	-	H	-CH₂-NHC(O)-C₆H₂(F)(F)(NH₂)
1982	NC-⟨C₆H₄⟩-CH₂–	2	2	1	-	H	-CH₂-NHC(O)-C₆H₂(F)(F)(NH₂)
1983	(CH₃)₂CH-⟨C₆H₄⟩-CH₂–	2	2	1	-	H	-CH₂-NHC(O)-C₆H₂(F)(F)(NH₂)
1984	Br-⟨C₆H₄⟩-CH₂–	2	2	1	-	H	-CH₂-NHC(O)-C₆H₃(I)(NH₂)
1985	H₃CO-⟨C₆H₄⟩-CH₂–	2	2	1	-	H	-CH₂-NHC(O)-C₆H₃(I)(NH₂)
1986	HO-⟨C₆H₄⟩-CH₂–	2	2	1	-	H	-CH₂-NHC(O)-C₆H₃(I)(NH₂)
1987	(methylenedioxyphenyl)-CH₂–	2	2	1	-	H	-CH₂-NHC(O)-C₆H₃(I)(NH₂)
1988	(indanyl)-CH₂–	2	2	1	-	H	-CH₂-NHC(O)-C₆H₃(I)(NH₂)
1989	H₃CS-⟨C₆H₄⟩-CH₂–	2	2	1	-	H	-CH₂-NHC(O)-C₆H₃(I)(NH₂)
1990	H₃CCH₂-⟨C₆H₄⟩-CH₂–	2	2	1	-	H	-CH₂-NHC(O)-C₆H₃(I)(NH₂)
1991	(dihydrobenzofuranyl)-CH₂–	2	2	1	-	H	-CH₂-NHC(O)-C₆H₃(I)(NH₂)

Table 1.183

Compd. No.	R^1 R^2 —(CH₂)ⱼ—	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{C}} (CH_2)_q - G - R^6$
2003	indanyl-CH₂–	2	2	1	-	H	–CH₂–NH–C(=O)–(3-Cl-phenyl)
2004	H₃CS–⟨phenyl⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(=O)–(3-Cl-phenyl)
2005	H₃CCH₂–⟨phenyl⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(=O)–(3-Cl-phenyl)
2006	H₃C–⟨phenyl(CH₃)⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(=O)–(3-Cl-phenyl)
2007	O₂N–⟨phenyl⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(=O)–(3-Cl-phenyl)
2008	H₃C–⟨phenyl⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(=O)–(3-Cl-phenyl)
2009	NC–⟨phenyl⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(=O)–(3-Cl-phenyl)
2010	(CH₃)₂CH–⟨phenyl⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(=O)–(3-Cl-phenyl)
2011	H₃C–⟨phenyl(CH₃)₂⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(=O)–(3-Cl-phenyl)
2012	Br–⟨phenyl⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(=O)–(3-Br-4-Cl-phenyl)
2013	H₃CO–⟨phenyl⟩–CH₂–	2	2	1	-	H	–CH₂–NH–C(=O)–(3-Br-4-Cl-phenyl)

Table 1.185

Compd. No.	$\begin{smallmatrix}R^1\\R^2\end{smallmatrix}$ $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{\displaystyle R^4}{\underset{\displaystyle R^5}{C}}(CH_2)_q-G-R^6$
2025	(2,4,5-trimethylbenzyl) CH_2-	2	2	1	-	H	$-CH_2-\overset{O}{\overset{\|}{N}}C-$ (3-Br, 4-Cl phenyl)
2026	(2,4-difluorobenzyl) CH_2-	2	2	1	-	H	$-CH_2-\overset{O}{\overset{\|}{N}}C-$ (3-Br, 4-Cl phenyl)
2027	Br—⟨⟩—CH_2-	2	2	1	-	H	$-CH_2-\overset{O}{\overset{\|}{N}}C-$ (5-Br, 2-H_2N phenyl)
2028	H_3CO—⟨⟩—CH_2-	2	2	1	-	H	$-CH_2-\overset{O}{\overset{\|}{N}}C-$ (5-Br, 2-H_2N phenyl)
2029	HO—⟨⟩—CH_2-	2	2	1	-	H	$-CH_2-\overset{O}{\overset{\|}{N}}C-$ (5-Br, 2-H_2N phenyl)
2030	(benzodioxol-5-yl) CH_2-	2	2	1	-	H	$-CH_2-\overset{O}{\overset{\|}{N}}C-$ (5-Br, 2-H_2N phenyl)
2031	(indan-5-yl) CH_2-	2	2	1	-	H	$-CH_2-\overset{O}{\overset{\|}{N}}C-$ (5-Br, 2-H_2N phenyl)
2032	(2,3-dihydrobenzofuran-5-yl) CH_2-	2	2	1	-	H	$-CH_2-\overset{O}{\overset{\|}{N}}C-$ (5-Br, 2-H_2N phenyl)
2033	(2,4-dimethylbenzyl) CH_2-	2	2	1	-	H	$-CH_2-\overset{O}{\overset{\|}{N}}C-$ (5-Br, 2-H_2N phenyl)
2034	O_2N—⟨⟩—CH_2-	2	2	1	-	H	$-CH_2-\overset{O}{\overset{\|}{N}}C-$ (5-Br, 2-H_2N phenyl)
2035	H_3C—⟨⟩—CH_2-	2	2	1	-	H	$-CH_2-\overset{O}{\overset{\|}{N}}C-$ (5-Br, 2-H_2N phenyl)

Table 1.187

Compd. No.	R^1 R^2 $(CH_2)_l-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
2047	3,5-dimethylisoxazol-4-yl-CH$_2$-	1	2	0	R	H	(structure)
2048	3,5-dimethylisoxazol-4-yl-CH$_2$-	1	2	0	R	H	(structure)
2049	3,5-dimethylisoxazol-4-yl-CH$_2$-	1	2	0	R	H	(structure)
2050	5-methylthiophen-2-yl-CH$_2$-	1	2	0	R	H	(structure)
2051	6-methylpyridin-2-yl-CH$_2$-	1	2	0	R	H	(structure)
2052	(structure)-CH$_2$-	2	2	1	·	H	(structure)
2053	(structure)-CH$_2$-	2	2	1	·	H	(structure)
2054	(structure)-CH$_2$-	2	2	1	·	H	(structure)
2055	(structure)-CH$_2$-	2	2	1	·	H	(structure)
2056	(structure)-CH$_2$-	2	2	1	·	H	(structure)
2057	(structure)-CH$_2$-	2	2	1	·	H	(structure)

Table 1.189

Compd. No.	R^1 R^2—(CH$_2$)$_l$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—CR^{4}R^5—(CH$_2$)$_q$—G—R^6
2069	H$_3$CO—, H$_3$C— benzyl —CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO— (2-NH$_2$, 4,5-diF-phenyl)
2070	Br—, OCH$_3$— benzyl —CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO— (2-NH$_2$, 4,5-diF-phenyl)
2071	H$_3$CO—, OCH$_3$— benzyl —CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO— (2-NH$_2$, 4,5-diF-phenyl)
2072	(H$_3$C)$_2$CHO— benzyl —CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO— (2-NH$_2$, 4,5-diF-phenyl)
2073	benzyloxy benzyl —CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO— (2-NH$_2$, 4,5-diF-phenyl)
2074	H$_3$CO—phenyl—O— benzyl —CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO— (2-NH$_2$, 4,5-diF-phenyl)
2075	H$_3$CO—, F— benzyl —CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO— (2-NH$_2$, 4,5-diF-phenyl)
2076	F—, F— benzyl —CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO— (2-NH$_2$, 4,5-diF-phenyl)
2077	Cl—, OH— benzyl —CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO— (2-NH$_2$, 4,5-diF-phenyl)
2078	H$_3$CCH$_2$O—, OH— benzyl —CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO— (2-NH$_2$, 4,5-diF-phenyl)
2079	benzyl—CH$_2$O—, H$_3$CO— benzyl —CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO— (2-NH$_2$, 4,5-diF-phenyl)

Table 1.191

Compd. No.	R^1/R^2—(CH₂)ₗ—	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
2091	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	—CH—NH—CO—C₆H₄(3-OCH₂CH₃); CH₂—C₆H₅ (R)
2092	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	(R) —CH—NH—CO—C₆H₄(3-OCH₂CH₃); CH₂—indole(NH)
2093	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	(R) —CH—NH—CO—C₆H₄(3-OCH₂CH₃); CH₂CH₂SCH₃
2094	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	(R) —CH—NH—CO—C₆H₄(3-OCH₂CH₃); CH₂—thienyl(S)
2095	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	(R) —CH—NH—CO—C₆H₄(3-OCH₂CH₃); C(CH₃)₃
2096	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	(R) —CH—NH—CO—C₆H₄(3-OCH₂CH₃); CH₂—cyclohexyl
2097	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	(R) —CH—NH—CO—C₆H₄(3-OCH₂CH₃); CH₂CH₂CH₃
2098	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	(R) —CH—NH—CO—C₆H₄(3-OCH₂CH₃); CH₂—C₆H₄—Cl
2099	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	( ) —CH—NH—CO—C₆H₄(3-OCH₂CH₃); C₆H₅
2100	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	(R) —CH—NH—CO—C₆H₄(3-OCH₂CH₃); CH₂—C₆H₄—OCH₃
2101	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	(R) —CH—NH—CO—C₆H₄(3-OCH₂CH₃); CH₂—C₆H₄—OCH₂—C₆H₅

Table 1.193

Compd. No.	R^1R^2CH$-$(CH$_2$)$_i-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$CR^{4}R^5(CH$_2$)$_q$$-G-$R^6
2113	H$_2$N, H$_3$CO-benzyl ($-$CH$_2-$)	2	2	1	·	H	$-$CH$_2-$NH$-$C(=O)$-$(2-NH$_2$,4,5-diF-phenyl)
2114	H$_2$N, H$_3$C-benzyl ($-$CH$_2-$)	2	2	1	·	H	$-$CH$_2-$NH$-$C(=O)$-$(2-NH$_2$,4,5-diF-phenyl)
2115	Cl-benzyl ($-$CH$_2-$)	2	2	1	·	H	(R) $-$CH(CH(CH$_3$)$_2$)$-$NH$-$C(=O)$-$(3-OCH$_2$CH$_3$-phenyl)
2116	Cl-benzyl ($-$CH$_2-$)	2	2	1	·	H	(R) $-$CH(CH(CH$_3$)CH$_2$CH$_3$)$-$NH$-$C(=O)$-$(3-OCH$_2$CH$_3$-phenyl)
2117	Cl-benzyl ($-$CH$_2-$)	2	2	1	·	H	$-$CH(CH$_2$-imidazol-NH)$-$NH$-$C(=O)$-$(3-OCH$_2$CH$_3$-phenyl)
2118	HO, HO-benzyl ($-$CH$_2-$)	1	2	0	R	H	$-$CH$_2-$NH$-$C(=O)$-$(2-NH$_2$,5-CF$_3$-phenyl)
2119	OH, HO-benzyl ($-$CH$_2-$)	1	2	0	R	H	$-$CH$_2-$NH$-$C(=O)$-$(2-NH$_2$,5-CF$_3$-phenyl)
2120	F, Br-benzyl ($-$CH$_2-$)	1	2	0	R	H	$-$CH$_2-$NH$-$C(=O)$-$(2-NH$_2$,5-CF$_3$-phenyl)
2121	OCH$_3$, HO-benzyl ($-$CH$_2-$)	1	2	0	R	H	$-$CH$_2-$NH$-$C(=O)$-$(2-NH$_2$,5-CF$_3$-phenyl)
2122	F, Cl-benzyl ($-$CH$_2-$)	1	2	0	R	H	$-$CH$_2-$NH$-$C(=O)$-$(2-NH$_2$,5-CF$_3$-phenyl)
2123	methylenedioxy, NO$_2$-benzyl ($-$CH$_2-$)	1	2	0	R	H	$-$CH$_2-$NH$-$C(=O)$-$(2-NH$_2$,5-CF$_3$-phenyl)

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Table 1.195

Compd. No.	R^1R^2CH–(CH$_2$)$_k$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$CR^4R^5(CH$_2$)$_q$G–R^6
2135	2-(H$_3$C)$_2$N-4-(H$_3$CO)-benzyl	1	2	0	R	H	–CH$_2$–NH–CO–(2-NH$_2$-5-CF$_3$-phenyl)
2136	2-(H$_3$C)$_2$N-3-(H$_3$C)-benzyl	1	2	0	R	H	–CH$_2$–NH–CO–(2-NH$_2$-5-CF$_3$-phenyl)
2137	2-CH$_3$-4-Cl-benzyl	1	2	0	R	H	–CH$_2$–NH–CO–(2-NH$_2$-5-CF$_3$-phenyl)
2138	(1-phenyl-3,5-dimethyl-pyrazol-4-yl)-CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(2-NH$_2$-5-CF$_3$-phenyl)
2139	(1-H$_3$C-5-Cl-3-CH$_3$-pyrazol-4-yl)-CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(2-NH$_2$-5-CF$_3$-phenyl)
2140	(amino-methylenedioxy-benzyl)-CH$_2$–	2	2	1	-	H	–CH$_2$–NH–CO–(2-NH$_2$-4,5-F-phenyl)
2141	2-H$_2$N-4-HO-benzyl	2	2	1	-	H	–CH$_2$–NH–CO–(2-NH$_2$-4,5-F-phenyl)
2142	2-H$_2$N-4-Cl-benzyl	2	2	1	-	H	–CH$_2$–NH–CO–(2-NH$_2$-4,5-F-phenyl)
2143	(acetamido-methylenedioxy-benzyl)-CH$_2$–	2	2	1	-	H	–CH$_2$–NH–CO–(2-NH$_2$-4,5-F-phenyl)
2144	2-H$_2$N-4-H$_3$CO-benzyl	2	2	1	-	H	–CH$_2$–NH–CO–(2-NH$_2$-5-CF$_3$-phenyl)
2145	2-H$_2$N-4-HO-benzyl	2	2	1	-	H	–CH$_2$–NH–CO–(2-NH$_2$-5-CF$_3$-phenyl)

Table 1.197

Compd. No.	R^1 R^2 (CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$ R^4 R^5 (CH$_2$)$_q$—G—R^6
2157		1	2	0	R	H	
2158		1	2	0	R	H	
2159		2	2	1	-	H	
2160		2	2	1	-	H	
2161		2	2	1	-	H	
2162		2	2	1	-	H	
2163		2	2	1	-	H	
2164		1	2	0	R	H	
2165		1	2	0	R	H	
2166		1	2	0	R	H	
2167		1	2	0	R	H	

Table 1.199

Compd. No.	R^1 / R^2-(CH$_2$)$_i$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-CR^4R^5-(CH$_2$)$_q$-G-R^6
2179	1-acetyl-indol-3-yl-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-NH$_2$-5-CF$_3$-phenyl)
2180	Cl-phenyl-(CH$_2$)$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-NH$_2$-5-CF$_3$-phenyl)
2181	5-H$_3$CO-benzimidazol-2-yl-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-NH$_2$-5-CF$_3$-phenyl)
2182	2-H$_3$C-thiazol-4-yl-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-NH$_2$-5-CF$_3$-phenyl)
2183	benzothiazol-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-NH$_2$-5-CF$_3$-phenyl)
2184	benzothiazol-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-CO-(2-NH$_2$-4,5-F$_2$-phenyl)
2185	benzothiazol-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-CO-(2-NH$_2$-5-CF$_3$-phenyl)
2186	benzimidazol-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-CO-(2-NH$_2$-5-CF$_3$-phenyl)
2187	2-H$_2$N-4-HO-phenyl-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-NH$_2$-5-CF$_3$-phenyl)
2188	benzoxazol-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-CO-(2-NH$_2$-5-CF$_3$-phenyl)
2189	benzoxazol-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-NH$_2$-5-CF$_3$-phenyl)

Table 1.201

Compd. No.	$\begin{matrix}R^1\\R^2\end{matrix}$-(CH₂)ⱼ-	k	m	n	chirality	R³	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
2201	H₃C-NH—, H₃C—, -CH₂-	2	2	1	-	H	-CH₂-N(H)-C(O)- phenyl(F, F, NH₂)
2202	benzoxazole-2-thione -CH₂-	1	2	0	R	H	-CH₂-N(H)-C(O)- phenyl(CF₃, NH₂)
2203	benzoxazole -CH₂-	2	2	1	-	H	-CH₂-N(H)-C(O)- phenyl(F, F, NH₂)
2204	Cl—, CH₃—, -CH₂-	2	2	1	-	H	-CH₂-N(H)-C(O)- phenyl(CF₃, NH₂)
2205	Cl—, CH₃—, -CH₂-	2	2	1	-	H	-CH₂-N(H)-C(O)- phenyl(F, F, NH₂)
2206	HO—, CH₃—, -CH₂-	2	2	1	-	H	-CH₂-N(H)-C(O)- phenyl(CF₃, NH₂)
2207	HO—, CH₃—, -CH₂-	2	2	1	-	H	-CH₂-N(H)-C(O)- phenyl(F, F, NH₂)
2208	Cl—, HN-CH₃—, -CH₂-	2	2	1	-	H	-CH₂-N(H)-C(O)- phenyl(CF₃, NH₂)
2209	Cl—, HN-CH₃—, -CH₂-	2	2	1	-	H	-CH₂-N(H)-C(O)- phenyl(F, F, NH₂)
2210	indole -CH₂-	1	2	0	R	H	-CH₂-N(H)-C(O)- phenyl(CF₃, NH₂)
2211	indole -CH₂-	2	2	1	-	H	-CH₂-N(H)-C(O)- phenyl(CF₃, NH₂)

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Table 1.203

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}$>—(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—C(R^4)(R^5)—(CH$_2$)$_q$—G—R^6
2223	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)-pyrazole(CH$_3$)-N-(3-CF$_3$-phenyl)
2224	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)-pyrimidine-O-(3-CF$_3$-phenyl)
2225	Cl ⟨C$_6$H$_4$⟩ CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)-pyrazole(CH$_3$)-N-(3,5-(CF$_3$)$_2$-phenyl)
2226	H$_3$C-N, Cl-pyrazole-CH$_3$-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)-(2-NH$_2$-5-CF$_3$-phenyl)
2227	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)-phenyl(CF$_3$)-NH-C(O)-NH-(4-N(CH$_3$)$_2$-phenyl)
2228	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)-phenyl(CF$_3$)-NH-C(O)-NH-(4-CF$_3$-phenyl)
2229	methylenedioxy-CH$_3$-phenyl-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)-(2-NH$_2$-5-OCF$_3$-phenyl)
2230	H$_3$CCH$_2$-CH$_3$-phenyl-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)-(2-NH$_2$-5-OCF$_3$-phenyl)
2231	H$_3$CO-CH$_3$-phenyl-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)-(2-NH$_2$-5-OCF$_3$-phenyl)
2232	H$_3$C-, H$_3$CO-phenyl-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)-(2-NH$_2$-4-OCF$_3$-phenyl)
2233	indol-3-yl-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)-(2-NH$_2$-5-CF$_3$-phenyl)

Table 1.205

Compd. No.	R^1R^2CH-$(CH_2)_l$-	k	m	n	chirality	R^3	-$(CH_2)_p$CR^4R^5-$(CH_2)_q$-G-R^6
2245	H$_3$C-NH-(benzoxazol-2-yl-amino)benzoxazol-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-amino-5-trifluoromethylphenyl)
2246	H$_3$CCH$_2$-NH-benzoxazol-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-amino-5-trifluoromethylphenyl)
2247	(H$_3$C)$_2$CH-NH-benzoxazol-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-amino-5-trifluoromethylphenyl)
2248	(3-amino-4-chloro)phenyl-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-amino-5-trifluoromethoxyphenyl)
2249	(3-amino-4-methoxy)phenyl-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-amino-5-trifluoromethoxyphenyl)
2250	(3-amino-4-hydroxy)phenyl-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-amino-5-trifluoromethoxyphenyl)
2251	(3-amino-4-methyl)phenyl-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-amino-5-trifluoromethoxyphenyl)
2252	(1H-indol-3-yl)-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-CO-(2-amino-5-trifluoromethylphenyl)
2253	(5-fluoro-1H-indol-3-yl)-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-CO-(2-amino-5-trifluoromethylphenyl)
2254	(5-methoxy-1H-indol-3-yl)-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-CO-(2-amino-5-trifluoromethylphenyl)
2255	(6-methyl-1H-indol-3-yl)-CH$_2$-	2	2	1	-	H	-CH$_2$-NH-CO-(2-amino-5-trifluoromethylphenyl)

Table 1.207

Compd. No.	$\overset{R^1}{\underset{R^2}{\diagup}}\!\!\!>\!\!(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{\overset{|}{C}}}(CH_2)_q\!-\!G\!-\!R^6$
2267	3,4-diCl-benzyl (Cl, Cl)—CH₂—	2	2	1	-	H	(S) —CH(CH₃)—NH—C(=O)—(3,4-diCl-phenyl)
2268	4-Cl-benzyl —CH₂—	2	2	1	-	H	(S) —CH(CH₃)—NH—C(=O)—(3,4-diCl-phenyl)
2269	H₃CS—C₆H₄—CH₂—	2	2	1	-	H	(S) —CH(CH₃)—NH—C(=O)—(3,4-diCl-phenyl)
2270	3,4-diCl-benzyl (Cl, Cl)—CH₂—	2	2	1	-	H	(S) —CH(CH₃)—NH—C(=O)—NH—phenyl
2271	4-Cl-benzyl —CH₂—	2	2	1	-	H	(S) —CH(CH₃)—NH—C(=O)—NH—phenyl
2272	H₃CS—C₆H₄—CH₂—	2	2	1	-	H	(S) —CH(CH₃)—NH—C(=O)—NH—phenyl
2273	3,4-diCl-benzyl (Cl, Cl)—CH₂—	2	2	1	-	H	(S) —CH(CH(CH₃)₂)—NH—C(=O)—(3,4-diCl-phenyl)
2274	H₃CS—C₆H₄—CH₂—	2	2	1	-	H	(S) —CH(CH(CH₃)₂)—NH—C(=O)—(3,4-diCl-phenyl)
2275	3,4-diCl-benzyl (Cl, Cl)—CH₂—	2	2	1	-	H	(S) —CH(CH(CH₃)₂)—NH—C(=O)—NH—phenyl
2276	4-Cl-benzyl —CH₂—	2	2	1	-	H	(S) —CH(CH(CH₃)₂)—NH—C(=O)—NH—phenyl
2277	H₃CS—C₆H₄—CH₂—	2	2	1	-	H	(S) —CH(CH(CH₃)₂)—NH—C(=O)—NH—phenyl

Table 1.209

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}$>(CH$_2$)$_j$–	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q\text{-}G\text{-}R^6$
2289	Cl-Cl-C$_6$H$_3$-CH$_2$–	2	2	1	-	H	(S) –CH-N-C(O)-N-H-phenyl ; (CH$_2$)$_2$CONH$_2$
2290	Cl-Cl-C$_6$H$_3$-CH$_2$–	2	2	1	-	H	(S) –CH-N-C(O)-(3,4-diCl-phenyl) ; CH$_2$OH
2291	Cl-C$_6$H$_4$-CH$_2$–	2	2	1	-	H	(S) –CH-N-C(O)-(3,4-diCl-phenyl) ; CH$_2$OH
2292	H$_3$CS-C$_6$H$_4$-CH$_2$–	2	2	1	-	H	(S) –CH-N-C(O)-(3,4-diCl-phenyl) ; CH$_2$OH
2293	Cl-Cl-C$_6$H$_3$-CH$_2$–	2	2	1	-	H	(S) –CH-N-C(O)-N-H-phenyl ; CH$_2$OH
2294	Cl-C$_6$H$_4$-CH$_2$–	2	2	1	-	H	(S) –CH-N-C(O)-N-H-phenyl ; CH$_2$OH
2295	H$_3$CS-C$_6$H$_4$-CH$_2$–	2	2	1	-	H	(S) –CH-N-C(O)-N-H-phenyl ; CH$_2$OH
2296	Cl-Cl-C$_6$H$_3$-CH$_2$–	1	2	0	R	H	(S) –CH-N-C(O)-(3,4-diCl-phenyl) ; (CH$_2$)$_2$SO$_2$CH$_3$
2297	H$_3$CS-C$_6$H$_4$-CH$_2$–	1	2	0	R	H	(S) –CH-N-C(O)-(3,4-diCl-phenyl) ; (CH$_2$)$_2$SO$_2$CH$_3$
2298	Cl-Cl-C$_6$H$_3$-CH$_2$–	1	2	0	R	H	(S) –CH-N-C(O)-N-H-phenyl ; (CH$_2$)$_2$SO$_2$CH$_3$
2299	H$_3$CS-C$_6$H$_4$-CH$_2$–	1	2	0	R	H	(S) –CH-N-C(O)-N-H-phenyl ; (CH$_2$)$_2$SO$_2$CH$_3$

Table 1.211

Compd. No.	R¹>(CH₂)ᵢ− / R²	k	m	n	chirality	R³	−(CH₂)ₚ−C(R⁴)(R⁵)−(CH₂)q−G−R⁶
2311	H₃CS—⟨C₆H₄⟩—CH₂−	1	2	0	S	H	(S) −CH(−(CH₂)₂SO₂CH₃)−NH−C(=S)−NH−C₆H₅
2312	H₃CS—⟨C₆H₄⟩—CH₂−	1	2	0	R	H	(S) −CH(CH₃)−NH−C(=O)−C₆H₃(CF₃)(NH₂)
2313	Cl,Cl—⟨C₆H₃⟩—CH₂−	1	2	0	R	H	(S) −CH(CH₃)−NH−C(=O)−C₆H₃(Cl)(Cl)
2314	H₃CS—⟨C₆H₄⟩—CH₂−	1	2	0	S	H	(S) −CH(CH₃)−NH−C(=O)−NH−C₆H₅
2315	Cl—⟨C₆H₄⟩—CH₂−	2	2	1	-	H	(S) −CH(CH(CH₃)₂)−NH−C(=O)−C₆H₃(Cl)(Cl)
2316	Cl—⟨C₆H₄⟩—CH₂−	1	2	0	S	H	(S) −CH(−(CH₂)₂SO₂CH₃)−NH−C(=O)−C₆H₃(NH₂)(CF₃)
2317	Cl,Cl—⟨C₆H₃⟩—CH₂−	2	2	1	-	H	(S) −CH(CH₂OH)−NH−C(=O)−C₆H₃(NH₂)(CF₃)
2318	Cl,Cl—⟨C₆H₃⟩—CH₂−	1	2	0	R	H	(S) −CH(−(CH₂)₂SO₂CH₃)−NH−C(=S)−NH−C₆H₅
2319	Cl,Cl—⟨C₆H₃⟩—CH₂−	2	2	1	-	H	(S) −CH(CH(CH₃)₂)−NH−C(=S)−NH−C₆H₅
2320	Cl—⟨C₆H₄⟩—CH₂−	2	2	1	-	H	(S) −CH(CH(CH₃)₂)−NH−C(=S)−NH−C₆H₅
2321	H₃CS—⟨C₆H₄⟩—CH₂−	2	2	1	-	H	(S) −CH(CH(CH₃)₂)−NH−C(=S)−NH−C₆H₅

Table 1.213

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}\Big\rangle\!\!-\!(CH_2)_i\!-$	k	m	n	chirality	R^3	$-(CH_2)_p\!\!-\!\!\underset{R^5}{\overset{R^4}{C}}\!\!-\!(CH_2)_q\!-\!G\!-\!R^6$
2333	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	(S) −CH−N−C−N−⟨ ⟩ ; (CH₂)₂SO₂CH₃
2334	H₃CS—⟨ ⟩—CH₂—	1	2	0	S	H	(S) −CH−N−C—⟨ ⟩ Cl,Cl ; (CH₂)₂SO₂CH₃
2335	Cl,Cl—⟨ ⟩—CH₂—	1	2	0	S	H	(S) −CH−N−C−N—⟨ ⟩ ; (CH₂)₂SO₂CH₃
2336	Cl—⟨ ⟩—CH₂—	1	2	0	S	H	(S) −CH−N−C−N—⟨ ⟩ ; (CH₂)₂SO₂CH₃
2337	H₃CS—⟨ ⟩—CH₂—	1	2	0	S	H	(S) −CH−N−C−N—⟨ ⟩ ; (CH₂)₂SO₂CH₃
2338	H₃CS—⟨ ⟩—CH₂—	2	2	1	-	H	(S) −CH−N−C−N—⟨ ⟩ ; (CH₂)₂CONH₂
2339	Cl—⟨ ⟩—CH₂—	2	2	1	-	H	(S) −CH−N−C—⟨ ⟩ NH₂, CF₃ ; (CH₂)₂CONH₂
2340	H₃CS—⟨ ⟩—CH₂—	2	2	1	-	H	(S) −CH−N−C—⟨ ⟩ NH₂, CF₃ ; (CH₂)₂CONH₂
2341	Cl—⟨ ⟩—CH₂—	2	2	1	-	H	(S) −CH−N−C—⟨ ⟩ NH₂, CF₃ ; CH₂OH
2342	H₃CS—⟨ ⟩—CH₂—	2	2	1	-	H	(S) −CH−N−C—⟨ ⟩ NH₂, CF₃ ; CH₂OH
2343	Cl,Cl—⟨ ⟩—CH₂—	2	2	1	-	H	(S) −CH−N−C—⟨ ⟩ Cl,Cl ; (CH₂)₂CONH₂

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Table 1.215

Compd. No.	R^1, R^2 >(CH$_2$)$_j$-	k	m	n	chirality	R^3	$-(CH_2)_p$-CR^{4}R^5-(CH$_2$)$_q$-G-R^6
2355	3,4-dichlorobenzyl –CH$_2$–	1	2	0	R	H	(S) –CH(–(CH$_2$)$_2$SO$_2$CH$_3$)–NH–C(O)–(2,3-dichlorophenyl)
2356	3,4-dichlorobenzyl –CH$_2$–	1	2	0	R	H	(S) –CH(–(CH$_2$)$_2$SO$_2$CH$_3$)–NH–C(O)–(3,5-dichlorophenyl)
2357	3,4-dichlorobenzyl –CH$_2$–	1	2	0	R	H	(S) –CH(–(CH$_2$)$_2$SO$_2$CH$_3$)–NH–C(O)–(5-chlorothiophen-2-yl)
2358	3,4-dichlorobenzyl –CH$_2$–	1	2	0	R	H	(S) –CH(–(CH$_2$)$_2$SO$_2$CH$_3$)–NH–C(O)–(4-methylphenyl)
2359	3,4-dichlorobenzyl –CH$_2$–	1	2	0	R	H	(S) –CH(–(CH$_2$)$_2$SO$_2$CH$_3$)–NH–C(O)–(thiophen-2-yl)
2360	3,4-dichlorobenzyl –CH$_2$–	1	2	0	R	H	(S) –CH(–(CH$_2$)$_2$SO$_2$CH$_3$)–NH–C(O)–NH–(naphthalen-1-yl)
2361	3,4-dichlorobenzyl –CH$_2$–	1	2	0	R	H	(S) –CH(–(CH$_2$)$_2$SO$_2$CH$_3$)–NH–C(O)–NH–(4-chlorophenyl)
2362	3,4-dichlorobenzyl –CH$_2$–	1	2	0	R	H	(S) –CH(–(CH$_2$)$_2$SO$_2$CH$_3$)–NH–C(O)–NH–(4-methoxyphenyl)
2363	3,4-dichlorobenzyl –CH$_2$–	2	2	1	-	H	(S) –CH(–CH$_3$)–NH–C(O)–(2,4-dichlorophenyl)
2364	3,4-dichlorobenzyl –CH$_2$–	2	2	1	-	H	(S) –CH(–CH$_3$)–NH–C(O)–(2,3-dichlorophenyl)
2365	3,4-dichlorobenzyl –CH$_2$–	2	2	1	-	H	(S) –CH(–CH$_3$)–NH–C(O)–(3,5-dichlorophenyl)

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Table 1.217

Compd. No.	R^1 R^2 >(CH₂)ⱼ—	k	m	n	chirality	R^3	—(CH₂)ₚ—CR⁴R⁵—(CH₂)_q—G—R⁶
2377	F-⟨C₆H₄⟩-CH₂-	2	2	1	-	H	(S) —CH(CH₃)—NH—CO—C₆H₃(3-Cl,4-Cl)
2378	naphthyl-CH₂-	2	2	1	-	H	(S) —CH(CH₃)—NH—CO—C₆H₃(3-Cl,4-Cl)
2379	Cl,Cl-⟨C₆H₃⟩-CH₂-	2	2	1	-	H	(S) —CH(CH₃)—NH—CO—C₆H₃(5-Br,2-NH₂)
2380	Cl,Cl-⟨C₆H₃⟩-CH₂-	2	2	1	-	H	(S) —CH(CH₃)—NH—CO—C₆H₄(2-NH₂)
2381	Cl,Cl-⟨C₆H₃⟩-CH₂-	2	2	1	-	H	(S) —CH(CH₃)—NH—CO—C₆H₄(2-OH)
2382	Cl,Cl-⟨C₆H₃⟩-CH₂-	2	2	1	-	H	(S) —CH(CH₃)—NH—CO—C₆H₄(4-OH)
2383	Cl,Cl-⟨C₆H₃⟩-CH₂-	2	2	1	-	H	(S) —CH(CH₃)—NH—CS—NH—CH₂—C₆H₅
2384	Cl,Cl-⟨C₆H₃⟩-CH₂-	1	2	0	R	H	(S) —CH[(CH₂)₂SO₂CH₃]—NH—CO—C₆H₃(3-Cl,4-Cl)
2385	Cl,Cl-⟨C₆H₃⟩-CH₂-	1	2	0	R	H	(S) —CH[(CH₂)₂SO₂CH₃]—NH—CO—C₆H₃(3-Cl,4-Cl)
2386	Cl-⟨C₆H₄⟩-CH₂-	1	2	0	R	H	(S) —CH[(CH₂)₂SO₂CH₃]—NH—CO—C₆H₃(3-Cl,4-Cl)
2387	F,F-⟨C₆H₃⟩-CH₂-	1	2	0	R	H	(S) —CH[(CH₂)₂SO₂CH₃]—NH—CO—C₆H₃(3-Cl,4-Cl)

Table 1.219

Compd. No.	R¹ R² (CH₂)ⱼ—	k	m	n	chirality	R³	—(CH₂)ₚ R⁴ R⁵ (CH₂)q-G-R⁶
2399		2	2	1	-	H	
2400		2	2	1	-	H	
2401		2	2	1	-	H	
2402		2	2	1	-	H	
2403		2	2	1	-	H	
2404		2	2	1	-	H	
2405		2	2	1	-	H	
2406		2	2	1	-	H	
2407		2	2	1	-	H	
2408	H₃CSO₂—⟨⟩—CH₂—	2	2	1	-	H	
2409	H₃CO₂C—⟨⟩—CH₂—	2	2	1	-	H	

Table 1.221

| Compd. No.	$\begin{matrix}R^1\\R^2\end{matrix}>(CH_2)_j^-$	k	m	n	chirality	R^3	$-(CH_2)_p^-\overset{R^4}{\underset{R^5}{\mid}}-(CH_2)_q^-G-R^6$
2421	Cl,Cl-phenyl-CH_2-	2	2	1	-	H	(S) $-CH(CH_3)-N(H)-C(=S)-N(H)-\text{phenyl}-F$
2422	Cl,Cl-phenyl-CH_2-	1	2	0	R	H	(S) $-CH((CH_2)_2SO_2CH_3)-N(H)-C(=S)-N(H)-\text{phenyl}-OCH_3$
2423	Cl,Cl-phenyl-CH_2-	1	2	0	R	H	(S) $-CH((CH_2)_2SO_2CH_3)-N(H)-C(=S)-N(H)-\text{phenyl}-OCH_3$
2424	Cl,Cl-phenyl-CH_2-	1	2	0	R	H	(S) $-CH((CH_2)_2SO_2CH_3)-N(H)-C(=S)-N(H)-\text{phenyl}-CH_3$
2425	Cl,Cl-phenyl-CH_2-	1	2	0	R	H	(S) $-CH((CH_2)_2SO_2CH_3)-N(H)-C(=S)-N(H)-\text{phenyl}-CH_3$
2426	Cl,Cl-phenyl-CH_2-	1	2	0	R	H	(S) $-CH((CH_2)_2SO_2CH_3)-N(H)-C(=S)-N(H)-\text{phenyl}-Cl$
2427	Cl,Cl-phenyl-CH_2-	1	2	0	R	H	(S) $-CH((CH_2)_2SO_2CH_3)-N(H)-C(=S)-N(H)-\text{phenyl}-Cl$
2428	Cl,Cl-phenyl-CH_2-	1	2	0	R	H	(S) $-CH((CH_2)_2SO_2CH_3)-N(H)-C(=S)-N(H)-\text{phenyl}-F$

[0095] In the present invention, the acid addition salt of the cyclic amine compound is also used. The acid includes mineral acids such as hydrochloric acid, hydrobromic acid, sulfuric acid, phosphoric acid, and carbonic acid and organic acids such as maleic acid, citric acid, malic acid, tartaric acid, fumaric acid, methanesulfonic acid, trifluoroacetic acid and formic acid.

[0096] Further, the C_1 to C_6 alkyl addition salt of the cyclic amine compound such as 1-(4-chlorobenzyl)-1-methyl-4-[{N-(3-trifluoromethylbenzoyl)glycyl} aminomethyl]piperidinium iodide is also used in the present invention. The alkyl group includes a methyl group, an ethyl group, an n-propyl group, an n-butyl group, an n-pentyl group, an n-hexyl group, an n-heptyl group, an n-octyl group, an isopropyl group, an isobutyl group, a sec-butyl group, a tert-butyl group, an isopentyl group, a neopentyl group, a tert-pentyl group, a 2-methylpentyl group and a 1-ethylbutyl group as suitable examples, but includes the methyl group and the ethyl group as especially preferable concrete examples. The counter anion of the ammonium cation includes halide anions such as a fluoride ion, a chloride ion, a bromide ion and an iodide ion as suitable concrete examples.

[0097] In the present invention, the racemate and all the possible optical isomers of the compound represented by the formula (I) can be used.

[wherein, R^1, R^2, and j are the same as the definitions, respectively, in the above-described formula (I); X represents a halogen atom, an alkylsulfonyloxy group, or an arylsulfonyloxy group],
with 0.1 to 10 equivalents of a compound represented by the following formula (V)

$$HN\underset{(CH_2)_m}{\overset{(CH_2)_k}{<}}-(CH_2)_n-\underset{R^3}{N}-\overset{O}{\overset{\|}{C}}-(CH_2)_p-\underset{R^5}{\overset{R^4}{|}}-(CH_2)_q-G-R^6 \qquad (V)$$

[wherein. R^3. R^4, R^5, R^6, G, k, m, n, p, and q are the same as the definitions. respectively, in the above-mentioned formula (I)]. in the absence or presence of a solvent.

[0103] The reaction can be allowed to smoothly proceed by the suitable use of the same base as that in the above-mentioned preparation method 1. Further, in the present preparation method, the reaction can be accelerated by the coexistence of an iodide compound such as potassium iodide, sodium iodide or the like in some cases.

[0104] In the above-mentioned formula (IV), X represents a halogen atom, an alkylsulfonyloxy group or an arylsulfonyloxy group. The suitable examples of the halogen atoms include a chlorine atom, a bromine atom, and an iodine atom. The suitable concrete example of the alkylsulfonyloxy group includes a methylsulfonyloxy group, a trifluoromethylsulfonyloxy group and the like. The suitable concrete example of the arylsulfonyloxy group includes a tosyloxy group.

(Preparation method 3)

[0105] A preparation method by reacting 1 equivalent of an aldehyde represented by the following formula (VI)

$$\underset{R^2}{\overset{R^1}{>}}-(CH_2)_{j-1}-CHO \qquad (VI)$$

[wherein, R^1, and R^2 are the same as the definitions, respectively, in the above-mentioned formula (I); j represents 1 or 2],
or the following formula (VII)

$$R^1\text{-CHO} \qquad (VII)$$

[wherein, R^1 is the same as the definition in the above-mentioned formula (I); this compound corresponds to a case that j expresses 0 in the formula (I)] with 0.1 to 10 equivalents of a compound represented by the above-mentioned formula (V), in the absence or presence of a solvent.

[0106] The reaction is generally called a reductive amination reaction, and includes, as a reducing condition, a catalytic hydrogenation reaction using a catalyst containing a metal such as palladium, platinum, nickel or rhodium, a hydrogenation reaction using a borane or a complex hydride such as lithium aluminum hydride, sodium borohydride, sodium cyanoborohydride, or sodium triacetoxyborohydride and an electrolytic reduction reaction.

(Preparation method 4)

[0107] A preparation method by reacting 1 equivalent of a compound represented by the following formula (VIII)

reagent or base as those in the above-mentioned preparation method 1.

[0113] When the substrate supplied for the reaction in each of the above-mentioned preparation methods 1 to 6 has substituents which can be thought to generally react under the reaction conditions of each preparation method in organic synthetic chemistry or affect the reaction, the objective compound can be obtained by protecting the functional groups of the substrate with known proper protecting groups, supplying the protected substrate for the reaction and then removing the protecting groups by a known method.

[0114] In addition, the compound used in the present invention can also be obtained by further converting the (single or plural) substituent(s) of the compound prepared by the above-mentioned preparation method 1 to 6 by a known reaction generally used in organic synthetic chemistry, such as an alkylation reaction, an acylation reaction or a reduction reaction.

[0115] In each of the above-mentioned preparation methods, a halogenated hydrocarbon such as dichloromethane or chloroform, an aromatic hydrocarbon such as benzene or toluene, an ether such as diethyl ether or tetrahydrofuran, an ester such as ethyl acetate, an aprotic polar solvent such as dimethyl formamide, dimethyl sulfoxide or acetonitrile, or an alcohol such as methanol, ethanol or isopropyl alcohol, is suitably used as a reaction solvent in response to the reaction.

[0116] In any preparation method, the reaction temperature is in the range of -78°C to +150°C, preferably 0°C to 100°C. After the reaction is completed, the objective cyclic amine compound represented by the above-mentioned formula (I) can be isolated in usual isolating and purifying operations, namely the operations of concentration, filtration, extraction, solid-phase extraction, recrystallization, chromatography, and so on. Further, the isolated compound can be converted into a pharmaceutically acceptable acid addition salt or C_1 to C_6 alkyl addition salt by usual methods.

Examples

[0117] The present invention will be explained specifically hereafter on the basis of examples. However, the present invention is not limited to the examples. Compound numbers assigned to compounds in the following examples correspond to compound numbers (Compd. No.), respectively, assigned to compounds shown as suitable concrete examples in Tables 1.1 to 1.221.

[Reference Example 1]

Synthesis of (R)-1-(4-chlorobenzyl)-3-[[N-(3,4-difluorobenzoyl) glycyl]amino]pyrrolidine (Compd. No. 69)

[0118] The compounds of the present invention were synthesized by the preparation method mentioned in WO 99/25686, and, for example, (R)-1-(4-chlorobenzyl)-3-[[N-(3,4-difluorobenzoyl)glycyl]amino] pyrrolidine of Compd. No. 69 was synthesized as follows.

1) 3-Amino-1-(4-chlorobenzyl)pyrrolidine-dihydrochloride

[0119] 4-Chlorobenzyl chloride (4.15g, 25.8 mmol) and i-Pr$_2$NEt (6.67g, 51.6 mmol) were added to the DMF solution (50 mL of 3-{(tert-butoxycarbonyl)amino}pyrrolidine (4.81g, 25.8 mmol) in DMF(50ml). The reaction mixture was stirred at 70°C for 15 hours, and the solvent was then removed under reduced pressure. The residue was recrystallized (CH$_3$CN, 50 mL) to obtain the objective 3-{(tert-butoxycarbonyl)amino}-1-(4-chlorobenzyl) pyrrolidine (6.43g, 80%) as the yellowish white solid.

[0120] ^{1}H-NMR(CDCl$_3$, 300 MHz) δ
1.37 (s, 9H), 1.5-1.7 (br, 1H), 2.1-2.4 (m, 2H), 2.5-2.7 (m, 2H), 2.83 (br, 1H), 3.57 (s, 2H), 4.1-4.3 (br, 1H), 4.9-5.1 (br, 1H), 7.15-7.35 (br, 4H); the purity was determined with RPLC/MS (98%): ESI/MS m/e 311.0 (M$^+$+H, C$_{16}$H$_{24}$ClN$_2$O$_2$).

[0121] 1M HCl-Et$_2$O (100 mL) was added to the CH3OH (80 mL) solution of the 3-{(tert-butoxycarbonyl)amino}-1-(4-chlorobenzyl)pyrrolidine (6.38g, 20.5 mmol) and then stirred at 25°C for 15 hours. The solvent was removed under reduced pressure to obtain the solid. The solid was recrystallized (CH$_3$OH/CH$_3$CN=1:2, 130 mL) to obtain the purified 3-amino-1-(4-chlorobenzyl)pyrrolidine-dihydrochloride (4.939g, 85%) as white powder.

[0122] ^{1}H-NMR(d$_6$-DMSO, 300 MHz) δ 3.15 (br, 1H), 3.3-3.75 (br-m, 4H), 3.9 (br, 1H), 4.05 (br, 1H), 4.44 (br, 1H), 4.54 (br, 1H), 7.5-7.7 (m, 4H), 8.45 (br, 1H), 8.60 (br, 1H); the purity was determined with RPLC/MS (>99%); ESI/MS m/e 211.0 (M$^+$+H, C$_{11}$H$_{16}$ClN$_2$).

[0123] Optically active (R)-3-amino-1-(4-chlorobenzyl)pyrrolidine - dihydrochloride and (S)-3-amino-1-(4-chlorobenzyl)pyrrolidine-dihydrochloride were synthesized from the corresponding starting materials, respectively, by the above-mentioned method. The products showed the same ^{1}H-NMR as that of the above-mentioned racemate.

[0131] When the inhibitory activities of the cyclic amine derivatives used in the present invention were assayed, for example, the following compounds showed inhibitory activities of 20% to 50%, 50% to 80%, and >80%, respectively, at a concentration of 10 μM.

[0132] The compounds which showed the inhibitory activities of 20% to 50% at the concentration of 10 μM:
Compd. Nos. 11, 156, 234, 330, 392, 424, 481, 523, 525, 533, 558, 567, 582, 602, 613, 630, 646, 649, 701, 738, 741, 754, 767, 814, 816, 833, 839, 873, 902, 909, 945, 1002, 1159, 1170, 1258, 1315, 1352, 1357, 1407, 1417, 1448, 1472, 1504, 1508, 1531, 1558, 1562, 1569, 1661, 1670, 1686, 1719, 1751, 1756, 1769, 1775, 1783, 1797, 1802, 1803, 1815, 1834, 1841, 1846, 1883, 1887, 1889, 1892, 1913, 1924, 1928, 1960, 2006, 2013, 2035, 2052, 2083, 2113, 2127, 2136, 2189, 2320, 2321, 2323, 2327, 2330, 2334, 2336, 2338, 2345, 2394, 2394, 2398, 2398, 2400, 2400, 2406, 2406, 2407, 2407, 2409, 2409, 2420, 2420, 2421, 2421

[0133] The compounds which showed the inhibitory activities of 50% to 80% at the concentration of 10 μM:
Compd. Nos. 83, 115, 146, 150, 216, 294, 297, 322, 405, 440, 459, 461, 466, 482, 484, 487, 490, 492, 503, 526, 528, 550, 562, 570, 578, 620, 623, 659, 685, 687, 703, 716, 730, 733, 755, 770, 850, 856, 867, 876, 998, 1015, 1024, 1223, 1259, 1267, 1295, 1377, 1402, 1412, 1420, 1485, 1519, 1550, 1560, 1595, 1601, 1650, 1701, 1725, 1754, 1836, 1856, 1870, 1912, 1923, 1929, 2095, 2120, 2138, 2179, 2258, 2260, 2261, 2267, 2268, 2270, 2275, 2276, 2278, 2287, 2290, 2291, 2294, 2297, 2300, 2301, 2302, 2307, 2309, 2313, 2317, 2322, 2324, 2326, 2328, 2329, 2333, 2335, 2343, 2344, 2346, 2347, 2348, 2350, 2351, 2353, 2358, 2360, 2361, 2364, 2365, 2368, 2369, 2377, 2379, 2381, 2402, 2403, 2404, 2405, 2408, 2410, 2411, 2416, 2417, 2418

[0134] The compounds which showed the inhibitory activities of >80% at the concentration of 10 μM:
Compd. Nos. 7, 32, 68, 169, 173, 203, 209, 215, 520, 544, 547, 851, 852, 855, 874, 910, 1003, 1012, 1032, 1038, 1042, 1043, 1046, 1114, 1190, 1244, 1247, 1384, 1441, 1513, 1527, 1545, 1582, 1673, 1687, 1689, 1705, 1850, 1869, 1871, 1876, 1877, 1899, 2027, 2289, 2293, 2296, 2298, 2315, 2318, 2319, 2325, 2332, 2349, 2352, 2354, 2355, 2356, 2357, 2359, 2362, 2363, 2366, 2367, 2370, 2371, 2372, 2373, 2374, 2375, 2376, 2378, 2382, 2383, 2390, 2393, 2396, 2412, 2413, 2414, 2415, 2422, 2423, 2424, 2425, 2426, 2427, 2428

[Example 2] Assay of inhibitory potency against the binding of eotaxin to a CCR3 expressing cells membrane fraction

[0135] A cell membrane fraction prepared from human CCR3 expressing K562 cells was suspended in an assay buffer solution (25 mM HEPES, pH 7.6, 1 mM $CaCl_2$, 5 mM $MgCl_2$, 0.5% BSA) at a concentration of 0.5 mg/mL to prepare the cell membrane fraction suspension. A test compound was diluted with the assay buffer solution to prepare the test compound solution. [^{125}I]-labeled human eotaxin (Amasham Co.) was diluted with the assay buffer solution at a concentration of 1 μCi/mL to prepare the labeled ligand solution. 25 μL of the test compound solution, 25 μL of the labeled ligand solution and 50 μL of the cell membrane fraction suspension were sequentially injected into each well of a 96 well microplate coated with 0.5% BSA, stirred (100 μL of the reaction solution), and then incubated at 25°C for 90 minutes.

[0136] After the reaction was finished, the reaction solution was filtered with the 96 well filter plate (Millipore Inc.) in which the filter was previously immersed in a 0.5% polyethylenimine solution, and the filter was washed with 150 μL of a cold washing buffer solution (assay buffer +0.5M NaCl) four times (150 μL of the cold washing buffer solution was added and then filtered). After the filter was dried with air, 25 μL of a liquid scintillator was added to each well, and the radioactivity retained in the membrane fraction on the filter was measured with a TopCounter (Packard Co.).

[0137] The inhibitory potency of the test compound against the binding of the human eotaxin to the CCR3 membrane fraction was calculated, wherein a count on the addition of 100 ng of non-labeled human eotaxin in stead of the test compound was subtracted, and a count on the non-addition of the test compound was 100%.

$$\text{Inhibition (\%)} = \{1\text{-}(A\text{-}B) / (C\text{-}B)\} \times 100$$

(A: a count, when the test compound was added; B: a count, when 100 ng of the non-labeled human eotaxin was added; C: a count, when only [^{125}I]-labeled human eotaxin was added).

[0138] When the inhibitory activities of the cyclic amine derivatives used in the present invention were assayed, the inhibitory activities of typical compounds in the present example were approximately equivalent to the inhibitory activities measured in Example 1.

Utilizability in industry

[0139] The medicine containing as an active ingredient the cyclic amine compound, the pharmaceutically acceptable acid addition salt thereof or the pharmaceutically acceptable C_1 to C_6 alkyl addition salt thereof, of the present invention, or the medicine for treating or preventing diseases in which CCR3 participates, has an activity for inhibiting the action

groups (which may be substituted by the arbitrary number of halogen atoms, hydroxy groups, C_1 to C_6 alkyl groups, C_1 to C_6 alkoxy groups or benzyloxy groups), phenoxy groups, benzyloxy groups, benzyloxycarbonyl groups, C_2 to C_7 alkanoyl groups, C_2 to C_7 alkoxycarbonyl groups, C_2 to C_7 alkanoyloxy groups, C_2 to C_7 alkanoylamino groups, C_2 to C_7 N-alkylcarbamoyl groups, C_1 to C_6 alkylsulfonyl groups, amino groups, mono(C_1 to C_6 alkyl)amino groups, di(C_1 to C_6 alkyl)amino groups or aromatic heterocyclic groups (having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms) or condensed rings formed by the condensation of the aromatic heterocyclic group with a benzene ring, or R^4 and R^5 may together form a three to six-membered cyclic hydrocarbon.

p represents 0 or 1.

q represents 0 or 1.

G represents a group represented by -CO-, -SO$_2$-, -CO-O-, -NR^7-CO-, -CO-NR^7-, -NH-CO-NH-, -NH-CS-NH-, -NR^7-SO$_2$-, -SO$_2$-NR^7-, -NH-CO-O-, or -O-CO-NH-, provided that R^7 is a hydrogen atom or a C_1 to C_6 alkyl group, or R^7 may form a C_2 to C_5 alkylene group together with R^5.

R^6 represents a phenyl group, a C_3 to C_8 cycloalkyl group, a C_3 to C_6 cycloalkenyl group, a benzyl group or an aromatic heterocyclic group having one to three atoms of oxygen, sulfur and/or nitrogen as heteroatoms, provided that the phenyl group, the benzyl group or the aromatic heterocyclic group in the above-mentioned R^6 may be condensed, to make a condensed ring, with a benzene ring or an aromatic heterocyclic group having one or three atoms of oxygen, sulfur and/or nitrogen as heteroatoms, further provided that the phenyl group, the C_3 to C_8 cycloalkyl group, the C_3 to C_6 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed ring in the above-mentioned R^6 may be substituted by the arbitrary number of halogen atoms, hydroxy groups, mercapto groups, cyano groups, nitro groups, thiocyanato groups, carboxyl groups, carbamoyl groups, trifluoromethyl groups, C_1 to C_6 alkyl groups, C_3 to C_8 cycloalkyl groups, C_2 to C_6 alkenyl groups, C_1 to C_6 alkoxy groups, C_3 to C_8 cycloalkyloxy groups, C_1 to C_6 alkylthio groups, C_1 to C_3 alkylenedioxy groups, phenyl groups, phenoxy groups, phenylamino groups, benzyl groups, benzoyl groups, phenylsulfinyl groups, phenylsulfonyl groups, 3-phenylureido groups, C_2 to C_7 alkanoyl groups, C_2 to C_7 alkoxycarbonyl groups, C_2 to C_7 alkanoyloxy groups, C_2 to C_7 alkanoylamino group, C_2 to C_7 N-alkylcarbamoyl groups, C_1 to C_6 alkylsulfonyl groups, phenylcarbamoyl groups, N,N-di(C_1 to C_6 alkyl)sulfamoyl groups, amino groups, mono(C_1 to C_6 alkyl)amino groups, di(C_1 to C_6 alkyl)amino groups, benzylamino groups, C_2 to C_7 (alkoxycarbonyl)amino groups, C_1 to C_6 (alkylsulfonyl)amino groups or bis(C_1 to C_6 alkylsulfonyl)amino groups, and further provided that the substituents of the phenyl group, the C_3 to C_8 cycloalkyl group, the C_3 to C_8 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group, or the condensed ring may further be substituted by the arbitrary number of halogen atoms, cyano groups, hydroxy groups, amino groups, trifluoromethyl groups, C_1 to C_6 alkyl groups, C_1 to C_6 alkoxy groups, C_1 to C_6 alkylthio groups, mono(C_1 to C_6 alkyl)amino groups, or di(C_1 to C_6 alkyl)amino groups.].

2. The pharmaceutical composition having the CCR3-antogonistic action according to Claim 1, wherein k is 1 and m is 2 in the above-mentioned formula (I).

3. The pharmaceutical composition having the CCR3-antogonistic action according to Claim 1, wherein k is 0 and m is 3 in the above-mentioned formula (I).

4. The pharmaceutical composition having the CCR3-antogonistic action according to Claim 1, wherein k is 1 and m is 3 in the above-mentioned formula (I).

5. The pharmaceutical composition having the CCR3-antogonistic action according to Claim 1, wherein k is 2 and m is 2 in the above-mentioned formula (I).

6. The pharmaceutical composition having the CCR3-antogonistic action according to Claim 1, wherein k is 1 and m is 4 in the above-mentioned formula (I).

7. A pharmaceutical composition which contains, as an active ingredient, the compound represented by the above-mentioned formula (I), the pharmaceutically acceptable acid addition salt thereof or the pharmaceutically acceptable C_1 to C_6 alkyl addition salt thereof, and which is used for treating or preventing a disease concerned with CCR3.

8. The pharmaceutical composition for treating or preventing the disease according to Claim 7, wherein the disease is an allergic disease.

9. The pharmaceutical composition for treating or preventing the disease according to Claim 8, wherein the disease

INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP00/05260

A. CLASSIFICATION OF SUBJECT MATTER

Int.Cl⁷   A61K31/40, 4025, 445, 4468, 4525, 4535, 454, 422, 404, 4155, 4245, 5377, 4545,
4709, 4184, 427, 506, 433, 423, 4192, 429, 53, A61P37/08, 29/00, 31/18, 11/08, 43/00 //
C07D207/14, 211/56, 58, 26, 401/04, 06, 12, 14, 403/06, 12, 405/06, 12, 14, 409/12, 14,
413/06, 14, 417/06, 487/04, 495/06, 04, 513/04

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Int.Cl⁷   A61K31/40, 4025, 445, 4468, 4525, 4535, 454, 422, 404, 4155, 4245, 5377, 4545,
4709, 4184, 427, 506, 433, 423, 4192, 429, 53, A61P37/08, 29/00, 31/18, 11/08, 43/00 //
C07D207/14, 211/56, 58, 26, 401/04, 06, 12, 14, 403/06, 12, 405/06, 12, 14, 409/12, 14,
413/06, 14, 417/06, 487/04, 495/06, 04, 513/04

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
REGISTRY(STN), CA(STN), CAOLD(STN), CAPLUS (STN)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X A	WO, 99/25686, A1 (TEIJIN LIMITED), 27 May, 1999 (27.05.99) & EP, 1030840, A1 & AU, 9913741, A & NO, 2000002486, A	1-10 11
X A	EP, 217286, A1 (OKAMOTO SHOSUKE), 08 April, 1987 (08.04.87), Compound No.42 & JP, 63-022061, A & US, 4895842, A & AU, 8663051, A & CA, 1297633, A	1,5,7-10 2-4,6,11
X A	WO, 98/50534, A1 (SMITHKLINE BEECHAM CORPORATION), 12 November, 1998 (12.11.98) & EP, 991753, A1 & AU, 9872885, A & BR, 9808502, A & ZA, 9803843, A	1,2,5 3,4,6-11
X A	GB, 2106108, A (JOHN WYETH AND BROTHER LIMITED), 07 April, 1983 (07.04.83) & US, 4443461, A	1,5 2-4,6-11
X A	WO, 97/40051, A1 (TAKEDA CHEMICAL INDUSTRIES, LTD.), 30 October, 1997 (30.10.97)	1,5 2-4,6-11

☒ Further documents are listed in the continuation of Box C. ☐ See patent family annex.

* Special categories of cited documents:
"A" document defining the general state of the art which is not considered to be of particular relevance
"E" earlier document but published on or after the international filing date
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"O" document referring to an oral disclosure, use, exhibition or other means
"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
"&" document member of the same patent family

Date of the actual completion of the international search 31 October, 2000 (31.10.00)	Date of mailing of the international search report 07 November, 2000 (07.11.00)
Name and mailing address of the ISA/ Japanese Patent Office	Authorized officer
Facsimile No.	Telephone No.

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